

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptanscl625

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

|              |   |        |   |
|--------------|---|--------|---|
| NEWS         | 1   |        | Web Page for STN Seminar Schedule - N. America  |
| NEWS         | 2   | JAN 02 | STN pricing information for 2008 now available  |
| NEWS         | 3   | JAN 16 | CAS patent coverage enhanced to include exemplified prophetic substances              |
| NEWS         | 4   | JAN 28 | USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats          |
| NEWS         | 5   | JAN 28 | MARPAT searching enhanced   |
| NEWS         | 6   | JAN 28 | USGENE now provides USPTO sequence data within 3 days of publication                  |
| NEWS         | 7   | JAN 28 | TOXCENTER enhanced with reloaded MEDLINE segment                                      |
| NEWS         | 8   | JAN 28 | MEDLINE and LMEDLINE reloaded with enhancements                                       |
| NEWS         | 9   | FEB 08 | STN Express, Version 8.3, now available   |
| NEWS         | 10  | FEB 20 | PCI now available as a replacement to DPCI  |
| NEWS         | 11  | FEB 25 | IFIREF reloaded with enhancements   |
| NEWS         | 12  | FEB 25 | IMSPRODUCT reloaded with enhancements   |
| NEWS         | 13  | FEB 29 | WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification |
| NEWS         | 14  | MAR 31 | IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats               |
| NEWS         | 15  | MAR 31 | CAS REGISTRY enhanced with additional experimental spectra                            |
| NEWS         | 16  | MAR 31 | CA/CAPlus and CASREACT patent number format for U.S. applications updated             |
| NEWS         | 17  | MAR 31 | LPCI now available as a replacement to LDPCI  |
| NEWS         | 18  | MAR 31 | EMBASE, EMBAL, and LEMBASE reloaded with enhancements                                 |
| NEWS         | 19  | APR 04 | STN AnaVist, Version 1, to be discontinued  |
|              |   |        |   |
| NEWS EXPRESS | FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,<br>AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008 |        |   |
|              |   |        |   |
| NEWS HOURS   | STN Operating Hours Plus Help Desk Availability   |        |   |
| NEWS LOGIN   | Welcome Banner and News Items   |        |   |
| NEWS IPC8    | For general information regarding STN implementation of IPC 8                                       |        |   |

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 15:46:27 ON 11 APR 2008

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.42

0.42

FILE 'REGISTRY' ENTERED AT 15:47:31 ON 11 APR 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 10 APR 2008 HIGHEST RN 1013659-13-6

DICTIONARY FILE UPDATES: 10 APR 2008 HIGHEST RN 1013659-13-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

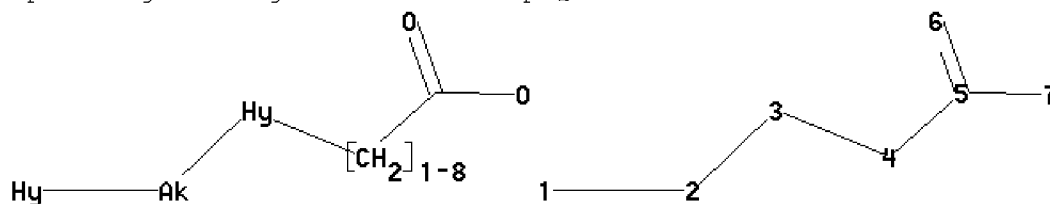
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10566012A.str



chain nodes :

1 2 3 4 5 6 7

chain bonds :

1-2 2-3 3-4 4-5 5-6 5-7

exact/norm bonds :

1-2 2-3 3-4 5-6 5-7

exact bonds :

4-5

Match level :

1:Atom 2:CLASS 3:Atom 4:CLASS 5:CLASS 6:CLASS 7:CLASS

Generic attributes :

1:

Saturation : Unsaturated

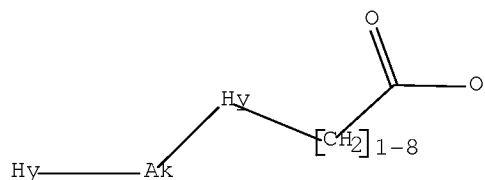
3:  
Saturation : Unsaturated

Element Count :  
Node 1: Limited  
S,S1

Node 3: Unlimited  
N,N1-3  
O,O0-3  
S,S0-3

L1 STRUCTURE UPLOADED

=> d l1  
L1 HAS NO ANSWERS  
L1 STR



Structure attributes must be viewed using STN Express query preparation.

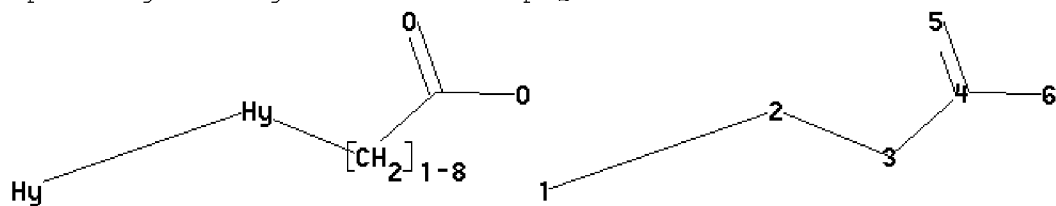
=> s sss sam l1  
SAMPLE SEARCH INITIATED 15:47:55 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 457733 TO ITERATE

0.4% PROCESSED 2000 ITERATIONS 0 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
BATCH \*\*INCOMPLETE\*\*  
PROJECTED ITERATIONS: 9116071 TO 9193249  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=>  
Uploading C:\Program Files\Stnexp\Queries\10566012B.str



chain nodes :  
1 2 3 4 5 6  
chain bonds :  
1-2 2-3 3-4 4-5 4-6  
exact/norm bonds :  
1-2 2-3 4-5 4-6  
exact bonds :  
3-4

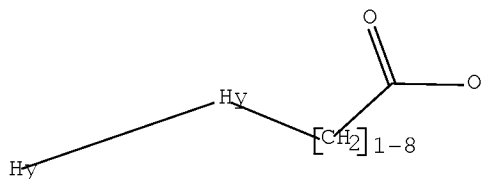
Match level :  
1:Atom 2:Atom 3:CLASS 4:CLASS 5:CLASS 6:CLASS  
Generic attributes :  
1:  
Saturation : Unsaturated  
2:  
Saturation : Unsaturated

Element Count :  
Node 1: Limited  
S,S1

Node 2: Limited  
N,N1-3  
O,O0-3  
S,S0-3

L3 STRUCTURE UPLOADED

=> d 13  
L3 HAS NO ANSWERS  
L3 STR



Structure attributes must be viewed using STN Express query preparation.

=> s sss sam 13  
SAMPLE SEARCH INITIATED 15:49:22 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 457733 TO ITERATE

0.4% PROCESSED 2000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
BATCH \*\*INCOMPLETE\*\*  
PROJECTED ITERATIONS: 9116071 TO 9193249  
PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM L3

=> logoff y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

1.84

2.26

STN INTERNATIONAL LOGOFF AT 15:50:03 ON 11 APR 2008

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptanscl625

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

|      |    |        |   |
|------|----|--------|---|
| NEWS | 1  |        | Web Page for STN Seminar Schedule - N. America  |
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NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 16:13:52 ON 11 APR 2008

=> fil reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST  | 0.21             | 0.21          |

FILE 'REGISTRY' ENTERED AT 16:14:00 ON 11 APR 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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DICTIONARY FILE UPDATES: 10 APR 2008 HIGHEST RN 1013659-13-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

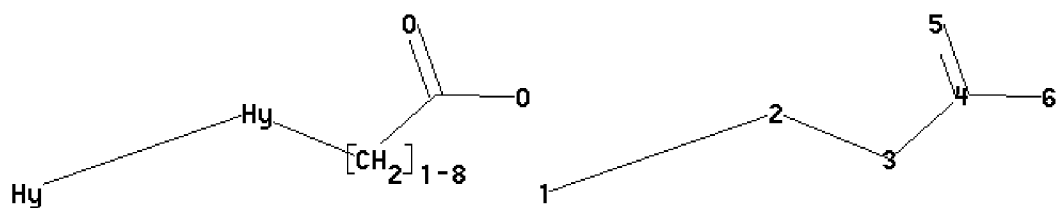
<http://www.cas.org/support/stngen/stndoc/properties.html>

=> s sc4/es

L1 909248 SC4/ES

=>

Uploading C:\Program Files\Stnexp\Queries\10566012C.str



chain nodes :

1 2 3 4 5 6

chain bonds :

1-2 2-3 3-4 4-5 4-6

exact/norm bonds :

1-2 2-3 4-5 4-6

exact bonds :

3-4

Match level :

1:Atom 2:Atom 3:CLASS 4:CLASS 5:CLASS 6:CLASS

Generic attributes :

1:

Saturation : Unsaturated

2:

Saturation : Unsaturated

Element Count :

Node 1: Limited

S, S1

Node 2: Limited

N, N1-3

O, O0-3

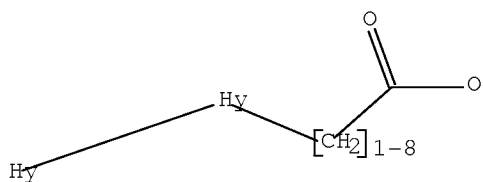
S, S0-3

L2 STRUCTURE UPLOADED

=> d 12

L2 HAS NO ANSWERS

L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> s sss sam subset=L1 l2  
 SAMPLE SUBSET SEARCH INITIATED 16:18:15 FILE 'REGISTRY'  
 SAMPLE SUBSET SCREEN SEARCH COMPLETED - 14414 TO ITERATE

13.9% PROCESSED 2000 ITERATIONS 16 ANSWERS  
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
 SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET): ONLINE \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET): 281088 TO 295472  
 PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET): 1662 TO 2950

L3 16 SEA SUB=L1 SSS SAM L2

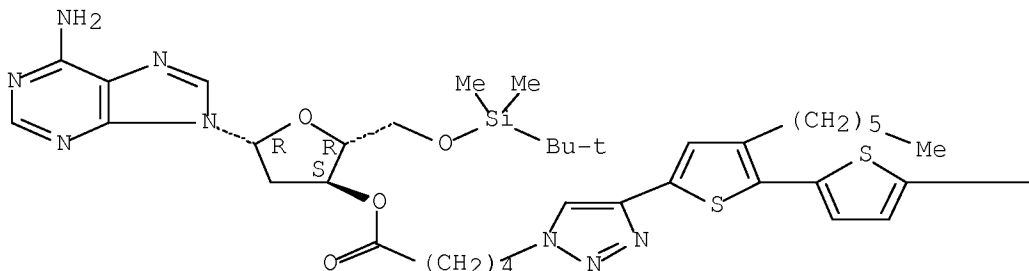
=> d scan

L3 16 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN INDEX NAME NOT YET ASSIGNED  
 MF C51 H69 N5 O6 S4 Si . C51 H68 N8 O4 S4 Si

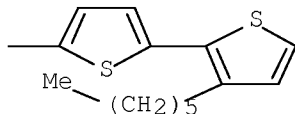
CM 1

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

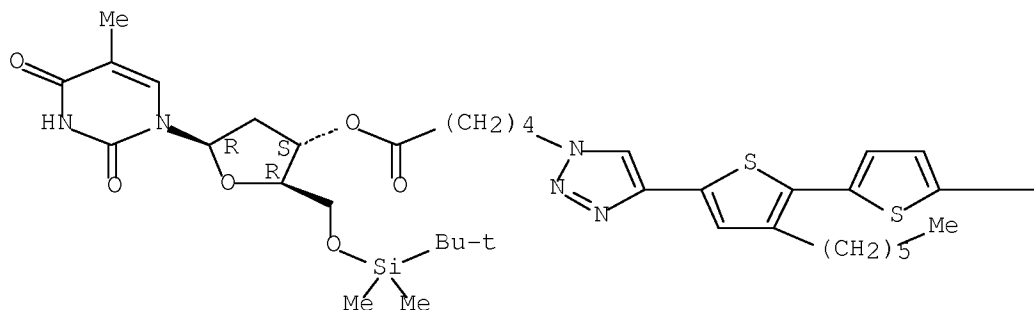


CM 2

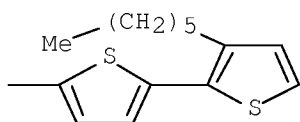
Absolute stereochemistry.



PAGE 1-A

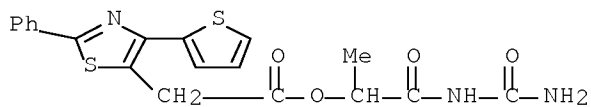


PAGE 1-B



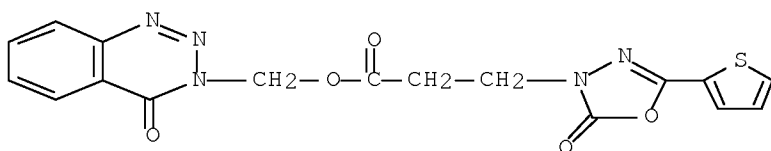
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):5

L3 16 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN INDEX NAME NOT YET ASSIGNED  
 MF C19 H17 N3 O4 S2



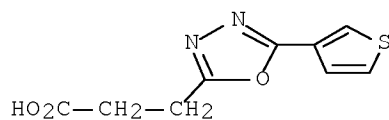
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 16 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 1,3,4-Oxadiazole-3(2H)-propanoic acid, 2-oxo-5-(2-thienyl)-,  
 (4-oxo-1,2,3-benzotriazin-3(4H)-yl)methyl ester  
 MF C17 H13 N5 O5 S



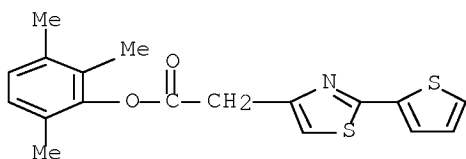
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 16 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 1,3,4-Oxadiazole-2-propanoic acid, 5-(3-thienyl)-  
 MF C9 H8 N2 O3 S



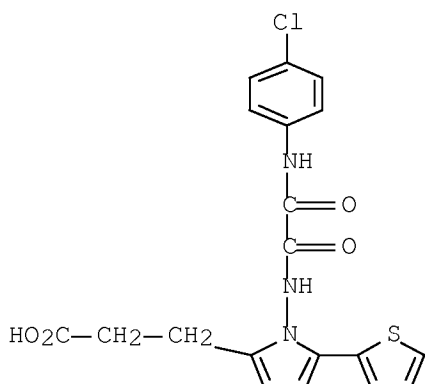
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 16 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 4-Thiazoleacetic acid, 2-(2-thienyl)-, 2,3,6-trimethylphenyl ester  
 MF C18 H17 N O2 S2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

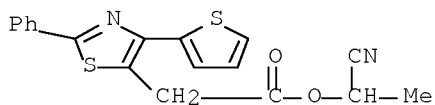
L3 16 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 1H-Pyrrole-2-propanoic acid, 1-[[2-[(4-chlorophenyl)amino]-2-oxoacetyl]amino]-5-(2-thienyl)-  
 MF C19 H16 Cl N3 O4 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

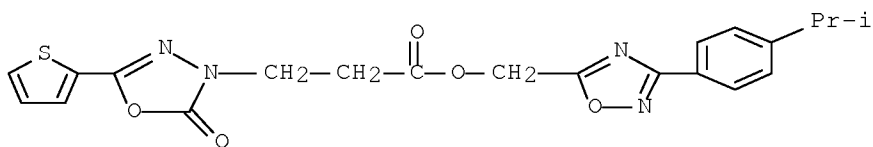
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):5

L3 16 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 5-Thiazoleacetic acid, 2-phenyl-4-(2-thienyl)-, 1-cyanoethyl ester  
 MF C18 H14 N2 O2 S2



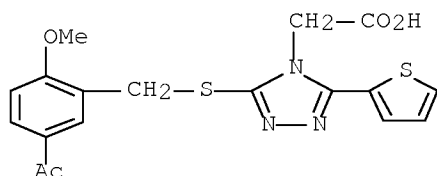
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 16 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 1,3,4-Oxadiazole-3(2H)-propanoic acid, 2-oxo-5-(2-thienyl)-,  
 [3-[4-(1-methylethyl)phenyl]-1,2,4-oxadiazol-5-yl]methyl ester  
 MF C21 H20 N4 O5 S



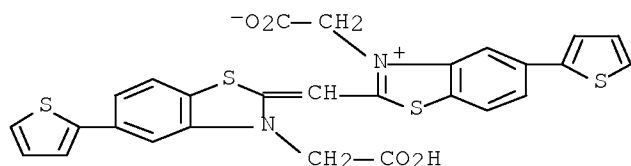
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 16 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 4H-1,2,4-Triazole-4-acetic acid, 3-[[5-acetyl-2-methoxyphenyl)methyl]thio]-5-(2-thienyl)-  
 MF C18 H17 N3 O4 S2

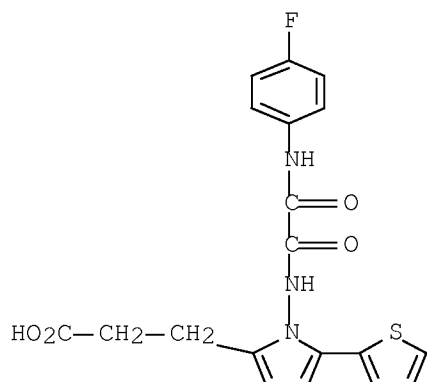


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 16 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN Benzothiazolium, 3-(carboxymethyl)-2-[[3-(carboxymethyl)-5-(2-thienyl)-2(3H)-benzothiazolylidene]methyl]-5-(2-thienyl)-, inner salt  
 MF C27 H18 N2 O4 S4



L3 16 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 1H-Pyrrole-2-propanoic acid, 1-[[2-[(4-fluorophenyl)amino]-2-oxoacetyl]amino]-5-(2-thienyl)-  
 MF C19 H16 F N3 O4 S

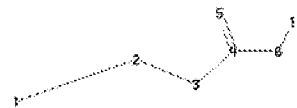
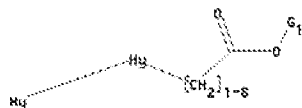


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=>

Uploading C:\Program Files\Stnexp\Queries\10566012D.str



chain nodes :  
 1 2 3 4 5 6 12  
 chain bonds :  
 1-2 2-3 3-4 4-5 4-6 6-12  
 exact/norm bonds :  
 1-2 2-3 4-5 4-6 6-12  
 exact bonds :  
 3-4

G1:H,Ak

Match level :  
 1:Atom 2:Atom 3:CLASS 4:CLASS 5:CLASS 6:CLASS 12:CLASS  
 Generic attributes :  
 1:  
 Saturation : Unsaturated  
 2:  
 Saturation : Unsaturated

Element Count :  
 Node 1: Limited  
 S,S1

Node 2: Limited

N,N1-3

O,O0-3

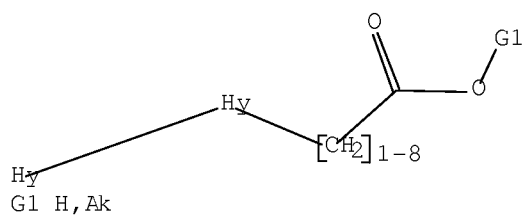
S,S0-3

L4 STRUCTURE UPLOADED

=> d l4

L4 HAS NO ANSWERS

L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s sss sam subset=L1 l4

SAMPLE SUBSET SEARCH INITIATED 16:23:58 FILE 'REGISTRY'

SAMPLE SUBSET SCREEN SEARCH COMPLETED - 14414 TO ITERATE

13.9% PROCESSED 2000 ITERATIONS 13 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET): ONLINE \*\*COMPLETE\*\*  
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET): 281088 TO 295472  
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET): 1293 TO 2453

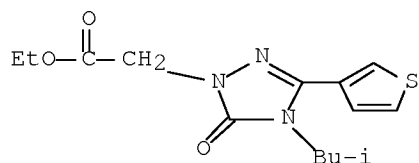
L5 13 SEA SUB=L1 SSS SAM L4

=> d scan

L5 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN 1H-1,2,4-Triazole-1-acetic acid, 4,5-dihydro-4-(2-methylpropyl)-5-oxo-3-(3-thienyl)-, ethyl ester

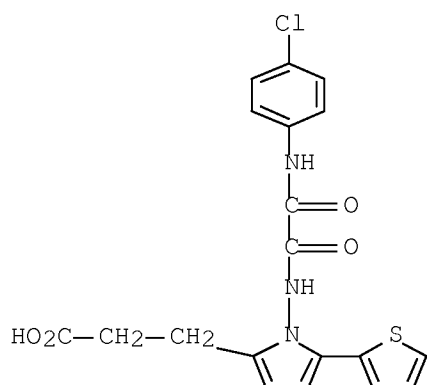
MF C14 H19 N3 O3 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

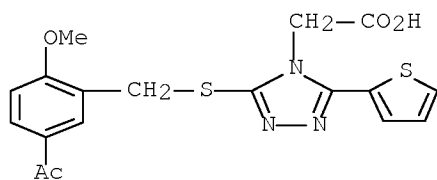
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):13

L5 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 1H-Pyrrole-2-propanoic acid, 1-[[2-[(4-chlorophenyl)amino]-2-oxoacetyl]amino]-5-(2-thienyl)-  
 MF C19 H16 Cl N3 O4 S



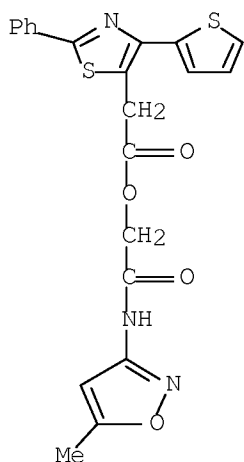
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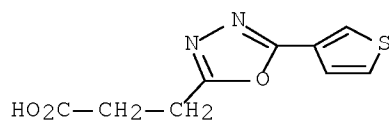
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN INDEX NAME NOT YET ASSIGNED  
MF C21 H17 N3 O4 S2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

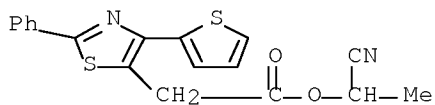
L5 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 1,3,4-Oxadiazole-2-propanoic acid, 5-(3-thienyl)-  
MF C9 H8 N2 O3 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 5-Thiazoleacetic acid, 2-phenyl-4-(2-thienyl)-, 1-cyanoethyl ester  
MF C18 H14 N2 O2 S2

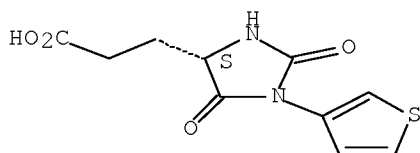




\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

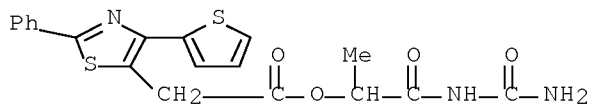
L5 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 4-Imidazolidinepropanoic acid, 2,5-dioxo-1-(3-thienyl)-, (4S)-  
 MF C10 H10 N2 O4 S

Absolute stereochemistry. Rotation (-).



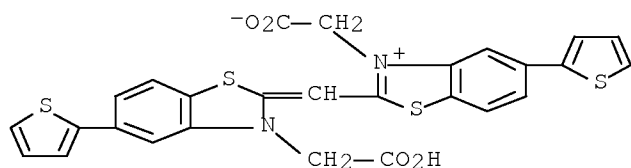
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN INDEX NAME NOT YET ASSIGNED  
 MF C19 H17 N3 O4 S2

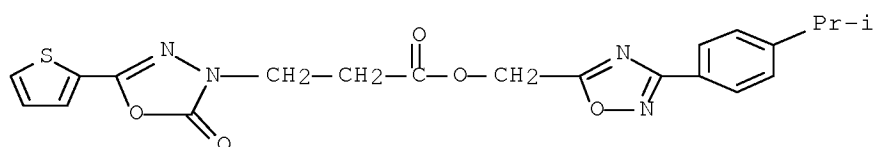


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN Benzothiazolium, 3-(carboxymethyl)-2-[[3-(carboxymethyl)-5-(2-thienyl)-  
 2(3H)-benzothiazolylidene]methyl]-5-(2-thienyl)-, inner salt  
 MF C27 H18 N2 O4 S4

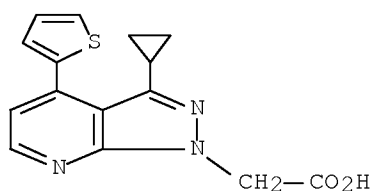


L5 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 1,3,4-Oxadiazole-3(2H)-propanoic acid, 2-oxo-5-(2-thienyl)-,  
 [3-[4-(1-methylethyl)phenyl]-1,2,4-oxadiazol-5-yl]methyl ester  
 MF C21 H20 N4 O5 S



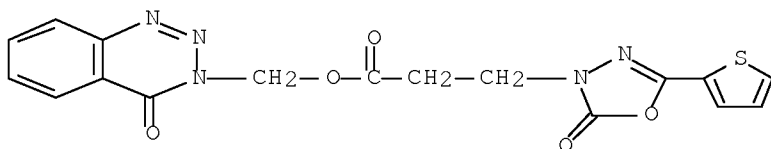
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 1H-Pyrazolo[3,4-b]pyridine-1-acetic acid, 3-cyclopropyl-4-(2-thienyl)-  
 MF C15 H13 N3 O2 S



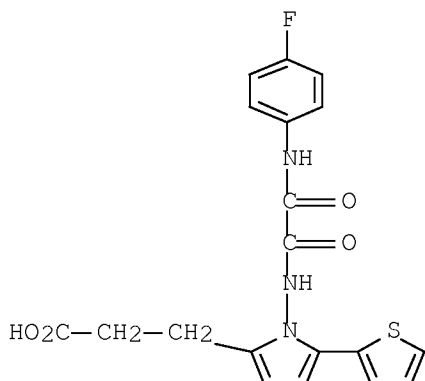
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 1,3,4-Oxadiazole-3(2H)-propanoic acid, 2-oxo-5-(2-thienyl)-,  
 (4-oxo-1,2,3-benzotriazin-3(4H)-yl)methyl ester  
 MF C17 H13 N5 O5 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 13 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 1H-Pyrrole-2-propanoic acid, 1-[[2-[(4-fluorophenyl)amino]-2-oxoacetyl]amino]-5-(2-thienyl)-  
 MF C19 H16 F N3 O4 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

=> d his

(FILE 'HOME' ENTERED AT 16:13:52 ON 11 APR 2008)

FILE 'REGISTRY' ENTERED AT 16:14:00 ON 11 APR 2008

L1 909248 S SC4/ES  
 L2 STRUCTURE UPLOADED  
 L3 16 S SSS SAM L2 SUB=L1  
 L4 STRUCTURE UPLOADED  
 L5 13 S SSS SAM L4 SUB=L1

=> s sc4/es and 2 5/sz  
 909248 SC4/ES  
 10250073 2/SZ.CNT  
 8610663 5/SZ  
 1322855 2 5/SZ  
 (2/SZ.CNT (T) 5/SZ)

L6 248788 SC4/ES AND 2 5/SZ

=> s l4 subset=l6 sam

SAMPLE SUBSET SEARCH INITIATED 16:28:22 FILE 'REGISTRY'

SAMPLE SUBSET SCREEN SEARCH COMPLETED - 3293 TO ITERATE

60.7% PROCESSED 2000 ITERATIONS

17 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):

ONLINE \*\*COMPLETE\*\*

PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):

62419 TO 69301

PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):

242 TO 876

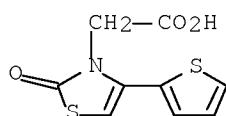
L7 17 SEA SUB=L6 SSS SAM L4

=> d scan

L7 17 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN 3(2H)-Thiazoleacetic acid, 2-oxo-4-(2-thienyl)-

MF C9 H7 N O3 S2



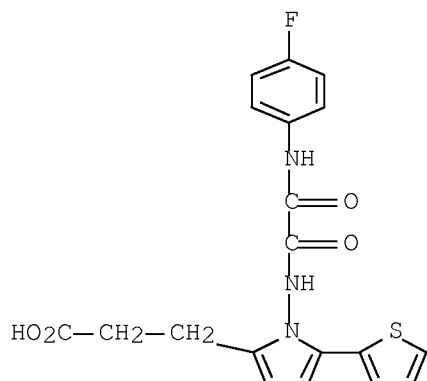
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):5

L7 17 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

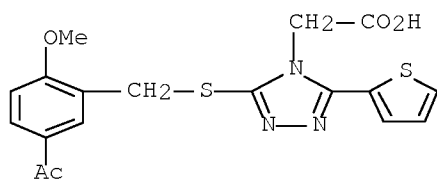
IN 1H-Pyrrole-2-propanoic acid, 1-[[2-[(4-fluorophenyl)amino]-2-oxoacetyl]amino]-5-(2-thienyl)-

MF C19 H16 F N3 O4 S



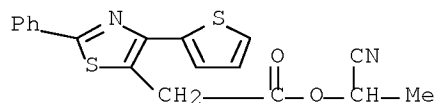
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L7 17 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 4H-1,2,4-Triazole-4-acetic acid, 3-[[5-acetyl-2-methoxyphenyl)methyl]thio]-5-(2-thienyl)-  
MF C18 H17 N3 O4 S2



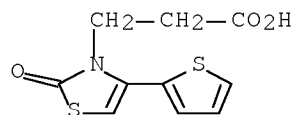
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L7 17 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 5-Thiazoleacetic acid, 2-phenyl-4-(2-thienyl)-, 1-cyanoethyl ester  
MF C18 H14 N2 O2 S2



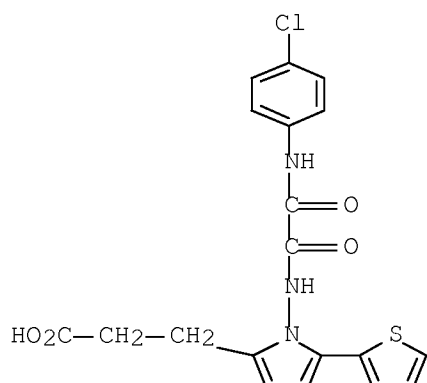
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L7 17 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 3(2H)-Thiazolepropanoic acid, 2-oxo-4-(2-thienyl)-  
MF C10 H9 N O3 S2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L7 17 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 1H-Pyrrole-2-propanoic acid, 1-[[2-[(4-chlorophenyl)amino]-2-  
oxoacetyl]amino]-5-(2-thienyl)-  
MF C19 H16 Cl N3 O4 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> FIL STNGUIDE

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

27.41

27.62

FILE 'STNGUIDE' ENTERED AT 16:29:17 ON 11 APR 2008

USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT

COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Apr 4, 2008 (20080404/UP).

=> logoff h

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.12

27.74

SESSION WILL BE HELD FOR 120 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 16:30:23 ON 11 APR 2008

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptanscl625

PASSWORD:

\* \* \* \* \* RECONNECTED TO STN INTERNATIONAL \* \* \* \* \*  
SESSION RESUMED IN FILE 'STNGUIDE' AT 16:35:42 ON 11 APR 2008  
FILE 'STNGUIDE' ENTERED AT 16:35:42 ON 11 APR 2008  
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST  | 0.12             | 27.74         |

=> d his

(FILE 'HOME' ENTERED AT 16:13:52 ON 11 APR 2008)

FILE 'REGISTRY' ENTERED AT 16:14:00 ON 11 APR 2008

L1 909248 S SC4/ES  
L2 STRUCTURE UPLOADED  
L3 16 S SSS SAM L2 SUB=L1  
L4 STRUCTURE UPLOADED  
L5 13 S SSS SAM L4 SUB=L1  
L6 248788 S SC4/ES AND 2 5/SZ  
L7 17 S L4 SAM SUB=L6

FILE 'STNGUIDE' ENTERED AT 16:29:17 ON 11 APR 2008

=> s l4 subset=l6 sam  
'SUBSET' IS NOT A VALID SEARCH SCOPE  
For an explanation enter "HELP SEARCH SCOPES"

=> fil reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST  | 0.24             | 27.86         |

FILE 'REGISTRY' ENTERED AT 16:36:56 ON 11 APR 2008  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 10 APR 2008 HIGHEST RN 1013659-13-6  
DICTIONARY FILE UPDATES: 10 APR 2008 HIGHEST RN 1013659-13-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=> s l4 sam sub=l6

SAMPLE SUBSET SEARCH INITIATED 16:37:22 FILE 'REGISTRY'

SAMPLE SUBSET SCREEN SEARCH COMPLETED - 3293 TO ITERATE

60.7% PROCESSED 2000 ITERATIONS

17 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):

ONLINE \*\*COMPLETE\*\*

PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):

62419 TO 69301

PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):

242 TO 876

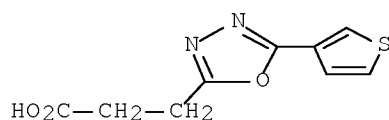
L8 17 SEA SUB=L6 SSS SAM L4

=> d scan

L8 17 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN 1,3,4-Oxadiazole-2-propanoic acid, 5-(3-thienyl)-

MF C9 H8 N2 O3 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l4 full sub=l6

FULL SUBSET SEARCH INITIATED 16:37:46 FILE 'REGISTRY'

FULL SUBSET SCREEN SEARCH COMPLETED - 65888 TO ITERATE

100.0% PROCESSED 65888 ITERATIONS

1057 ANSWERS

SEARCH TIME: 00.00.02

L9 1057 SEA SUB=L6 SSS FUL L4

=> s sc4/es and nc4/es

909248 SC4/ES

1863309 NC4/ES

L10 42134 SC4/ES AND NC4/ES

=> s l9 not l10

L11 851 L9 NOT L10

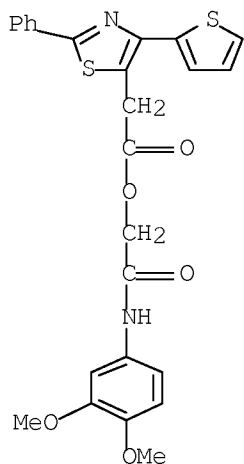
=> d scan

L11 851 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN 5-Thiazoleacetic acid, 2-phenyl-4-(2-thienyl)-, 2-[(3,4-dimethoxyphenyl)amino]-2-oxoethyl ester

MF C25 H22 N2 O5 S2

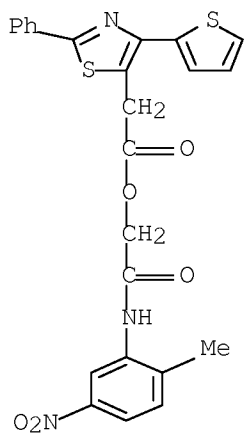




\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):5

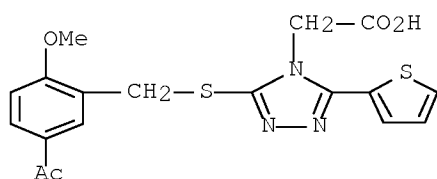
L11 851 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 5-Thiazoleacetic acid, 2-phenyl-4-(2-thienyl)-, 2-[(2-methyl-5-  
 nitrophenyl)amino]-2-oxoethyl ester  
 MF C24 H19 N3 O5 S2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

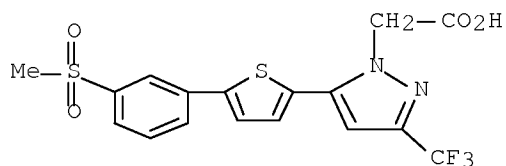
L11 851 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN 4H-1,2,4-Triazole-4-acetic acid, 3-[[5-(2-methoxyphenyl)methyl]thio]-5-(2-thienyl)-  
 MF C18 H17 N3 O4 S2



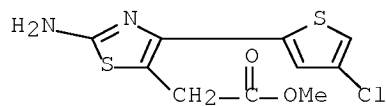
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 851 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 1H-Pyrazole-1-acetic acid, 5-[5-[3-(methylsulfonyl)phenyl]-2-thienyl]-3-(trifluoromethyl)-  
 MF C17 H13 F3 N2 O4 S2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

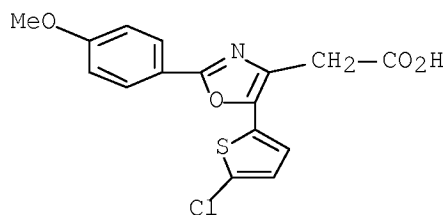
L11 851 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 5-Thiazoleacetic acid, 2-amino-4-(4-chloro-2-thienyl)-, methyl ester  
 MF C10 H9 Cl N2 O2 S2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L11 851 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(4-methoxyphenyl)-  
MF C16 H12 Cl N O4 S  
CI COM



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> d his

(FILE 'HOME' ENTERED AT 16:13:52 ON 11 APR 2008)

FILE 'REGISTRY' ENTERED AT 16:14:00 ON 11 APR 2008

L1 909248 S SC4/ES  
L2 STRUCTURE UPLOADED  
L3 16 S SSS SAM L2 SUB=L1  
L4 STRUCTURE UPLOADED  
L5 13 S SSS SAM L4 SUB=L1  
L6 248788 S SC4/ES AND 2 5/SZ  
L7 17 S L4 SAM SUB=L6

FILE 'STNGUIDE' ENTERED AT 16:29:17 ON 11 APR 2008

FILE 'REGISTRY' ENTERED AT 16:36:56 ON 11 APR 2008

L8 17 S L4 SAM SUB=L6  
L9 1057 S L4 FULL SUB=L6  
L10 42134 S SC4/ES AND NC4/ES  
L11 851 S L9 NOT L10

=> save temp l11 jung10566012/a

ANSWER SET L11 HAS BEEN SAVED AS 'JUNG10566012/A'

=> fil caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST  | 190.96           | 218.82        |

FILE 'CAPLUS' ENTERED AT 16:40:27 ON 11 APR 2008

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FILE COVERS 1907 - 11 Apr 2008 VOL 148 ISS 16  
FILE LAST UPDATED: 10 Apr 2008 (20080410/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s l11

L12 92 L11

=> s l12 and (ay<2004 or py<2004 or pry<2004)

4766873 AY<2004

23980307 PY<2004

4245576 PRY<2004

L13 75 L12 AND (AY<2004 OR PY<2004 OR PRY<2004)

=> s l13 and glucagon

26594 GLUCAGON

166 GLUCAGONS

26619 GLUCAGON

(GLUCAGON OR GLUCAGONS)

L14 1 L13 AND GLUCAGON

=> s l13 and glp

4028 GLP

102 GLPS

4074 GLP

(GLP OR GLPS)

L15 1 L13 AND GLP

=> s l15 not l14

L16 0 L15 NOT L14

=> s l13 and (oxazol?)

44096 OXAZOL?

L17 21 L13 AND (OXAZOL?)

=> s l13 and (thiazol?)

58984 THIAZOL?

L18 29 L13 AND (THIAZOL?)

=> s l13 and (triazol?)

49296 TRIAZO?

L19 8 L13 AND (TRIAZO?)

=> s l13 and (oxadiazol?)

15102 OXADIAZOL?

L20 5 L13 AND (OXADIAZOL?)

=> s l13 and (thiadiazol?)

17203 THIADIAZOL?

L21 4 L13 AND (THIADIAZOL?)

=> s l17 or l18 or l19 or l20 or l21

L22 48 L17 OR L18 OR L19 OR L20 OR L21

=> dup remove l22

PROCESSING COMPLETED FOR L22

L23 48 DUP REMOVE L22 (0 DUPLICATES REMOVED)

=> d ibib abs hitstr l23 1-48

L23 ANSWER 1 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:182658 CAPLUS Full-text

DOCUMENT NUMBER: 142:280193

TITLE: Preparation of heterocyclalalkanoic acid derivatives for oral delivery of a glucagon like peptide (glp)-1 compound or an melanocortin 4 receptor (mc4) agonist peptide

INVENTOR(S): Jungheim, Louis Nickolaus; McGill, John McNeill, III; Thrasher, Kenneth Jeff; Herr, Robert Jason; Muralikrishna, Valluri

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

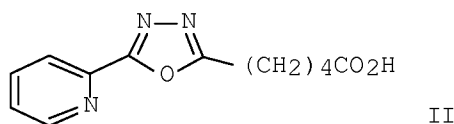
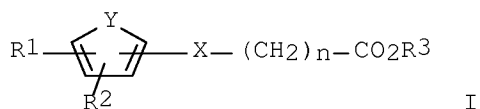
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE           |
|---|------|----------|------------------|----------------|
| -----   | ---- | -----    | -----            | -----          |
| WO 2005019212   | A1   | 20050303 | WO 2004-US24387  | 20040818 <--   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                  |                |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |                |
| AU 2004267044   | A1   | 20050303 | AU 2004-267044   | 20040818 <--   |
| CA 2530983  | A1   | 20050303 | CA 2004-2530983  | 20040818 <--   |
| EP 1658285  | A1   | 20060524 | EP 2004-779447   | 20040818 <--   |
| EP 1658285  | B1   | 20070502 |                  |                |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK   |      |          |                  |                |
| CN 1832944  | A    | 20060913 | CN 2004-80022791 | 20040818 <--   |
| BR 2004013676   | A    | 20061024 | BR 2004-13676    | 20040818 <--   |
| AT 350369   | T    | 20070115 | AT 2004-779446   | 20040818 <--   |
| JP 2007502817   | T    | 20070215 | JP 2006-523866   | 20040818 <--   |
| AT 361294   | T    | 20070515 | AT 2004-779447   | 20040818 <--   |
| ES 2278346  | T3   | 20070801 | ES 2004-779446   | 20040818 <--   |
| ES 2286679  | T3   | 20071201 | ES 2004-779447   | 20040818 <--   |
| US 20070293423  | A1   | 20071220 | US 2006-566012   | 20060125 <--   |
| IN 2006KN00255  | A    | 20070323 | IN 2006-KN255    | 20060201 <--   |
| MX 2006PA01916  | A    | 20060517 | MX 2006-PA1916   | 20060217 <--   |
| PRIORITY APPLN. INFO.:  |      |          | US 2003-496537P  | P 20030820 <-- |

OTHER SOURCE(S):  
GI

CASREACT 142:280193; MARPAT 142:280193



AB The present invention relates to novel title compds. I (R1, R2 = independently H, OH, CN, C1-6 alkyl, C1-6 alkoxy, CF3, NR4R4'; R3 = H, C1-6 alkyl; X = 5-membered heterocycle optionally substituted with C1-4 alkyl containing at least 2-3 N, O, or S atoms wherein at least one heteroatom is N; Y = S, CR5:N, N:CR5; R4 = H, COR6, SO2R7, C1-6 alkyl; R4' = H, C1-6 alkyl; R5 = H or forms bond with X; R6 = H, C1-6 alkyl; R7 = H, C1-6 alkyl; n = 2-7) or a pharmaceutical salt thereof, as well as methods and formulations useful for the oral delivery of a GLP-1 compound or an MC4 agonist peptide. Thus, condensation of ClCO(CH2)4CO2Me with 2-picolinyldiazide and subsequent cyclocondensation and saponification gave oxadiazole II. Formulations of prepared compds. I for oral delivery of glucagon like peptide-1 derivs. and melanocortin 4 receptor agonist peptides are given.

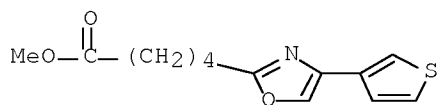
IT 847268-03-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heterocyclalalkanoic acid derivs. for oral delivery of glucagon like peptide-1 compound or melanocortin 4 receptor agonist peptide)

RN 847268-03-5 CAPLUS

CN 2-Oxazolepentanoic acid, 4-(3-thienyl)-, methyl ester (CA INDEX NAME)

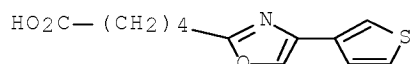


IT 847268-04-6P 847268-05-7P 847268-07-9P  
847268-10-4P

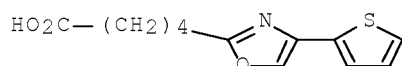
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclalalkanoic acid derivs. for oral delivery of glucagon like peptide-1 compound or melanocortin 4 receptor agonist peptide)

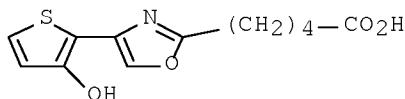
RN 847268-04-6 CAPLUS  
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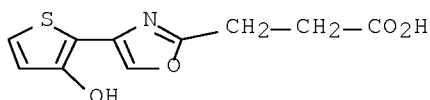
RN 847268-05-7 CAPLUS  
CN 2-Oxazolepentanoic acid, 4-(2-thienyl)- (CA INDEX NAME)



RN 847268-07-9 CAPLUS  
CN 2-Oxazolepentanoic acid, 4-(3-hydroxy-2-thienyl)- (CA INDEX NAME)



RN 847268-10-4 CAPLUS  
CN 2-Oxazolepropanoic acid, 4-(3-hydroxy-2-thienyl)- (CA INDEX NAME)

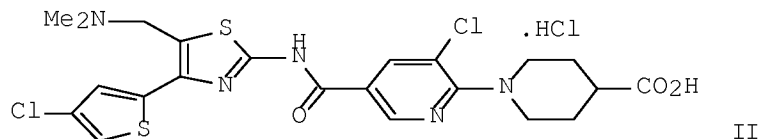
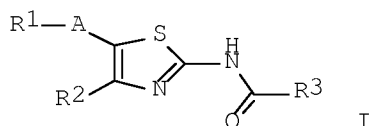


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 2 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2005:74117 CAPLUS Full-text  
DOCUMENT NUMBER: 142:176828  
TITLE: Preparation of 2-acylaminothiazole derivatives or  
salts thereof for treating thrombopenia  
INVENTOR(S): Sugasawa, Keizo; Koga, Yuji; Obitsu, Kazuyoshi; Okuda,  
Takao; Harada, Koichiro; Kubota, Hideki; Hirayama,  
Fukushi; Abe, Masaki; Suzuki, Kenichi  
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 93 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent

LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE              | APPLICATION NO.  | DATE           |
|---|------|-------------------|------------------|----------------|
| WO 2005007651   | A1   | 20050127          | WO 2004-JP10440  | 20040715 <--   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW<br>RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |                   |                  |                |
| CA 2529686  | A1   | 20050127          | CA 2004-2529686  | 20040715 <--   |
| JP 2005047905   | A    | 20050224          | JP 2004-208207   | 20040715 <--   |
| EP 1647553  | A1   | 20060419          | EP 2004-747829   | 20040715 <--   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK   |      |                   |                  |                |
| US 20060194844  | A1   | 20060831          | US 2004-564520   | 20040715 <--   |
| CN 1835948  | A    | 20060920          | CN 2004-80020497 | 20040715 <--   |
| IN 2005DN06145  | A    | 20070824          | IN 2005-DN6145   | 20051229 <--   |
| MX 2006PA00441  | A    | 20060405          | MX 2006-PA441    | 20060111 <--   |
| PRIORITY APPLN. INFO.:  |      |                   | JP 2003-275718   | A 20030717 <-- |
|   |      |                   | WO 2004-JP10440  | W 20040715     |
| OTHER SOURCE(S):  |      | MARPAT 142:176828 |                  |                |
| GI  |      |                   |                  |                |



AB A blood platelet-increasing drug comprising a compound of formula [I; A = lower alkylene; R1 = R11R12N; R11 = each (un)substituted lower alkyl or cycloalkyl; provided that when A is methylene, R11 is methylene which bridges R2 being thienyl or Ph or R11 is (un)substituted lower alkylene which forms a ring by being linked to A being methylene; R12 = each (un)substituted lower alkyl, cycloalkyl, or nonarom. heterocyclyl; R2 = each (un)substituted thienyl or Ph; R3 = each (un)substituted aromatic heterocyclyl, aryl, or cyclic amino] or a salt thereof as an active ingredient is provided. The 2-



acylaminothiazole derivs. have an activity of increasing platelets based on excellent effects of proliferating human c-Mpl-Ba/F3 cells and promoting megakaryoblast colony formation and are useful in treating thrombopenia (thrombocytopenia). For example, N-(2-thiazolyl)pyridine-3-carboxamide derivative (II) in vitro at 4.3 nM promoted the proliferation of human c-Mpl-Ba/F3 cells with efficacy higher (114%) than that of rhTPO (110% at 0.012 nM).

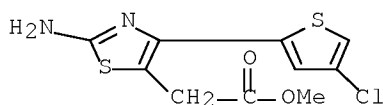
IT 832088-23-0P 832088-24-1P 832088-56-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-acylaminothiazole derivs. or salts thereof as blood platelet-increasing agents for treating thrombopenia)

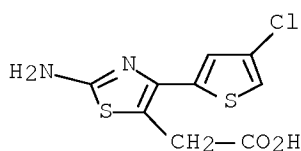
RN 832088-23-0 CAPLUS

CN 5-Thiazoleacetic acid, 2-amino-4-(4-chloro-2-thienyl)-, methyl ester (CA INDEX NAME)



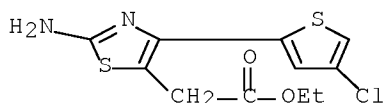
RN 832088-24-1 CAPLUS

CN 5-Thiazoleacetic acid, 2-amino-4-(4-chloro-2-thienyl)- (CA INDEX NAME)



RN 832088-56-9 CAPLUS

CN 5-Thiazoleacetic acid, 2-amino-4-(4-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 3 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:220301 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 140:270550

TITLE: A preparation of 1,3-diamino-2-hydroxypropane derivatives as beta-secretase enzyme inhibitors

INVENTOR(S): Fobian, Yvette M.; Freskos, John N.; Jagodzinska,

PATENT ASSIGNEE(S): Barbara  
 SOURCE: Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn  
 PCT Int. Appl., 535 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE              | APPLICATION NO. | DATE           |
|---|------|-------------------|-----------------|----------------|
| WO 2004022523   | A2   | 20040318          | WO 2003-US28116 | 20030908 <--   |
| WO 2004022523   | A3   | 20040910          |                 |                |
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| CA 2497979  | A1   | 20040318          | CA 2003-2497979 | 20030908 <--   |
| AU 2003268550   | A1   | 20040329          | AU 2003-268550  | 20030908 <--   |
| US 20040214890  | A1   | 20041028          | US 2003-657567  | 20030908 <--   |
| US 7294642  | B2   | 20071113          |                 |                |
| EP 1534693  | A2   | 20050601          | EP 2003-749520  | 20030908 <--   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  |      |                   |                 |                |
| BR 2003014071   | A    | 20050705          | BR 2003-14071   | 20030908 <--   |
| JP 2005538162   | T    | 20051215          | JP 2004-534764  | 20030908 <--   |
| CN 1732161  | A    | 20060208          | CN 2003-824884  | 20030908 <--   |
| NO 2005001189   | A    | 20050510          | NO 2005-1189    | 20050304 <--   |
| MX 2005PA02508  | A    | 20050603          | MX 2005-PA2508  | 20050304 <--   |
| IN 2005KN00441  | A    | 20060127          | IN 2005-KN441   | 20050316 <--   |
| ZA 2005002755   | A    | 20060222          | ZA 2005-2755    | 20050405 <--   |
| PRIORITY APPLN. INFO.:  |      |                   | US 2002-408783P | P 20020906 <-- |
|   |      |                   | WO 2003-US28116 | W 20030908 <-- |
| OTHER SOURCE(S):  |      | MARPAT 140:270550 |                 |                |
| GI  |      |                   |                 |                |

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to diamino(hydroxy)propane derivs. of formula I  
 [wherein: R1 = -(CH2)1-2-S(O)0-2-(C1-6 alkyl) or (un)substituted (cyclo)alkyl,  
 alk(en/yn)yl, (hetero)aryl, etc.; R2 = H, C1-6 alkyl optionally substituted  
 with 1-3 substituents, (CH2)0-4-(hetero)aryl, C2-6 alk(en/yn)yl, etc.; R3 = H,  
 C1-6 alkyl optionally substituted with 1-3 substituents, (CH2)0-4-  
 (hetero)aryl, etc.; R4 = C1-10 alkyl optionally substituted with 1-3  
 substituents, -(CH2)0-3-cycloalkyl, -(CR7R8)0-4-(hetero)aryl, etc.; one of R5  
 and R6 is H and the other is -C(O)(CR9R10)1-6-X-R11, etc.; R7 and R8 are  
 independently selected from H, alkyl, hydroxyalkyl, alk(en/yn)yl, etc.; R9 and  
 R10 are independently selected from H or C1-10 alkyl; R11 = (hetero)aryl,  
 optionally substituted C1-10 alkyl, or C3-8 cycloalkyl, etc.; X = O, S, SO2,  
 etc.]. Compds. I include inhibitors of beta-secretase enzyme useful in the  
 treatment of Alzheimer's disease and other diseases characterized by

deposition of A beta-peptide in a mammal. Biol. examples include beta-secretase inhibition, assays using synthetic oligopeptide-substrates, inhibition of A beta production in human patients, etc. For instance, compound II (preparation 8) was prepared via amidation of benzoic acid derivative III by diamino(hydroxy)propane derivative IV and subsequent Boc-cleavage (no yield data). Using 19F-NMR an intramol. acyl-migration was observed when compound II was dissolved in DMSO-d6 and pH 4 buffer solution was added.

IT 674321-26-7P

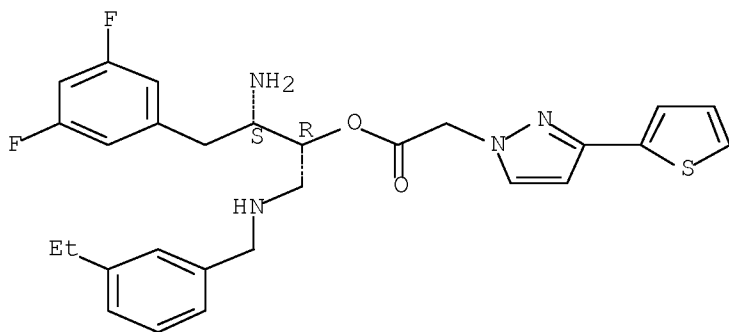
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)

RN 674321-26-7 CAPLUS

CN 1H-Pyrazole-1-acetic acid, 3-(2-thienyl)-, (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-[[[(3-ethylphenyl)methyl]amino]methyl]propyl ester (CA INDEX NAME)

Absolute stereochemistry.



L23 ANSWER 4 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:143126 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 140:199331

TITLE: Preparation of five-membered heterocyclic compounds as mGluR5 receptor antagonists

INVENTOR(S): Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora, Jalaj; Edwards, Louise; Isaac, Methvin; Slassi, Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.; Kers, Annika; Malmberg, Johan; Oscarsson, Karin; Gyback, Helena; Johansson, Martin; Minidis, Alexander; Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer

PATENT ASSIGNEE(S): Astra Zeneca Ab, Swed.; NPS Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 318 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE         |
|---------------|------|----------|-----------------|--------------|
| WO 2004014881 | A2   | 20040219 | WO 2003-US24846 | 20030808 <-- |

WO 2004014881 A3 20040527

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

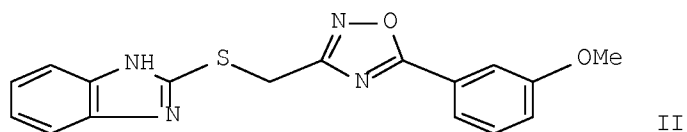
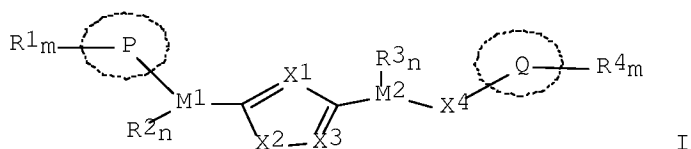
CA 2494987 A1 20040219 CA 2003-2494987 20030808 <--  
AU 2003259068 A1 20040225 AU 2003-259068 20030808 <--  
US 20040152699 A1 20040805 US 2003-637012 20030808 <--  
EP 1529045 A2 20050511 EP 2003-785036 20030808 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003013265 A 20050705 BR 2003-13265 20030808 <--  
JP 2006503009 T 20060126 JP 2004-527872 20030808 <--  
CN 1894241 A 20070110 CN 2003-823845 20030808 <--  
ZA 2005000886 A 20060726 ZA 2005-886 20050131 <--  
IN 2005DN00486 A 20070119 IN 2005-DN486 20050208 <--  
MX 2005PA01594 A 20050920 MX 2005-PA1594 20050209 <--  
NO 2005001225 A 20050509 NO 2005-1225 20050309 <--  
US 20060122397 A1 20060608 US 2005-274611 20051114 <--

PRIORITY APPLN. INFO.: US 2002-402040P P 20020809 <--  
US 2003-637012 B3 20030808 <--  
WO 2003-US24846 W 20030808 <--

OTHER SOURCE(S): MARPAT 140:199331  
GI



AB The present invention relates to five-membered heterocyclic compds. (shown as I; variables defined below; e.g. II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute pain disorders (no data). Typical IC50 values for mGluR5 receptor antagonist activity are  $\leq 10 \mu\text{M}$ ; no values for individual compds. are given. Methods of preparation are claimed and example preps. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[[[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3-yl]sulfonyl]methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic

acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K<sub>2</sub>CO<sub>3</sub>. For I: P = H, C3-7alkyl or a 3- to 8-membered ring containing ≥1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S; R<sub>1</sub> = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥1 C, N, O and S, wherein said ring may be substituted by ≥1 A. M<sub>1</sub> = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(CO)NR<sub>5</sub>, C0-3alkyl(CO)NR<sub>5</sub>C0-3alkyl, C0-4-alkylNR<sub>5</sub>, C0-3alkylSC0-3alkyl, etc.; R<sub>2</sub> = H, hydroxy, C0-6alkylcyano, oxo, NR<sub>5</sub>, NOR<sub>5</sub>, C1-4alkylhalo, halo, C1-4alkyl, etc. X<sub>1</sub>, X<sub>2</sub> and X<sub>3</sub> = CR, CO, N, NR, O and S; R = H, C0-3alkyl, halo, C0-3alkylOR<sub>5</sub>, C0-3-alkylNR<sub>5</sub>R<sub>6</sub>, C0-3alkyl(CO)OR<sub>5</sub>, C0-3alkylNR<sub>5</sub>R<sub>6</sub> and C0-3alkylaryl; M<sub>2</sub> = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R<sub>3</sub> = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR<sub>5</sub>, C1-4alkylhalo, halo, C1-4alkyl, etc. X<sub>4</sub> = C0-4alkylR<sub>5</sub>, C0-4alkyl(NR<sub>5</sub>R<sub>6</sub>), C0-4-alkyl(NR<sub>5</sub>R<sub>6</sub>):N, NR<sub>5</sub>C0-4alkyl(NR<sub>5</sub>R<sub>6</sub>):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO<sub>2</sub> and S; Q is a 5- or 6-membered ring containing ≥1 C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S and which fused ring may be substituted by ≥1 A. R<sub>4</sub> = H, hydroxy, C0-6alkylcyano, oxo, NR<sub>5</sub>, NOR<sub>5</sub>, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing ≥1 atoms = C, N, O or S, wherein said ring may be substituted by ≥1 A; R<sub>5</sub>, R<sub>6</sub> = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims.

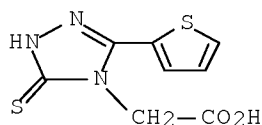
IT 660417-26-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of five-membered heterocyclic compds. as mGluR5 receptor antagonists)

RN 660417-26-5 CAPLUS

CN 4H-1,2,4-Triazole-4-acetic acid, 1,5-dihydro-3-(2-thienyl)-5-thioxo- (CA INDEX NAME)



L23 ANSWER 5 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:120847 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 140:163701

TITLE: Preparation of substituted thiophene-2-hydroxamic acids as histone deacetylase inhibitors useful against disorders involving increased cell proliferation

INVENTOR(S): Archer, Janet Ann; Bordogna, Walter; Bull, Richard James; Clark, David Edward; Dyke, Hazel Joan; Gill, Matthew Iain Andrew; Harris, Neil Victor; Van Den Heuvel, Marco; Price, Stephen

PATENT ASSIGNEE(S): Argenta Discovery Limited, UK

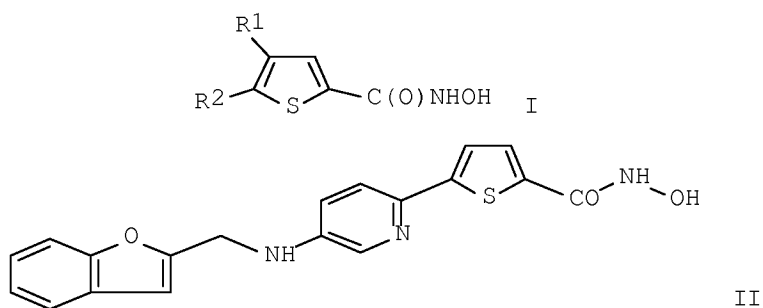
SOURCE: PCT Int. Appl., 218 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.   | DATE           |
|---|------|----------|-------------------|----------------|
| WO 2004013130   | A1   | 20040212 | WO 2003-GB3168    | 20030724 <--   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,<br>CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,<br>GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,<br>LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,<br>PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,<br>TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,<br>KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,<br>FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,<br>BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                   |                |
| CA 2494114  | A1   | 20040212 | CA 2003-2494114   | 20030724 <--   |
| AU 2003255724   | A1   | 20040223 | AU 2003-255724    | 20030724 <--   |
| EP 1525199  | A1   | 20050427 | EP 2003-766437    | 20030724 <--   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  |      |          |                   |                |
| BR 2003013371   | A    | 20050705 | BR 2003-13371     | 20030724 <--   |
| CN 1684957  | A    | 20051019 | CN 2003-823154    | 20030724 <--   |
| JP 2005539001   | T    | 20051222 | JP 2004-525525    | 20030724 <--   |
| MX 2005PA01334  | A    | 20050908 | MX 2005-PA1334    | 20050202 <--   |
| NO 2005001107   | A    | 20050420 | NO 2005-1107      | 20050301 <--   |
| US 20060122234  | A1   | 20060608 | US 2005-522873    | 20051004 <--   |
| PRIORITY APPLN. INFO.:  |      |          | GB 2002-18040     | A 20020802 <-- |
|   |      |          | GB 2003-10462     | A 20030507 <-- |
|   |      |          | WO 2003-GB3168    | W 20030724 <-- |
| OTHER SOURCE(S):  |      |          | MARPAT 140:163701 |                |
| GI  |      |          |                   |                |



AB Thiophene-2-hydroxamic acids (shown as I; variables defined below; e.g. II) and corresponding N-oxides, pharmaceutically acceptable salts, solvates and prodrugs of such compds. and their use in the treatment of diseases associated with histone deacetylase enzymic activity (e.g. cancer, psoriasis, fibroproliferative disorders, smooth muscle cell proliferation disorders, etc.) are claimed. Although the methods of preparation are not claimed, >100 example preps. are included. For example, 5-(2-methyl-5-trifluoromethyl-2H-pyrazol-3-yl)thiophene-2-carboxylic acid hydroxyamide was prepared in 96% yield deprotection of 5-(2-methyl-5- trifluoromethyl-2H-pyrazol-3-

yl)thiophene-2-carboxylic acid (tetrahydropyran-2-yloxy)amide in MeOH using p-toluenesulfonic acid; the reactant was prepared in 78% yield by amide formation of 5-[2-methyl-5-(trifluoromethyl)-2H-pyrazol-3-yl]thiophene-2-carboxylic acid with O-(tetrahydro-2H-pyran-2-yl)hydroxylamine in DMF using diisopropylethylamine and O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate. Histone deacetylase inhibitory activity is reported for 6 examples of I, e.g. IC<sub>50</sub> 0.062 μM for II; 5 of these were tested for their ability to reduce cell proliferation in 2 cell lines (MCF-7 and MDA-MB-231; human mammary gland adenocarcinoma), e.g. IC<sub>50</sub> = 0.6 and 2.0 μM, resp. for II. For I: R<sub>1</sub> = aryl or heteroaryl, each (un)substituted by ≥1 R<sub>3</sub>, alkylendioxy, carboxy, cyano, halo, hydroxy, nitro, haloalkyl, haloalkoxy, -C(O)R<sub>3</sub>, -C(O)OR<sub>3</sub>, -C(:Z)NR<sub>4</sub>R<sub>5</sub>, -NR<sub>4</sub>R<sub>5</sub>, -NR<sub>6</sub>C(O)OR<sub>3</sub>, -NR<sub>6</sub>C(O)NR<sub>4</sub>R<sub>5</sub>, -NR<sub>6</sub>C(:Z)R<sub>3</sub>, -OC(O)NR<sub>4</sub>R<sub>5</sub>, -NR<sub>6</sub>SO<sub>2</sub>R<sub>3</sub>, -OR<sub>3</sub>, -OC(O)R<sub>3</sub>, -SH, -SR<sub>3</sub>, -SOR<sub>3</sub>, -SO<sub>2</sub>R<sub>3</sub> and -SO<sub>2</sub>NR<sub>4</sub>R<sub>5</sub>; R<sub>2</sub> = H, chloro, cyano, fluoro, alkoxy, alkyl, or haloalkyl; R<sub>3</sub> = aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocycloalkyl or R<sub>7</sub>; R<sub>4</sub> and R<sub>5</sub> = H, alkyl, alkenyl, aryl, heteroaryl, cycloalkyl, cycloalkenyl or heterocycloalkyl, wherein said alkyl or alkenyl are (un)substituted by aryl, heteroaryl, cycloalkyl, cycloalkenyl or heterocycloalkyl; or the group -NR<sub>4</sub>R<sub>5</sub> may form a cyclic amine; R<sub>6</sub> = H or lower alkyl; R<sub>7</sub> = alkyl, alkenyl and alkynyl, wherein said alkyl, alkenyl or alkynyl are (un)substituted by ≥1 aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocycloalkyl, hydroxy, -C(:Z)NR<sub>4</sub>R<sub>5</sub>, -NR<sub>4</sub>R<sub>5</sub>, -NR<sub>6</sub>C(:Z)R<sub>8</sub>, -OC(O)NR<sub>4</sub>R<sub>5</sub>, -NR<sub>6</sub>C(O)OR<sub>8</sub>, -NR<sub>6</sub>C(O)NR<sub>4</sub>R<sub>5</sub>, -NR<sub>6</sub>SO<sub>2</sub>R<sub>8</sub>, -OR<sub>8</sub>, -SOR<sub>8</sub>, SO<sub>2</sub>R<sub>8</sub> and -SO<sub>2</sub>NR<sub>4</sub>R<sub>5</sub>; R<sub>8</sub> = alkyl, alkenyl or alkynyl, (un)substituted by ≥1 aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocycloalkyl, hydroxy and halogen; or R<sub>8</sub> = aryl, heteroaryl, cycloalkyl, cycloalkenyl or heterocycloalkyl; and Z is O or S.

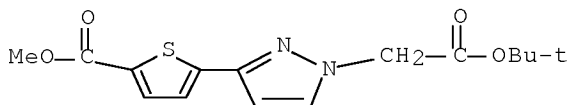
IT 656227-30-4P, 5-[1-[(tert-Butoxycarbonyl)methyl]-1H-pyrazol-3-yl]thiophene-2-carboxylic acid methyl ester 656227-56-4P, 5-(1-Carboxymethyl-1H-pyrazol-3-yl)thiophene-2-carboxylic acid methyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiophene-2-hydroxamic acids as histone deacetylase inhibitors useful against disorders involving increased cell proliferation)

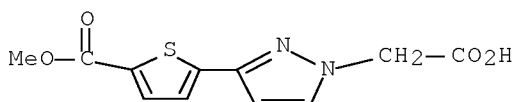
RN 656227-30-4 CAPLUS

CN 1H-Pyrazole-1-acetic acid, 3-[5-(methoxycarbonyl)-2-thienyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 656227-56-4 CAPLUS

CN 1H-Pyrazole-1-acetic acid, 3-[5-(methoxycarbonyl)-2-thienyl]- (CA INDEX NAME)



L23 ANSWER 6 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:696876 CAPLUS Full-text

DOCUMENT NUMBER: 139:230781

TITLE: Preparation of azole compounds for prevention or treatment of diabetic neuropathy

INVENTOR(S): Sakai, Nozomu; Momose, Yu; Murase, Katsuhito; Hazama, Masatoshi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 307 pp.

CODEN: PIXXD2

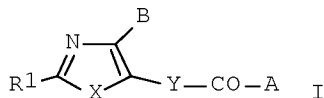
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE           |
|------------------------|--|----------|-----------------|----------------|
| -----                  | ---  | -----    | -----           | -----          |
| WO 2003072554          | A1   | 20030904 | WO 2003-JP2217  | 20030227 <--   |
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| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |                |
| AU 2003211385          | A1   | 20030909 | AU 2003-211385  | 20030227 <--   |
| JP 2003321460          | A  | 20031111 | JP 2003-50286   | 20030227 <--   |
| EP 1486490             | A1   | 20041215 | EP 2003-742890  | 20030227 <--   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |          |                 |                |
| US 20050090534         | A1   | 20050428 | US 2004-505742  | 20040825 <--   |
| US 7183276             | B2   | 20070227 |                 |                |
| PRIORITY APPLN. INFO.: |  |          | JP 2002-53933   | A 20020228 <-- |
|                        |  |          | WO 2003-JP2217  | W 20030227 <-- |
| OTHER SOURCE(S):       | MARPAT 139:230781  |          |                 |                |
| GI                     |  |          |                 |                |



AB The title compds. I [R1 is hydrogen, halogeno, an optionally substituted hydrocarbon group, an optionally substituted heterocyclic group, optionally substituted hydroxyl, optionally substituted mercapto, or optionally substituted amino; A is optionally substituted cycloamino, etc.; B is an optionally substituted hydrocarbon group or an optionally substituted heterocyclic group; X is oxygen, sulfur, or optionally substituted nitrogen; and Y is a bond or a divalent acyclic hydrocarbon group] are prepared The



bioactivity of compds. of this invention was demonstrated. Formulations are given.

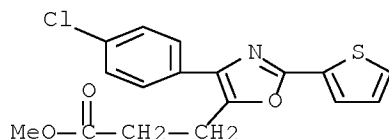
IT 595597-49-2P 595597-60-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azole compds. for prevention and treatment of diabetic neuropathy)

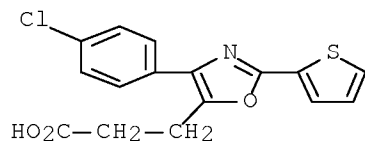
RN 595597-49-2 CAPLUS

CN 5-Oxazolepropanoic acid, 4-(4-chlorophenyl)-2-(2-thienyl)-, methyl ester (CA INDEX NAME)



RN 595597-60-7 CAPLUS

CN 5-Oxazolepropanoic acid, 4-(4-chlorophenyl)-2-(2-thienyl)- (CA INDEX NAME)



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 7 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:591157 CAPLUS Full-text

DOCUMENT NUMBER: 139:149641

TITLE: Preparation of pyrimidinones as viral polymerase inhibitors

INVENTOR(S): Avolio, Salvatore; Colarusso, Stefania; Conte, Immacolata; Harper, Steven; Koch, Uwe; Malancona, Savina; Matassa, Victor Giulio; Narjes, Frank; Petrocchi, Alessia; Summa, Vincenzo

PATENT ASSIGNEE(S): Istituto Di Ricerche Di Biologia Molecolare P. Angeletti Spa, Italy

SOURCE: PCT Int. Appl., 82 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

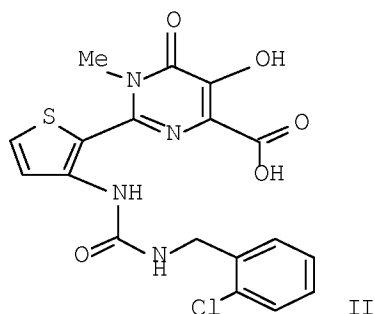
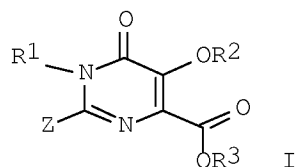
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE         |
|---------------|------|----------|-----------------|--------------|
| WO 2003062211 | A1   | 20030731 | WO 2003-GB124   | 20030115 <-- |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,  
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,  
PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,  
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
CA 2473508 A1 20030731 CA 2003-2473508 20030115 <--  
EP 1470113 A1 20041027 EP 2003-700366 20030115 <--  
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JP 2005524627 T 20050818 JP 2003-562091 20030115 <--  
US 20050130997 A1 20050616 US 2005-500971 20050216 <--  
PRIORITY APPLN. INFO.: GB 2002-1179 A 20020118 <--  
WO 2003-GB124 W 20030115 <--  
OTHER SOURCE(S): MARPAT 139:149641  
GI



AB Title compds. I [wherein Z = (un)substituted alkynyl, aryl, or heteroaryl; R1 = (un)substituted alkyl or (aryl)alkyl; R2 = H, (un)substituted alkyl, alkylcarbonyl, aryl, arylcarbonyl, heteroaryl, (aryl)alkyl, (heteroaryl)alkyl; R3 = H, alkyl, (heterocycloalkyl)alkyl, dialkylaminoalkyl, (alkylcarbonyloxy)alkyl, (cycloalkoxycarbonyloxy)alkyl; and their pharmaceutically acceptable salts] were prepared as inhibitors of viral polymerases, especially the hepatitis C virus (HCV) polymerase enzyme. For example, II was prepared from 3-nitrothiophene-2-carbonitrile (preparation given) by base-catalyzed nucleophilic addition of hydroxylamine, reaction with di-Me acetylenedicarboxylate in CH2Cl2, intramol. cyclocondensation in xylene, room temperature O-acylation with pivaloyl chloride in the presence of 4-DMAP, base-catalyzed N-methylation with di-Me sulfate for 1 h, hydrogenation over Pd/C, and reaction with ortho-chlorobenzyl isocyanate in dichloromethane. I

exhibited an IC50 value of 100 µM or less for inhibition of HCV polymerase. Thus, I and their pharmaceutical compns. are useful for treating or preventing an illness due to HCV (no data).

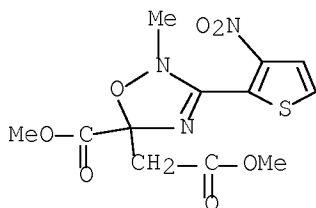
IT 572917-28-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyrimidinones as viral polymerase inhibitors)

RN 572917-28-3 CAPLUS

CN 1,2,4-Oxadiazole-5-acetic acid, 2,5-dihydro-5-(methoxycarbonyl)-2-methyl-3-(3-nitro-2-thienyl)-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:154251 CAPLUS Full-text

DOCUMENT NUMBER: 138:205069

TITLE: Preparation of 2H-phthalazin-1-ones as poly(ADP-ribose)polymerase inhibitors for treatment of cancer

INVENTOR(S): Beaton, Graham; Moree, Wilna J.; Rueter, Jaimie K.; Dahl, Russell S.; McElligott, David L.; Goldman, Phyllis; Demaggio, Anthony J.; Christenson, Erik; Herendeen, Dan; Fowler, Kerry W.; Huang, Danwen; Bertino, Jaimie A.; Bourdon, Lisa H.; Fairfax, David J.; Jiang, Qin; Reisch, Helge A.; Song, Ren Hua; Zhichkin, Pavel E.

PATENT ASSIGNEE(S): Icos Corporation, USA

SOURCE: PCT Int. Appl., 229 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE         |
|---------------|--|----------|-----------------|--------------|
| -----         | ---  | -----    | -----           | -----        |
| WO 2003015785 | A1   | 20030227 | WO 2002-US26271 | 20020815 <-- |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |              |
| RW:           | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  |          |                 |              |

NE, SN, TD, TG

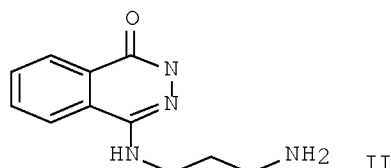
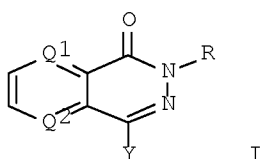
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|----------------|----|----------|-----------------|--------------|
| CA 2456985     | A1 | 20030227 | CA 2002-2456985 | 20020815 <-- |
| AU 2002331621  | A1 | 20030303 | AU 2002-331621  | 20020815 <-- |
| US 20040087588 | A1 | 20040506 | US 2002-222749  | 20020815 <-- |
| US 6924284     | B2 | 20050802 |                 |              |
| EP 1423120     | A1 | 20040602 | EP 2002-768596  | 20020815 <-- |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

|                |   |          |                |              |
|----------------|---|----------|----------------|--------------|
| CN 1568187     | A | 20050119 | CN 2002-820219 | 20020815 <-- |
| JP 2005501848  | T | 20050120 | JP 2003-520744 | 20020815 <-- |
| NZ 531245      | A | 20050930 | NZ 2002-531245 | 20020815 <-- |
| MX 2004PA01353 | A | 20041027 | MX 2004-PA1353 | 20040212 <-- |

PRIORITY APPLN. INFO.: US 2001-312540P P 20010815 <--  
WO 2002-US26271 W 20020815 <--

OTHER SOURCE(S): MARPAT 138:205069  
GI



AB Title compds. and derivs. thereof I [wherein Q1 and Q2 = independently N or CRa; Ra = H, halo, NO<sub>2</sub>, or alkyl; R = H, alkyl, or N-protecting group; Y = NR<sub>1</sub>R<sub>2</sub>, R<sub>3</sub>C(=X<sub>1</sub>)Y<sub>1</sub>, (alkylene)x-NR<sub>11</sub>R<sub>12</sub>NR<sub>13</sub>[C(=X<sub>3</sub>)]c(NR<sub>14</sub>)d(R<sub>15</sub>)e[C(=X<sub>4</sub>)]fR<sub>16</sub>, or NR<sub>11</sub>R<sub>12</sub>N=CR<sub>20</sub>R<sub>21</sub>; R<sub>1</sub>, R<sub>14</sub>, and R<sub>20</sub> = independently H or alkyl; R<sub>2</sub> = arylcarbonyl, heteroalkyl, cyclo(alkyl), alkenyl, alkynyl, etc.; R<sub>3</sub> = alkylene; X<sub>1</sub>, X<sub>3</sub>, and X<sub>4</sub> = independently O or S; Y<sub>1</sub> = NR<sub>4</sub>R<sub>5</sub>; R<sub>4</sub> = H, (hetero)alkyl, or aralkyl; R<sub>5</sub> = (un)substituted aralkyl, heteroalkyl, heterocyclyl, heteroaryl(alkyl), arylsulfonylamino, etc.; x = 0-1; R<sub>11</sub> = H, alkyl, or (un)substituted heteroaralkyl; R<sub>12</sub> = (cyclo)alkylene, heteroalkylene, aralkylene, or arylene; or NR<sub>11</sub>R<sub>12</sub> = (un)substituted heterocyclyl; c = 0-2; d-f = independently 0-1; R<sub>13</sub> = H, alkyl, arylcarbonylalkylene, etc.; R<sub>15</sub> = (hetero)alkylene or alkenylene; R<sub>16</sub> = H, (un)substituted (hetero)aryl, (hetero)alkyl, cycloalkyl, aralkoxy, amino, arylsulfonylamino, etc.; R<sub>21</sub> = alkyl, or substituted heteroaryl; and pharmaceutically acceptable salts, hydrates, solvates, or prodrugs thereof] were prepared as poly(ADP-ribose)polymerase (PARP) inhibitors (no data). For example, condensation of 1,3-propanediamine with phthalic anhydride in EtOH gave 3,4-dihydropyrimido[1,2-a]indol-10(2H)-one, which was dissolved in ethylene glycol and reacted with NH<sub>2</sub>NH<sub>2</sub>•H<sub>2</sub>O to afford II (51%). I are useful for radiosensitizing and chemosensitizing tumor cells for the treatment of cancer (no data).

IT 500025-29-6P, 3-[3-(Thiophen-2-yl)-1,2,4-oxadiazol-5-yl]propionic acid 500025-30-9P, 3-[3-(Thiophen-3-yl)-1,2,4-oxadiazol-5-yl]propionic acid 500025-35-4P, 3-[3-(5-Nitrothiophen-3-yl)-1,2,4-oxadiazol-5-yl]propionic acid 500025-38-7P, 3-[3-[5-(tert-Butoxycarbonylamino)thiophen-3-yl]-1,2,4-oxadiazol-5-yl]propionic acid 500025-69-4P, Methyl 3-[3-[2-(tert-butoxycarbonylamino)thiophen-4-yl]-1,2,4-oxadiazol-5-yl]propionate 500025-70-7P, Methyl 3-[3-[2-(tert-butoxycarbonylamino)thiophen-5-yl]-1,2,4-oxadiazol

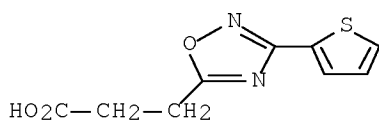
-5-yl]propionate 500025-79-6P, 3-[4-[5-(2-Carbomethoxyethyl)-1,2,4-oxadiazol-3-yl]thiophen-2-yl]-1-isobutylurea 500025-80-9P, Methyl 3-[3-[2-(Ethanesulfonylamino)thiophene-4-yl]-1,2,4-oxadiazol-5-yl]propionate 500026-08-4P, Methyl 3-[3-(5-benzyloxycarbonylaminothiophen-2-yl)-1,2,4-oxadiazol-5-yl]propionate 500026-09-5P, 3-[3-(5-Ethoxycarbonylaminothiophen-3-yl)-1,2,4-oxadiazol-5-yl]propionic acid methyl ester 500026-10-8P, 3-[3-(5-Propionylaminothiophen-3-yl)-1,2,4-oxadiazol-5-yl]propionic acid methyl ester 500026-11-9P, 3-[3-[5-(3-Methylbutyrylamino)thiophen-3-yl]-1,2,4-oxadiazol-5-yl]propionic acid methyl ester 500026-12-0P, 3-[3-(5-Benzyloxycarbonylaminothiophen-3-yl)-1,2,4-oxadiazol-5-yl]propionic acid methyl ester 500026-18-6P, 3-[3-(2-Propionylaminothiophene-4-yl)-1,2,4-oxadiazol-5-yl]propionic acid 500026-19-7P, 3-[3-[2-(3-Methylbutyrylamino)thiophen-4-yl]-1,2,4-oxadiazol-5-yl]propionic acid 500026-20-0P, 3-[3-(2-Benzyloxycarbonylaminothiophen-5-yl)-1,2,4-oxadiazol-5-yl]propionic acid 500026-21-1P, 3-[3-(2-Benzyloxycarbonylaminothiophen-4-yl)-1,2,4-oxadiazol-5-yl]propionic acid 500026-44-8P, 3-[3-(5-Ethoxycarbonylaminothiophen-3-yl)-1,2,4-oxadiazol-5-yl]propionic acid 500026-45-9P, 3-[3-[2-(tert-Butoxycarbonylamino)thiophen-5-yl]-1,2,4-oxadiazol-5-yl]propionic acid 500026-46-0P, 3-[4-[5-(2-Carboxyethyl)-1,2,4-oxadiazol-3-yl]thiophen-2-yl]-1-isobutylurea 500026-47-1P, 3-[3-[2-(Ethanesulfonylamino)thiophene-4-yl]-1,2,4-oxadiazol-5-yl]propionic acid

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of phthalazinone PARP inhibitors for treatment of cancer)

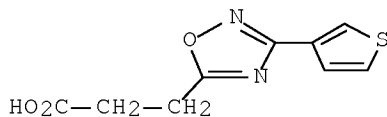
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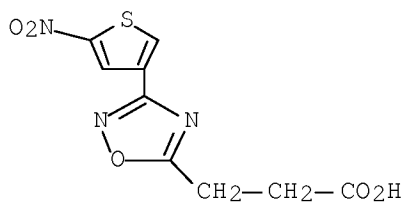
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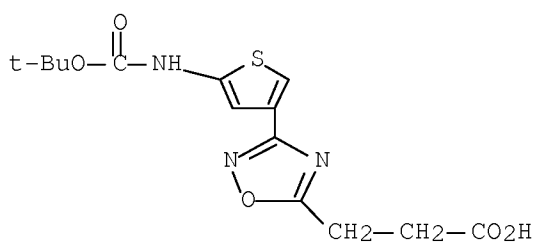
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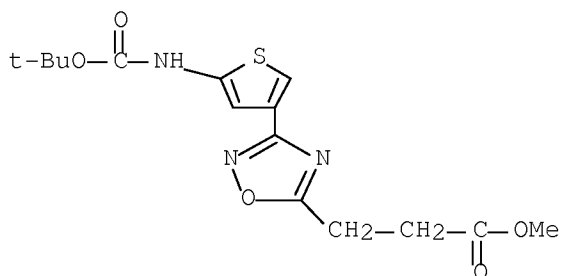
RN 500025-38-7 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-[5-[(1,1-dimethylethoxy)carbonyl]amino]-3-thienyl]- (CA INDEX NAME)



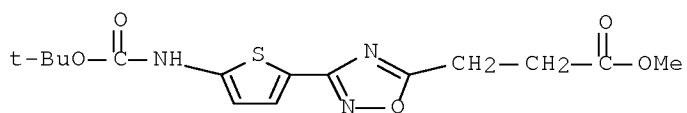
RN 500025-69-4 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-[5-[(1,1-dimethylethoxy)carbonyl]amino]-3-thienyl]-, methyl ester (CA INDEX NAME)



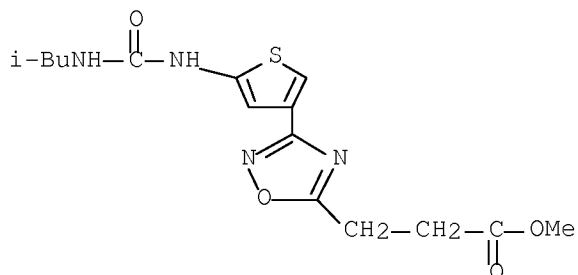
RN 500025-70-7 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-[5-[(1,1-dimethylethoxy)carbonyl]amino]-2-thienyl]-, methyl ester (CA INDEX NAME)



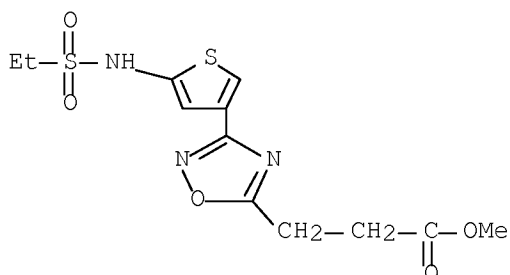
RN 500025-79-6 CAPLUS

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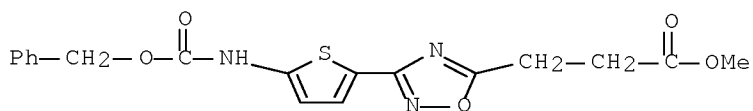
RN 500025-80-9 CAPLUS

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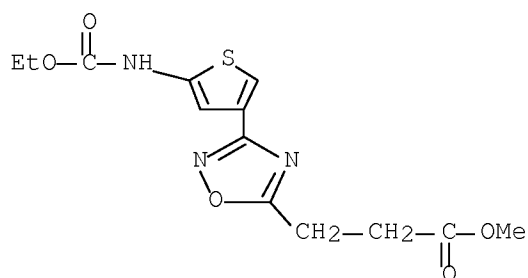
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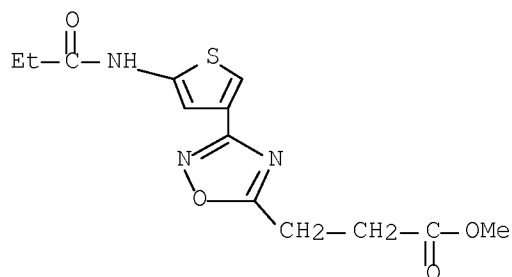
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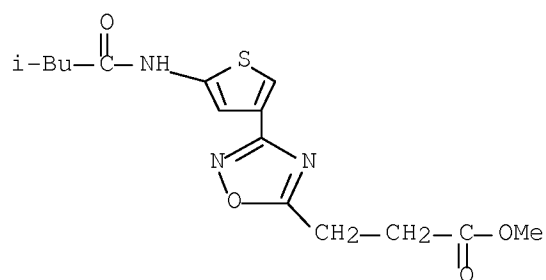
RN 500026-10-8 CAPLUS

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RN 500026-11-9 CAPLUS

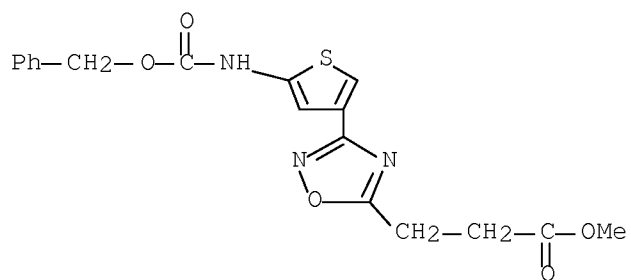
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RN 500026-12-0 CAPLUS

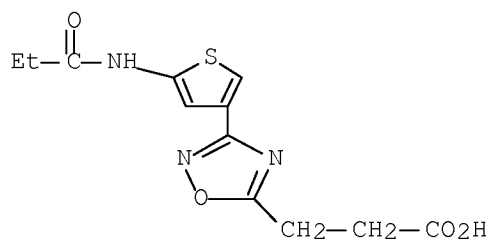
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-[5-[[[(phenylmethoxy)carbonyl]amino]-3-thienyl]-, methyl ester (CA INDEX NAME)





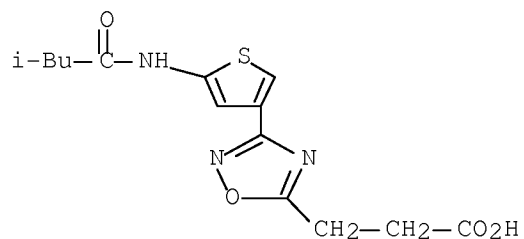
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CN 1,2,4-Oxadiazole-5-propanoic acid, 3-[5-[(1-oxopropyl)amino]-3-thienyl]-  
(CA INDEX NAME)



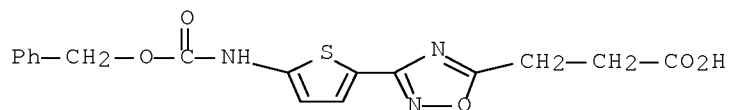
RN 500026-19-7 CAPLUS

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(CA INDEX NAME)



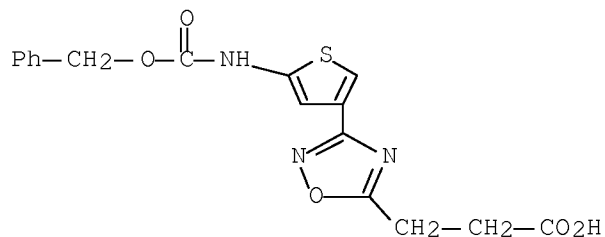
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CN 1,2,4-Oxadiazole-5-propanoic acid, 3-[5-[(phenylmethoxy)carbonyl]amino]-2-thienyl]-  
(CA INDEX NAME)



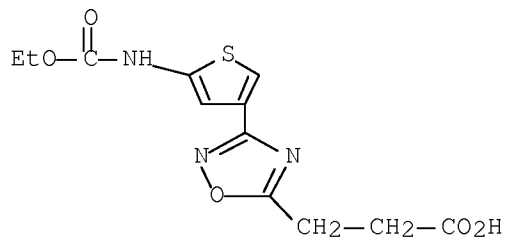
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CN 1,2,4-Oxadiazole-5-propanoic acid, 3-[5-[(phenylmethoxy)carbonyl]amino]-3-thienyl]- (CA INDEX NAME)



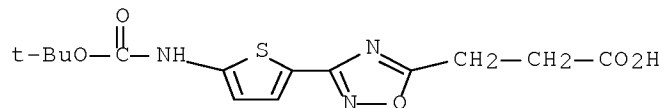
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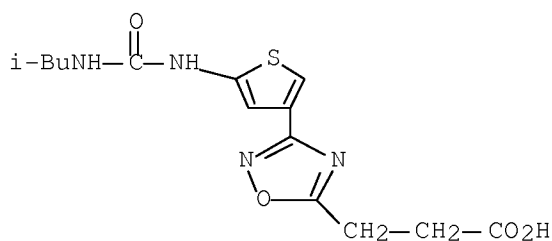
RN 500026-45-9 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-[5-[[[(1,1-dimethylethoxy)carbonyl]amino]-2-thienyl]- (CA INDEX NAME)

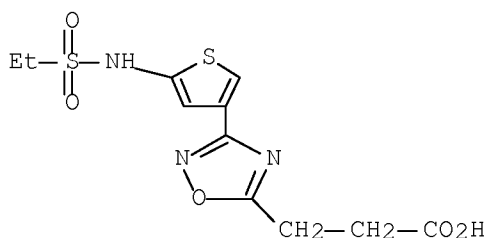


RN 500026-46-0 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-[5-[[[(2-methylpropyl)amino]carbonyl]amino]-3-thienyl]- (CA INDEX NAME)



RN 500026-47-1 CAPLUS  
 CN 1,2,4-Oxadiazole-5-propanoic acid, 3-[5-[(ethylsulfonyl)amino]-3-thienyl]-  
 (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

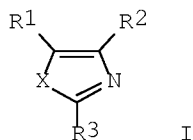
L23 ANSWER 9 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:813909 CAPLUS Full-text  
 DOCUMENT NUMBER: 137:325416  
 TITLE: Preparation of substituted imidazoles/oxazoles  
 /thiazoles as large conductance  
 calcium-activated K channel openers  
 INVENTOR(S): Hongu, Mitsuya; Hosaka, Thoshihiro; Kashiwagi,  
 Toshihiko; Kono, Rikako; Kobayashi, Hiroyuki  
 PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 302 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE         |
|---------------|------|----------|-----------------|--------------|
| WO 2002083111 | A2   | 20021024 | WO 2002-JP3723  | 20020415 <-- |
| WO 2002083111 | A3   | 20040415 |                 |              |

W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM,  
 DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR,  
 LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SG, SI, SK,  
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 KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,  
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GN, GQ, GW, ML, MR, NE, SN, TD, TG

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| HU 2003003829  | A2                | 20040301 | HU 2003-3829    | 20020415 <--    |
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| EP 1432690   | A2                | 20040630 | EP 2002-714577  | 20020415 <--    |
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| JP 2004531522  | T                 | 20041014 | JP 2002-580915  | 20020415 <--    |
| JP 4073786   | B2                | 20080409 |                 |                 |
| NZ 529043  | A                 | 20061130 | NZ 2002-529043  | 20020415 <--    |
| MX 2003PA09440   | A                 | 20040212 | MX 2003-PA9440  | 20031015 <--    |
| US 20040127527   | A1                | 20040701 | US 2004-474850  | 20040210 <--    |
| AU 2005202751  | A1                | 20050714 | AU 2005-202751  | 20050623 <--    |
| JP 2008044952  | A                 | 20080228 | JP 2007-241012  | 20070918 <--    |
| PRIORITY APPLN. INFO.:   |                   |          | JP 2001-116436  | A 20010416 <--  |
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|  |                   |          | JP 2002-580915  | A3 20020415 <-- |
|  |                   |          | WO 2002-JP3723  | W 20020415 <--  |
| OTHER SOURCE(S):   | MARPAT 137:325416 |          |                 |                 |
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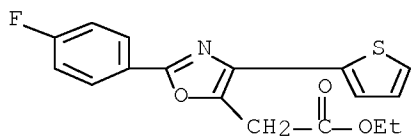


AB The title compds. [I; X = NR<sub>4</sub>, O, S; R<sub>1</sub>, R<sub>2</sub> = H, halo, CO<sub>2</sub>H, etc.; R<sub>3</sub> = aryl, heterocyclyl, alkyl; R<sub>4</sub> = H, alkyl], useful in the prophylaxis and/or treatment for pollakiuria or urinary incontinence, were prepared Thus, reacting 5-ethyl-2-iodo-4-(3-pyridyl)imidazole with 3-(hydroxymethyl)thiophene-2-boric acid in the presence of Pd(PPh<sub>3</sub>)<sub>4</sub> and aqueous 2M Na<sub>2</sub>CO<sub>3</sub> in dimethoxyethane afforded I.2HCl [X = NH; R<sub>1</sub> = Et; R<sub>2</sub> = 3-pyridyl; R<sub>3</sub> = 3-(hydroxymethyl)thien-2-yl] which showed 100% inhibition time of 10-20 min in test on the rhythmic bladder contractions induced by substance P in anesthetized rats.

IT 473684-75-2P 473684-83-2P 473688-69-6P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of imidazoles/oxazoles/thiazoles as large conductance calcium-activated K channel openers)

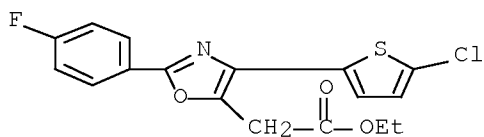
RN 473684-75-2 CAPLUS

CN 5-Oxazoleacetic acid, 2-(4-fluorophenyl)-4-(2-thienyl)-, ethyl ester (CA INDEX NAME)



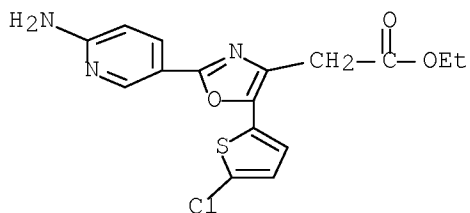
RN 473684-83-2 CAPLUS

CN 5-Oxazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(4-fluorophenyl)-, ethyl ester (CA INDEX NAME)



RN 473688-69-6 CAPLUS

CN 4-Oxazoleacetic acid, 2-(6-amino-3-pyridinyl)-5-(5-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)



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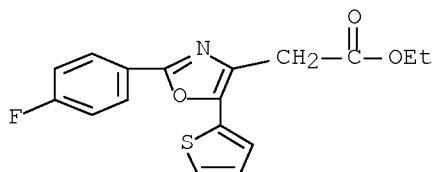
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of imidazoles/oxazoles/thiazoles as large  
conductance calcium-activated K channel openers)

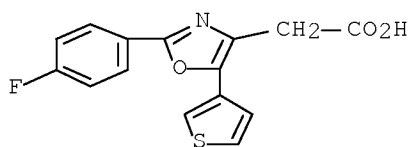
RN 85162-09-0 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(2-thienyl)-, ethyl ester (CA  
INDEX NAME)



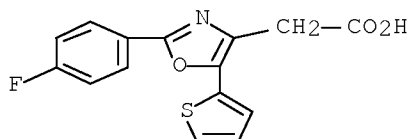
RN 85162-11-4 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)- (CA INDEX NAME)



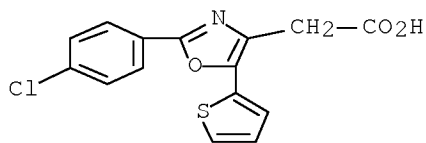
RN 85162-12-5 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(2-thienyl)- (CA INDEX NAME)



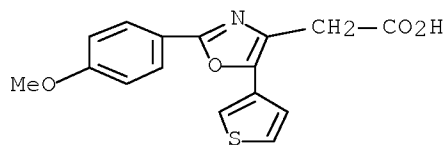
RN 99923-84-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(2-thienyl)- (CA INDEX NAME)



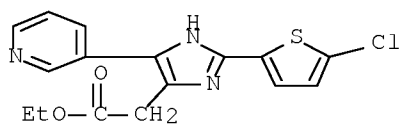
RN 99923-87-2 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-(3-thienyl)- (CA INDEX NAME)



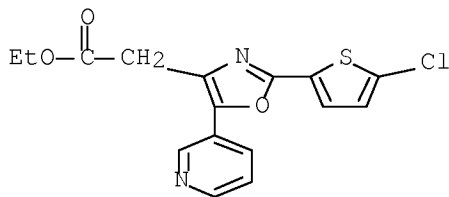
RN 473683-12-4 CAPLUS

CN 1H-Imidazole-4-acetic acid, 2-(5-chloro-2-thienyl)-5-(3-pyridinyl)-, ethyl ester (CA INDEX NAME)



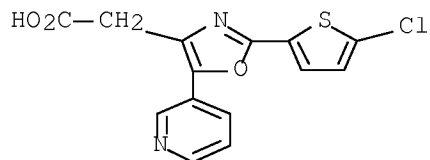
RN 473683-26-0 CAPLUS

CN 4-Oxazoleacetic acid, 2-(5-chloro-2-thienyl)-5-(3-pyridinyl)-, ethyl ester (CA INDEX NAME)



RN 473684-63-8 CAPLUS

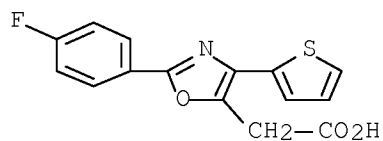
CN 4-Oxazoleacetic acid, 2-(5-chloro-2-thienyl)-5-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



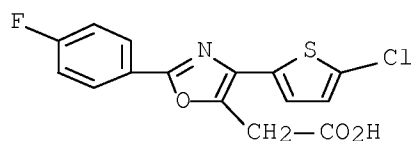
● HCl



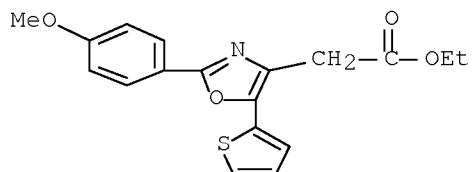
RN 473684-77-4 CAPLUS  
CN 5-Oxazoleacetic acid, 2-(4-fluorophenyl)-4-(2-thienyl)-, sodium salt (9CI)  
(CA INDEX NAME)



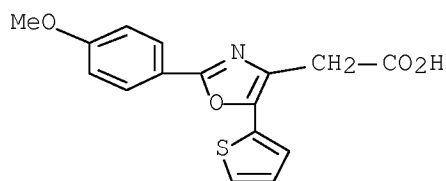
RN 473684-85-4 CAPLUS  
CN 5-Oxazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(4-fluorophenyl)-, sodium  
salt (9CI) (CA INDEX NAME)



RN 473684-89-8 CAPLUS  
CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-(2-thienyl)-, ethyl ester (CA  
INDEX NAME)



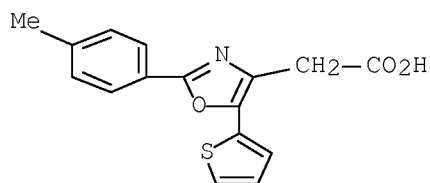
RN 473684-91-2 CAPLUS  
CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-(2-thienyl)-, sodium salt  
(9CI) (CA INDEX NAME)



● Na

RN 473684-93-4 CAPLUS

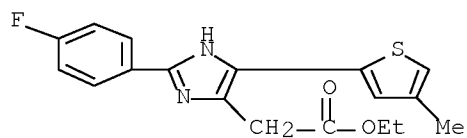
CN 4-Oxazoleacetic acid, 2-(4-methylphenyl)-5-(2-thienyl)-, sodium salt (9CI)  
(CA INDEX NAME)



● Na

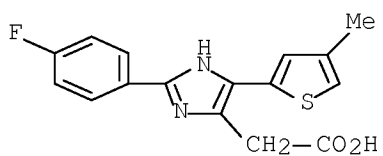
RN 473685-07-3 CAPLUS

CN 1H-Imidazole-4-acetic acid, 2-(4-fluorophenyl)-5-(4-methyl-2-thienyl)-,  
ethyl ester (CA INDEX NAME)



RN 473685-09-5 CAPLUS

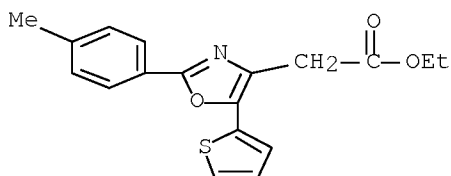
CN 1H-Imidazole-4-acetic acid, 2-(4-fluorophenyl)-5-(4-methyl-2-thienyl)-,  
monosodium salt (9CI) (CA INDEX NAME)



● Na

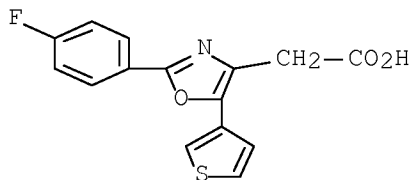
RN 473685-52-8 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methylphenyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



RN 473685-54-0 CAPLUS

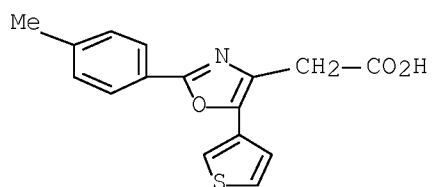
CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473685-56-2 CAPLUS

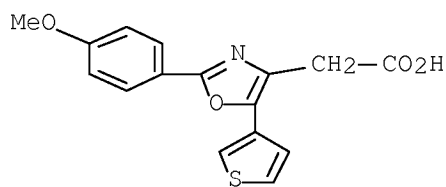
CN 4-Oxazoleacetic acid, 2-(4-methylphenyl)-5-(3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473685-58-4 CAPLUS

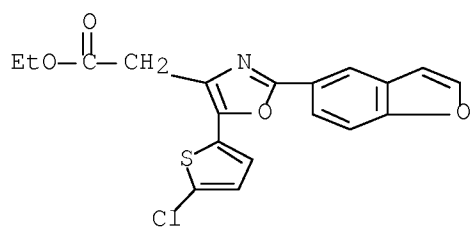
CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-(3-thienyl)-, sodium salt  
(9CI) (CA INDEX NAME)



● Na

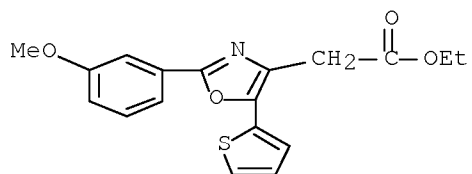
RN 473685-64-2 CAPLUS

CN 4-Oxazoleacetic acid, 2-(5-benzofuranyl)-5-(5-chloro-2-thienyl)-, ethyl ester  
ester (CA INDEX NAME)



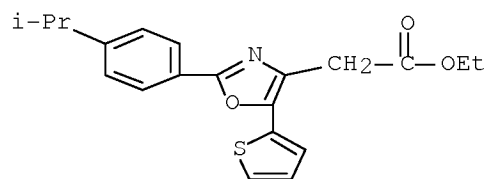
RN 473685-66-4 CAPLUS

CN 4-Oxazoleacetic acid, 2-(3-methoxyphenyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



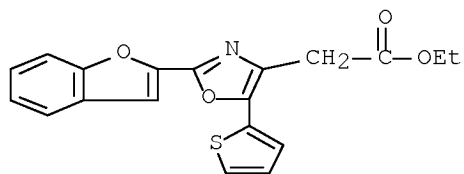
RN 473685-68-6 CAPLUS

CN 4-Oxazoleacetic acid, 2-[4-(1-methylethyl)phenyl]-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



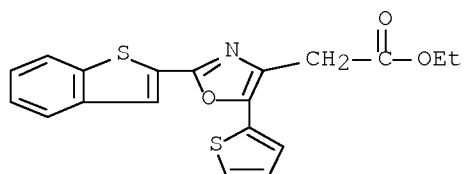
RN 473685-70-0 CAPLUS

CN 4-Oxazoleacetic acid, 2-(2-benzofuranyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



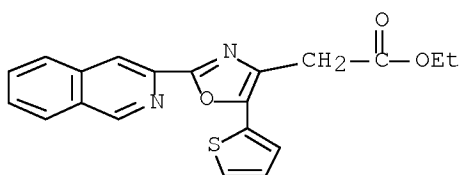
RN 473685-72-2 CAPLUS

CN 4-Oxazoleacetic acid, 2-benzo[b]thien-2-yl-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



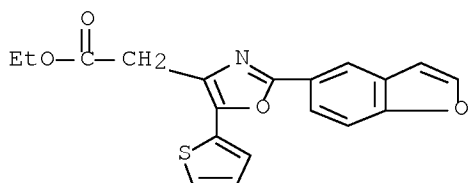
RN 473685-74-4 CAPLUS

CN 4-Oxazoleacetic acid, 2-(3-isoquinolinyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



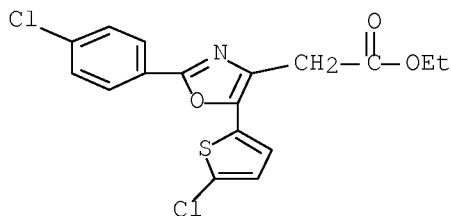
RN 473685-75-5 CAPLUS

CN 4-Oxazoloacetic acid, 2-(5-benzofuranyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



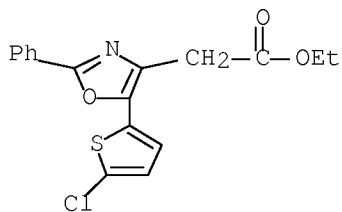
RN 473685-80-2 CAPLUS

CN 4-Oxazoloacetic acid, 2-(4-chlorophenyl)-5-(5-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)



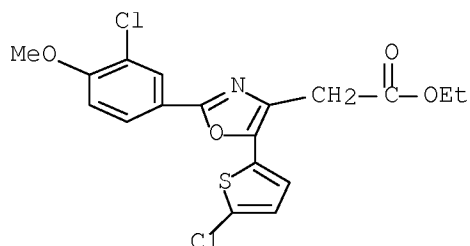
RN 473685-84-6 CAPLUS

CN 4-Oxazoloacetic acid, 5-(5-chloro-2-thienyl)-2-phenyl-, ethyl ester (CA INDEX NAME)



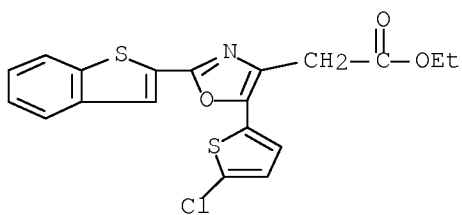
RN 473685-86-8 CAPLUS

CN 4-Oxazoleacetic acid, 2-(3-chloro-4-methoxyphenyl)-5-(5-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)



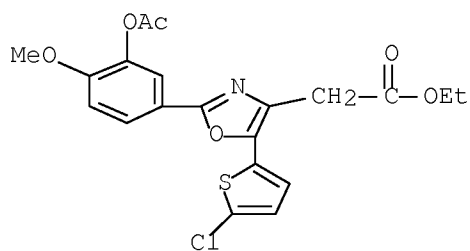
RN 473685-88-0 CAPLUS

CN 4-Oxazoleacetic acid, 2-benzo[b]thien-2-yl-5-(5-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)



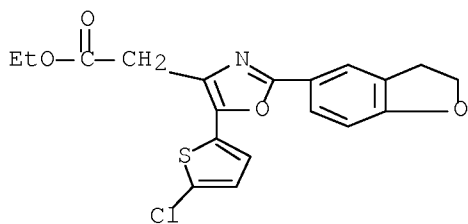
RN 473685-90-4 CAPLUS

CN 4-Oxazoleacetic acid, 2-[3-(acetyloxy)-4-methoxyphenyl]-5-(5-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)



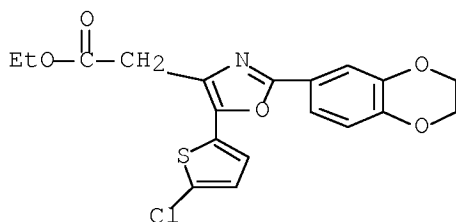
RN 473685-93-7 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(2,3-dihydro-5-benzofuranyl)-, ethyl ester (CA INDEX NAME)



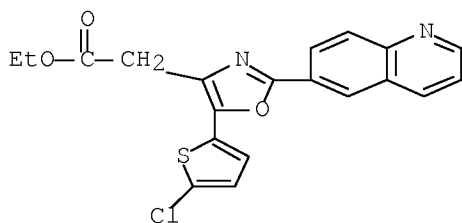
RN 473685-95-9 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(2,3-dihydro-1,4-benzodioxin-6-yl)-, ethyl ester (CA INDEX NAME)



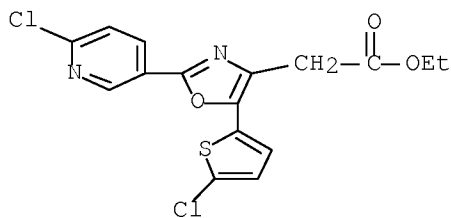
RN 473685-97-1 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(6-quinolinyl)-, ethyl ester (CA INDEX NAME)



RN 473686-01-0 CAPLUS

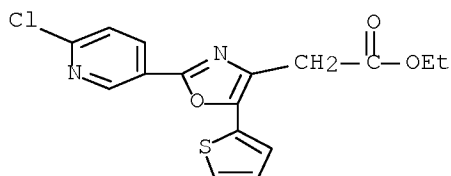
CN 4-Oxazoleacetic acid, 2-(6-chloro-3-pyridinyl)-5-(5-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)





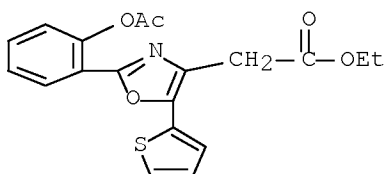
RN 473686-03-2 CAPLUS

CN 4-Oxazoleacetic acid, 2-(6-chloro-3-pyridinyl)-5-(2-thienyl)-, ethyl ester  
(CA INDEX NAME)



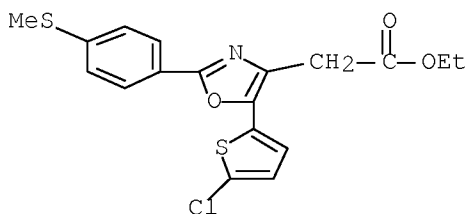
RN 473686-05-4 CAPLUS

CN 4-Oxazoleacetic acid, 2-[2-(acetyloxy)phenyl]-5-(2-thienyl)-, ethyl ester  
(CA INDEX NAME)



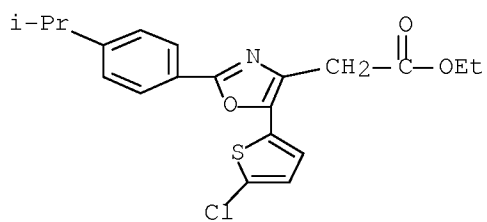
RN 473686-07-6 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[4-(methylthio)phenyl]-, ethyl ester (CA INDEX NAME)



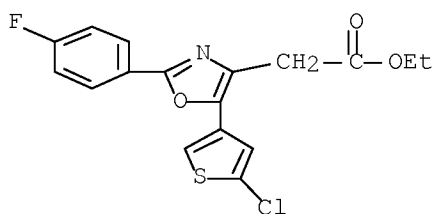
RN 473686-09-8 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[4-(1-methylethyl)phenyl]-, ethyl ester (CA INDEX NAME)



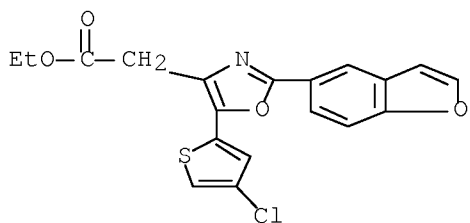
RN 473686-14-5 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-3-thienyl)-2-(4-fluorophenyl)-, ethyl ester (CA INDEX NAME)



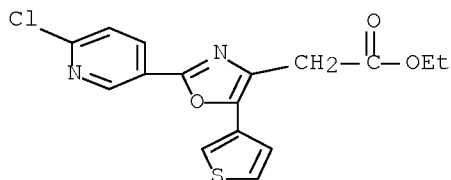
RN 473686-16-7 CAPLUS

CN 4-Oxazoleacetic acid, 2-(5-benzofuranyl)-5-(4-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)



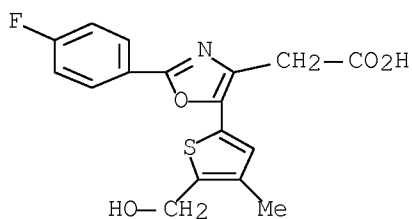
RN 473686-18-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-(6-chloro-3-pyridinyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



RN 473686-20-3 CAPLUS

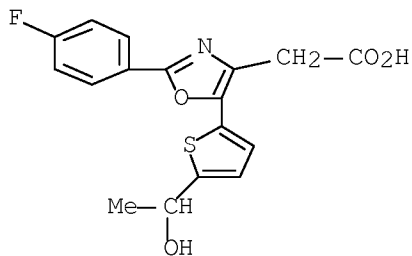
CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-[5-(hydroxymethyl)-4-methyl-2-thienyl]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 473686-22-5 CAPLUS

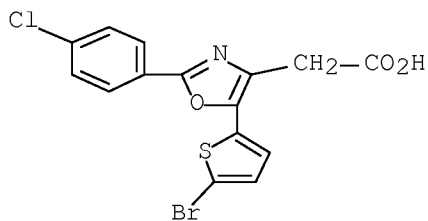
CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-[5-(1-hydroxyethyl)-2-thienyl]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

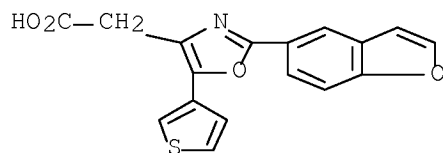
RN 473686-44-1 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-bromo-2-thienyl)-2-(4-chlorophenyl)-, sodium salt (9CI) (CA INDEX NAME)



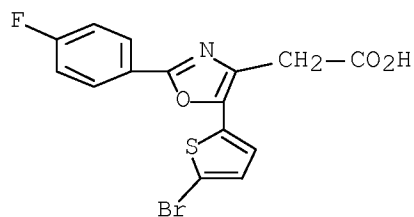
● Na

RN 473686-63-4 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(5-benzofuranyl)-5-(3-thienyl)-, sodium salt (9CI)  
 (CA INDEX NAME)



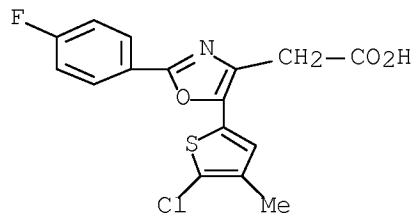
● Na

RN 473686-65-6 CAPLUS  
 CN 4-Oxazoleacetic acid, 5-(5-bromo-2-thienyl)-2-(4-fluorophenyl)-, sodium  
 salt (9CI) (CA INDEX NAME)



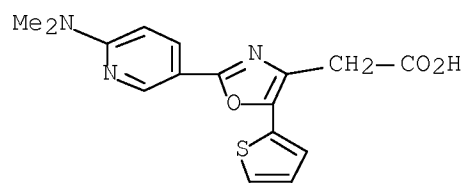
● Na

RN 473686-67-8 CAPLUS  
 CN 4-Oxazoleacetic acid, 5-(5-chloro-4-methyl-2-thienyl)-2-(4-fluorophenyl)-,  
 sodium salt (9CI) (CA INDEX NAME)



● Na

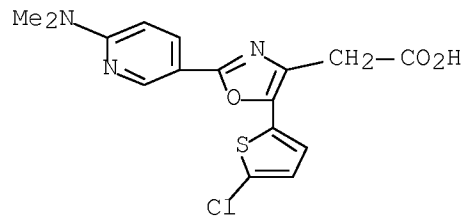
RN 473686-71-4 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-[6-(dimethylamino)-3-pyridinyl]-5-(2-thienyl)-,  
 sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473686-73-6 CAPLUS

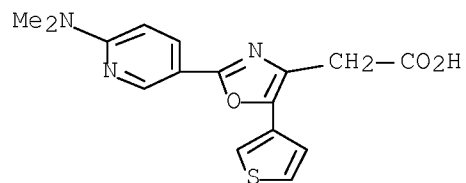
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[6-(dimethylamino)-3-pyridinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473686-75-8 CAPLUS

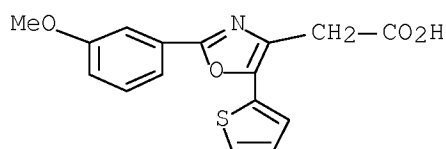
CN 4-Oxazoleacetic acid, 2-[6-(dimethylamino)-3-pyridinyl]-5-(3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473686-79-2 CAPLUS

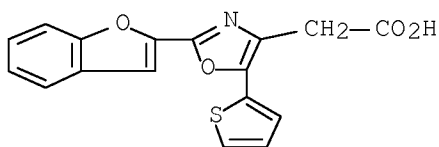
CN 4-Oxazoleacetic acid, 2-(3-methoxyphenyl)-5-(2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473686-85-0 CAPLUS

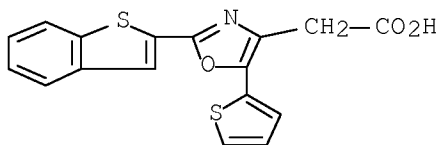
CN 4-Oxazoleacetic acid, 2-(2-benzofuranyl)-5-(2-thienyl)-, sodium salt (9CI)  
(CA INDEX NAME)



● Na

RN 473686-87-2 CAPLUS

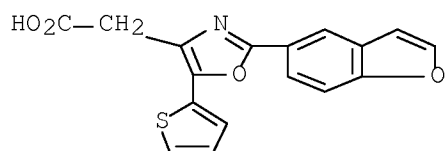
CN 4-Oxazoleacetic acid, 2-benzo[b]thien-2-yl-5-(2-thienyl)-, sodium salt  
(9CI) (CA INDEX NAME)



● Na

RN 473686-91-8 CAPLUS

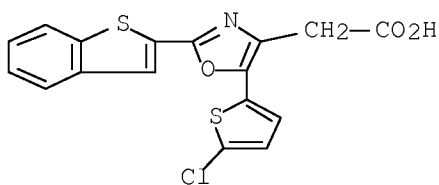
CN 4-Oxazoleacetic acid, 2-(5-benzofuranyl)-5-(2-thienyl)-, sodium salt (9CI)  
(CA INDEX NAME)



● Na

RN 473686-95-2 CAPLUS

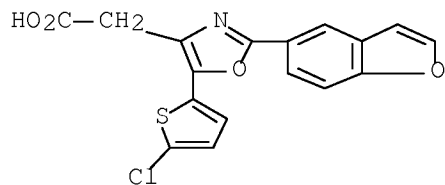
CN 4-Oxazoleacetic acid, 2-benzo[b]thien-2-yl-5-(5-chloro-2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473686-97-4 CAPLUS

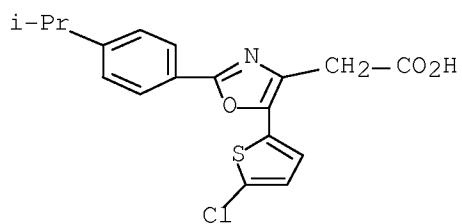
CN 4-Oxazoleacetic acid, 2-(5-benzofuranyl)-5-(5-chloro-2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

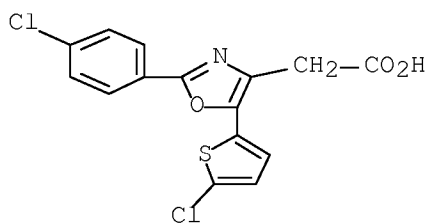
RN 473686-99-6 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[4-(1-methylethyl)phenyl]-, sodium salt (9CI) (CA INDEX NAME)



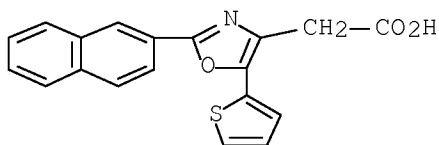
● Na

RN 473687-03-5 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(5-chloro-2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

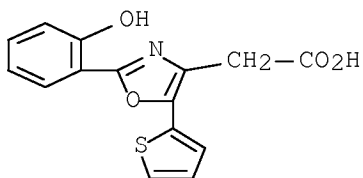
RN 473687-05-7 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(2-naphthalenyl)-5-(2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473687-07-9 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(2-hydroxyphenyl)-5-(2-thienyl)-, monosodium salt (9CI) (CA INDEX NAME)

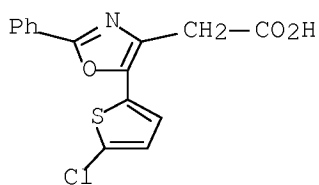




● Na

RN 473687-11-5 CAPLUS

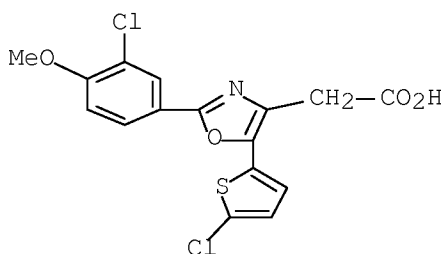
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-phenyl-, sodium salt (9CI)  
(CA INDEX NAME)



● Na

RN 473687-13-7 CAPLUS

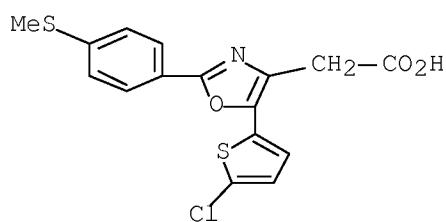
CN 4-Oxazoleacetic acid, 2-(3-chloro-4-methoxyphenyl)-5-(5-chloro-2-thienyl)-  
, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473687-15-9 CAPLUS

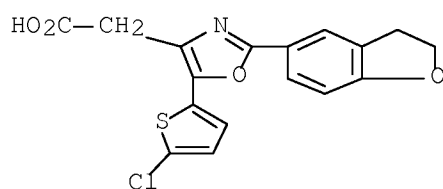
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[4-(methylthio)phenyl]-,  
sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473687-17-1 CAPLUS

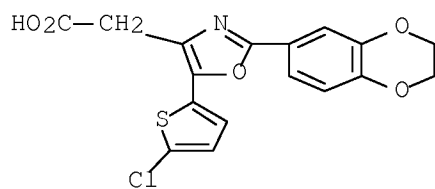
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(2,3-dihydro-5-benzofuranyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473687-19-3 CAPLUS

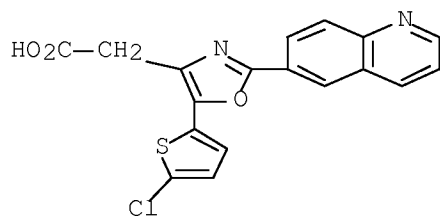
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(2,3-dihydro-1,4-benzodioxin-6-yl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473687-21-7 CAPLUS

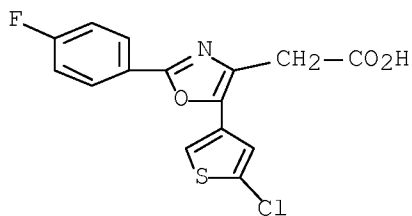
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(6-quinolinyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473687-25-1 CAPLUS

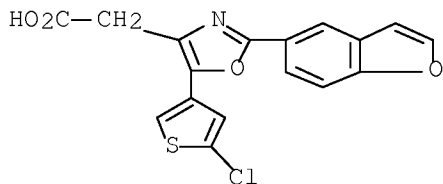
CN 4-Oxazoleacetic acid, 5-(5-chloro-3-thienyl)-2-(4-fluorophenyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473687-27-3 CAPLUS

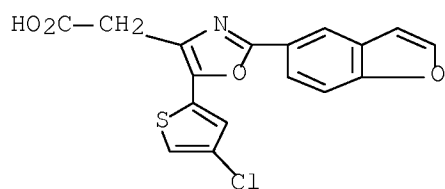
CN 4-Oxazoleacetic acid, 2-(5-benzofuranyl)-5-(5-chloro-3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473687-29-5 CAPLUS

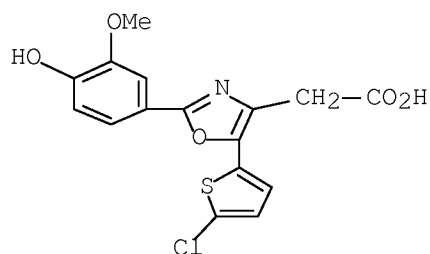
CN 4-Oxazoleacetic acid, 2-(5-benzofuranyl)-5-(4-chloro-2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473687-31-9 CAPLUS

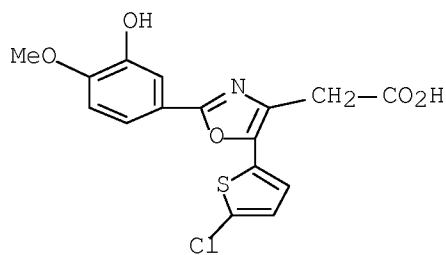
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(4-hydroxy-3-methoxyphenyl)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 473687-33-1 CAPLUS

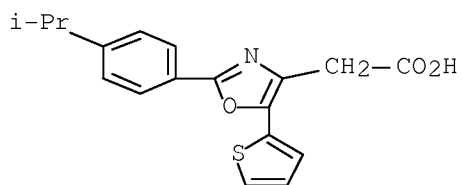
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(3-hydroxy-4-methoxyphenyl)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 473687-35-3 CAPLUS

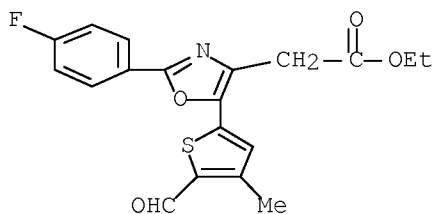
CN 4-Oxazoleacetic acid, 2-[4-(1-methylethyl)phenyl]-5-(2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

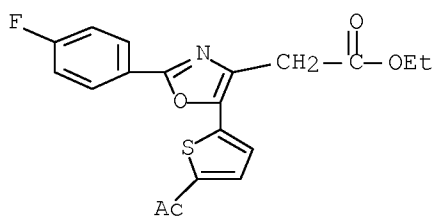
RN 473687-91-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(5-formyl-4-methyl-2-thienyl)-, ethyl ester (CA INDEX NAME)



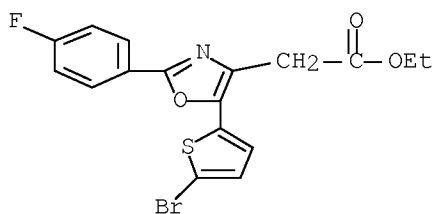
RN 473687-93-3 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-acetyl-2-thienyl)-2-(4-fluorophenyl)-, ethyl ester (CA INDEX NAME)



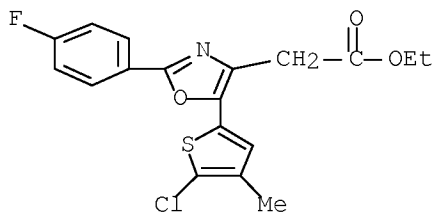
RN 473687-95-5 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-bromo-2-thienyl)-2-(4-fluorophenyl)-, ethyl ester (CA INDEX NAME)



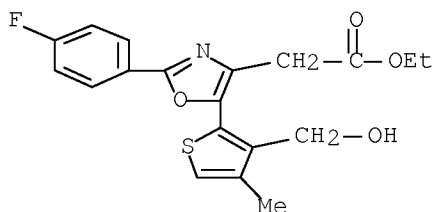
RN 473687-97-7 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-4-methyl-2-thienyl)-2-(4-fluorophenyl)-, ethyl ester (CA INDEX NAME)



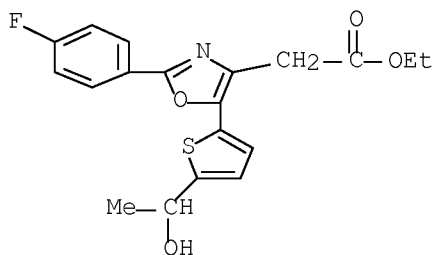
RN 473688-02-7 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-[3-(hydroxymethyl)-4-methyl-2-thienyl]-, ethyl ester (CA INDEX NAME)



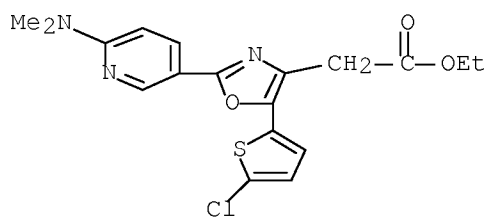
RN 473688-03-8 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-[5-(1-hydroxyethyl)-2-thienyl]-, ethyl ester (CA INDEX NAME)



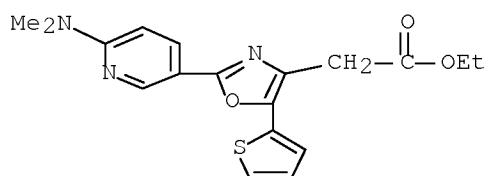
RN 473688-11-8 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[6-(dimethylamino)-3-pyridinyl]-, ethyl ester (CA INDEX NAME)



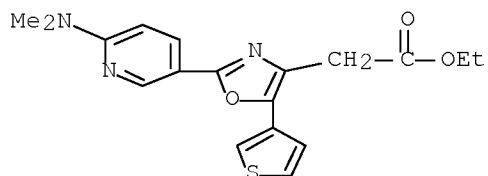
RN 473688-13-0 CAPLUS

CN 4-Oxazoleacetic acid, 2-[6-(dimethylamino)-3-pyridinyl]-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



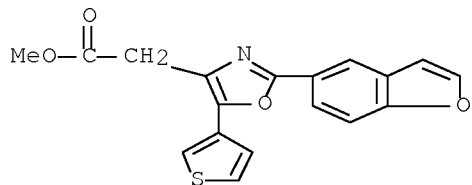
RN 473688-15-2 CAPLUS

CN 4-Oxazoleacetic acid, 2-[6-(dimethylamino)-3-pyridinyl]-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



RN 473688-16-3 CAPLUS

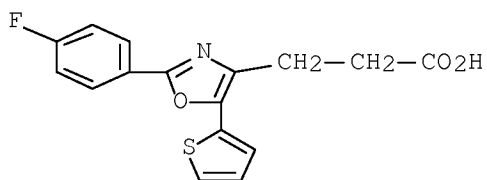
CN 4-Oxazoleacetic acid, 2-(5-benzofuranyl)-5-(3-thienyl)-, methyl ester (CA INDEX NAME)



RN 473688-21-0 CAPLUS

CN 4-Oxazolepropanoic acid, 2-(4-fluorophenyl)-5-(2-thienyl)-, sodium salt

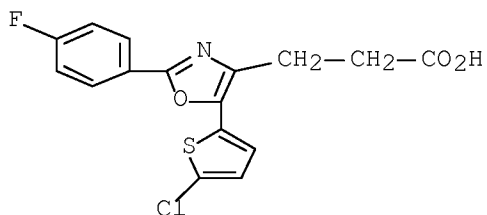
(9CI) (CA INDEX NAME)



● Na

RN 473688-23-2 CAPLUS

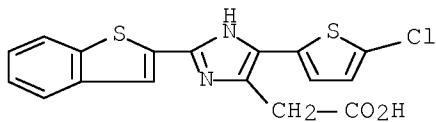
CN 4-Oxazolepropanoic acid, 5-(5-chloro-2-thienyl)-2-(4-fluorophenyl)-,  
sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473688-48-1 CAPLUS

CN 1H-Imidazole-4-acetic acid, 2-benzo[b]thien-2-yl-5-(5-chloro-2-thienyl)-,  
monosodium salt (9CI) (CA INDEX NAME)

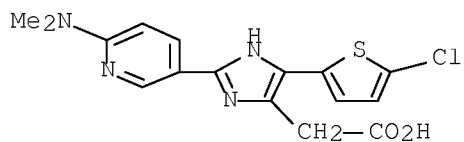


● Na

RN 473688-50-5 CAPLUS

CN 1H-Imidazole-4-acetic acid, 5-(5-chloro-2-thienyl)-2-[6-(dimethylamino)-3-pyridinyl]-,  
monosodium salt (9CI) (CA INDEX NAME)

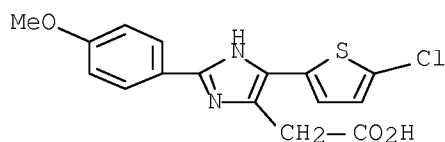




● Na

RN 473688-54-9 CAPLUS

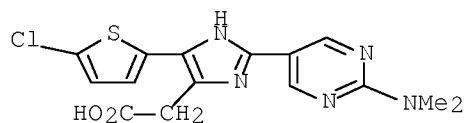
CN 1H-Imidazole-4-acetic acid, 5-(5-chloro-2-thienyl)-2-(4-methoxyphenyl)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 473688-57-2 CAPLUS

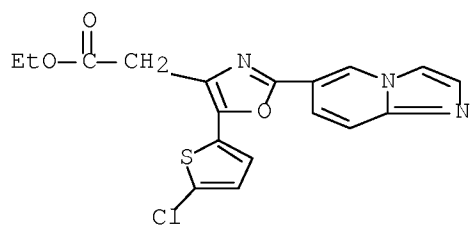
CN 1H-Imidazole-4-acetic acid, 5-(5-chloro-2-thienyl)-2-[2-(dimethylamino)-5-pyrimidinyl]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

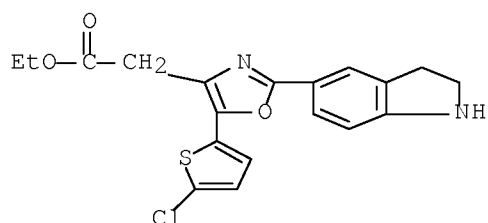
RN 473688-64-1 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-imidazo[1,2-a]pyridin-6-yl-, ethyl ester (CA INDEX NAME)



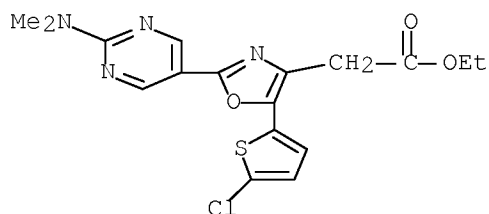
RN 473688-71-0 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(2,3-dihydro-1H-indol-5-yl)-, ethyl ester (CA INDEX NAME)



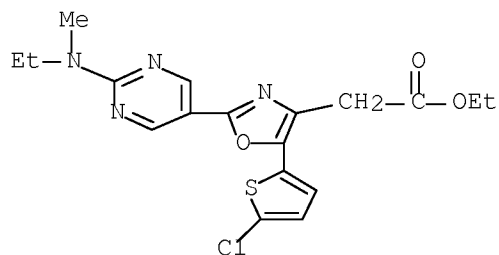
RN 473688-74-3 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[2-(dimethylamino)-5-pyrimidinyl]-, ethyl ester (CA INDEX NAME)



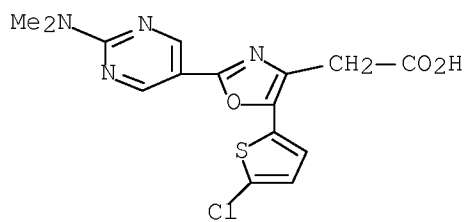
RN 473688-76-5 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[2-(ethylmethylamino)-5-pyrimidinyl]-, ethyl ester (CA INDEX NAME)



RN 473688-79-8 CAPLUS

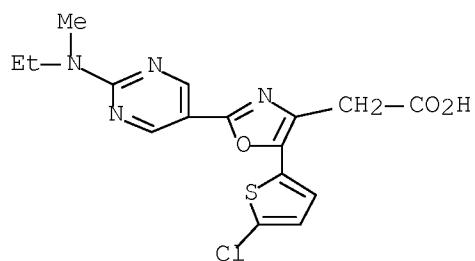
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[2-(dimethylamino)-5-pyrimidinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473688-81-2 CAPLUS

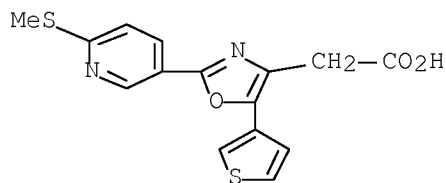
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[2-(ethylmethlamino)-5-pyrimidinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473688-86-7 CAPLUS

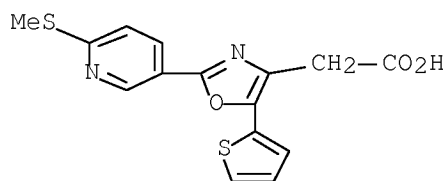
CN 4-Oxazoleacetic acid, 2-[6-(methylthio)-3-pyridinyl]-5-(3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473688-93-6 CAPLUS

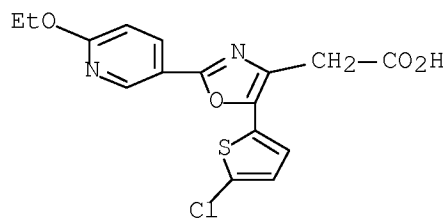
CN 4-Oxazoleacetic acid, 2-[6-(methylthio)-3-pyridinyl]-5-(2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473688-96-9 CAPLUS

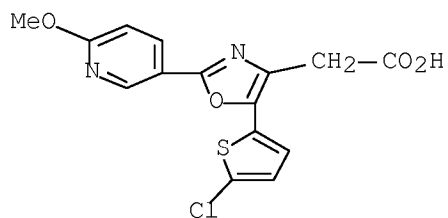
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(6-ethoxy-3-pyridinyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473688-99-2 CAPLUS

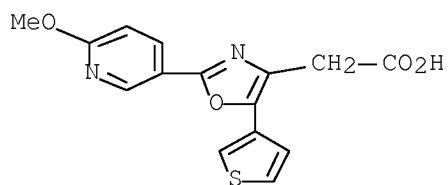
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(6-methoxy-3-pyridinyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473689-02-0 CAPLUS

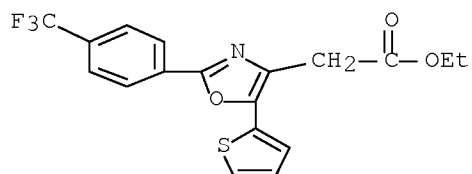
CN 4-Oxazoleacetic acid, 2-(6-methoxy-3-pyridinyl)-5-(3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

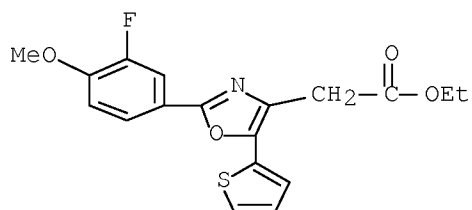
RN 473689-25-7 CAPLUS

CN 4-Oxazoleacetic acid, 5-(2-thienyl)-2-[4-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)



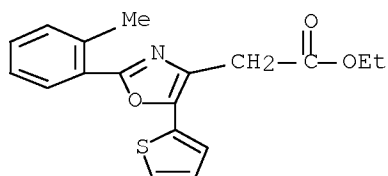
RN 473689-27-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-(3-fluoro-4-methoxyphenyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



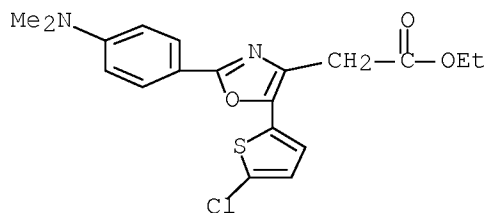
RN 473689-29-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-(2-methylphenyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



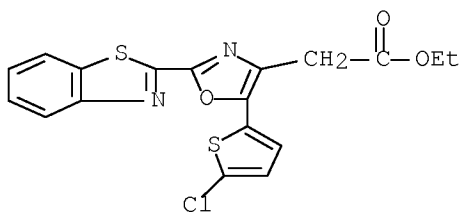
RN 473689-31-5 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[4-(dimethylamino)phenyl]-, ethyl ester (CA INDEX NAME)



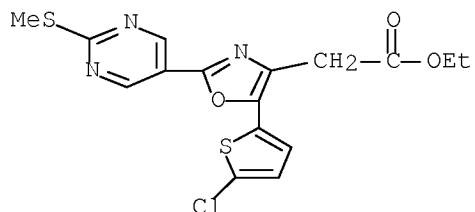
RN 473689-34-8 CAPLUS

CN 4-Oxazoleacetic acid, 2-(2-benzothiazolyl)-5-(5-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)



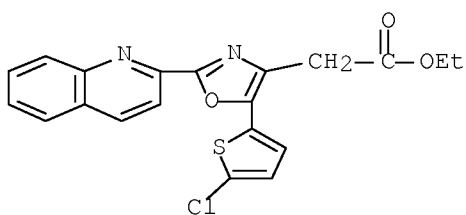
RN 473689-36-0 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[2-(methylthio)-5-pyrimidinyl]-, ethyl ester (CA INDEX NAME)



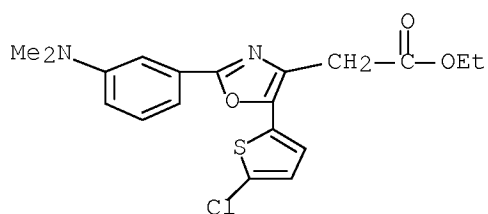
RN 473689-38-2 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(2-quinolinyl)-, ethyl ester (CA INDEX NAME)



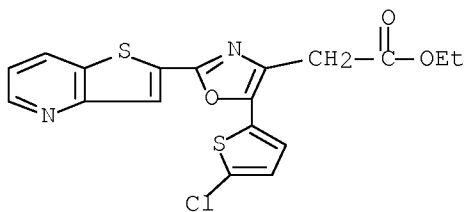
RN 473689-40-6 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[3-(dimethylamino)phenyl]-, ethyl ester (CA INDEX NAME)



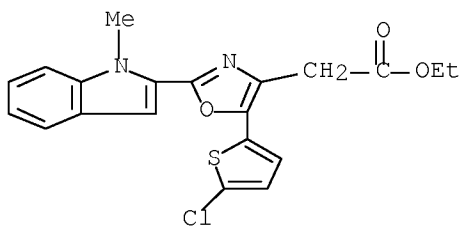
RN 473689-42-8 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-thieno[3,2-b]pyridin-2-yl-, ethyl ester (CA INDEX NAME)



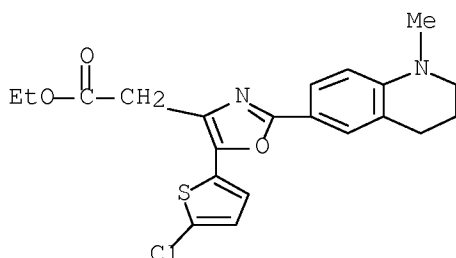
RN 473689-44-0 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(1-methyl-1H-indol-2-yl)-, ethyl ester (CA INDEX NAME)



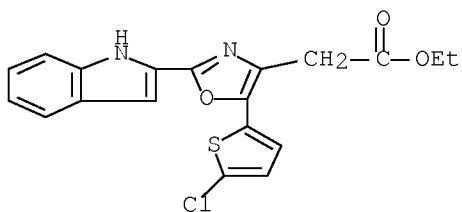
RN 473689-48-4 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(1,2,3,4-tetrahydro-1-methyl-6-quinolinyl)-, ethyl ester (CA INDEX NAME)



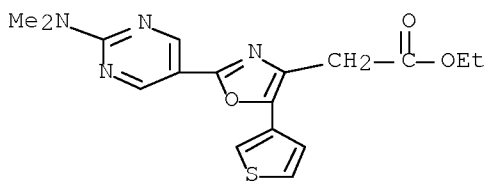
RN 473689-50-8 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(1H-indol-2-yl)-, ethyl ester (CA INDEX NAME)



RN 473689-54-2 CAPLUS

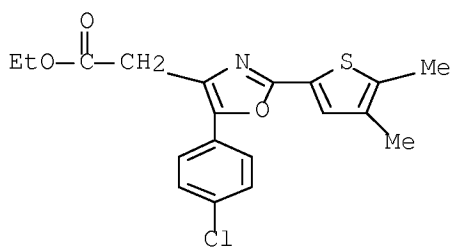
CN 4-Oxazoleacetic acid, 2-[2-(dimethylamino)-5-pyrimidinyl]-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



RN 473689-60-0 CAPLUS

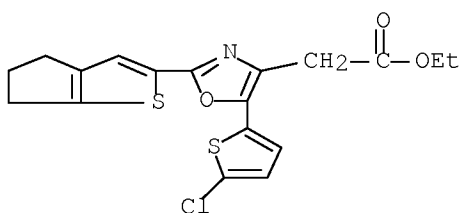
CN 4-Oxazoleacetic acid, 5-(4-chlorophenyl)-2-(4,5-dimethyl-2-thienyl)-, ethyl ester (CA INDEX NAME)





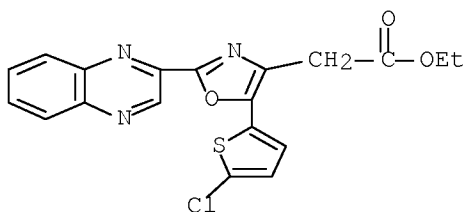
RN 473689-62-2 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(5,6-dihydro-4H-cyclopenta[b]thien-2-yl)-, ethyl ester (CA INDEX NAME)



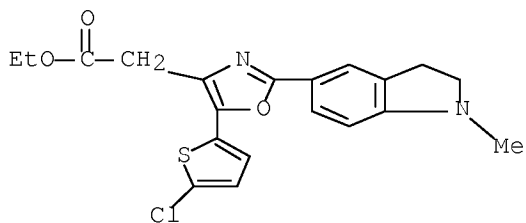
RN 473689-64-4 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(2-quinoxaliny)-, ethyl ester (CA INDEX NAME)



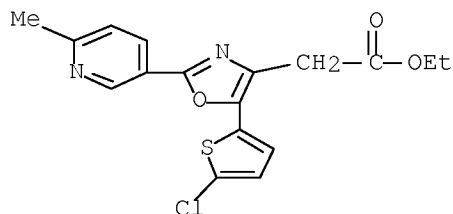
RN 473689-66-6 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(2,3-dihydro-1-methyl-1H-indol-5-yl)-, ethyl ester (CA INDEX NAME)



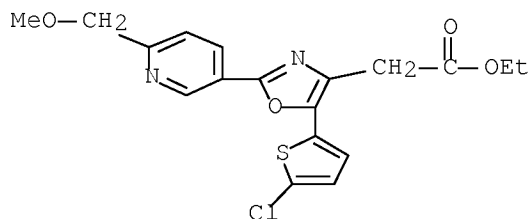
RN 473689-68-8 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(6-methyl-3-pyridinyl)-, ethyl ester (CA INDEX NAME)



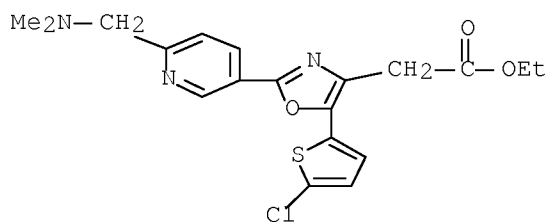
RN 473689-70-2 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[6-(methoxymethyl)-3-pyridinyl]-, ethyl ester (CA INDEX NAME)



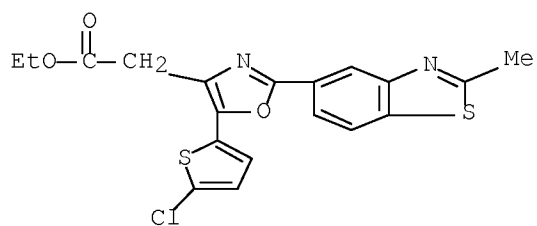
RN 473689-72-4 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[6-[(dimethylamino)methyl]-3-pyridinyl]-, ethyl ester (CA INDEX NAME)



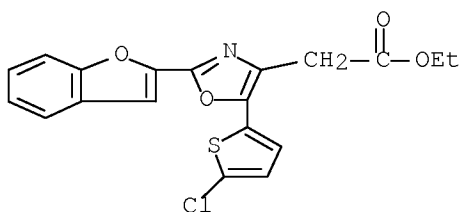
RN 473689-76-8 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(2-methyl-5-benzothiazolyl)-, ethyl ester (CA INDEX NAME)



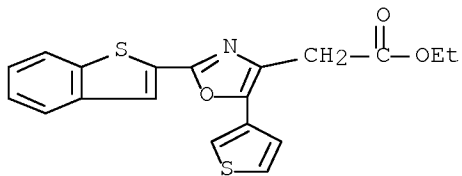
RN 473689-78-0 CAPLUS

CN 4-Oxazoleacetic acid, 2-(2-benzofuranyl)-5-(5-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)



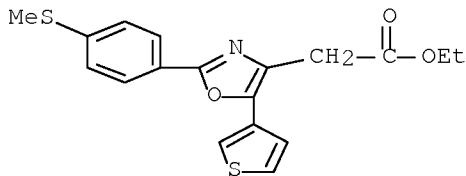
RN 473689-80-4 CAPLUS

CN 4-Oxazoleacetic acid, 2-benzo[b]thien-2-yl-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



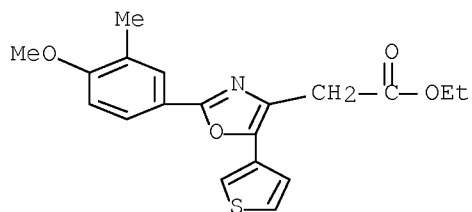
RN 473689-82-6 CAPLUS

CN 4-Oxazoleacetic acid, 2-[4-(methylthio)phenyl]-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



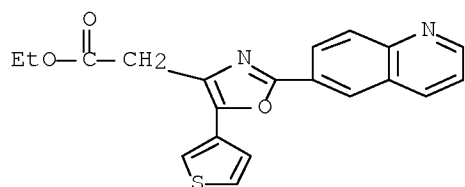
RN 473689-84-8 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methoxy-3-methylphenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



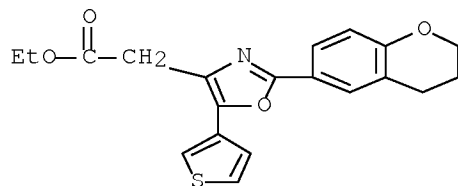
RN 473689-86-0 CAPLUS

CN 4-Oxazoleacetic acid, 2-(6-quinolinyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



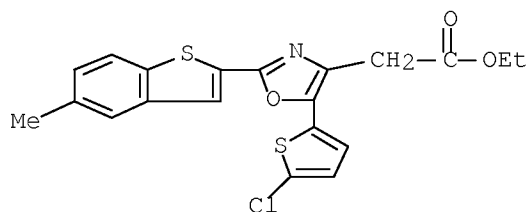
RN 473689-88-2 CAPLUS

CN 4-Oxazoleacetic acid, 2-(3,4-dihydro-2H-1-benzopyran-6-yl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



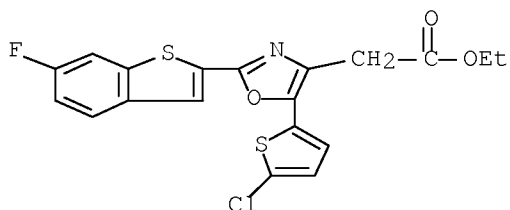
RN 473689-91-7 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(5-methylbenzo[b]thien-2-yl)-, ethyl ester (CA INDEX NAME)



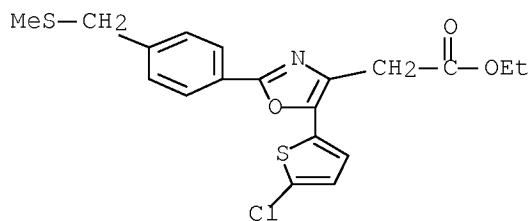
RN 473689-92-8 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(6-fluorobenzo[b]thien-2-yl)-, ethyl ester (CA INDEX NAME)



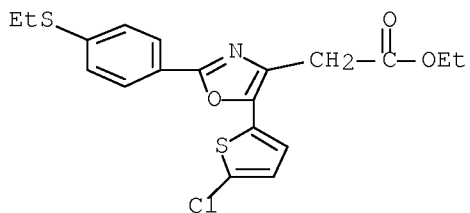
RN 473689-93-9 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[4-(methylthio)methyl]phenyl]-, ethyl ester (CA INDEX NAME)



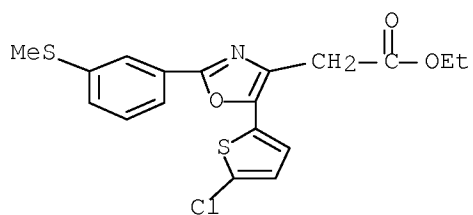
RN 473689-94-0 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[4-(ethylthio)phenyl]-, ethyl ester (CA INDEX NAME)



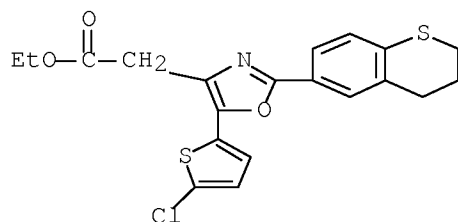
RN 473689-95-1 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[3-(methylthio)phenyl]-, ethyl ester (CA INDEX NAME)



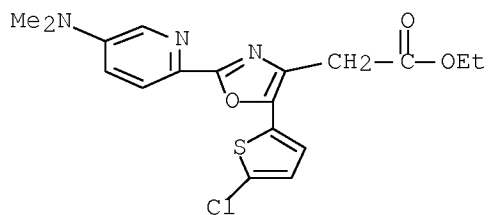
RN 473689-97-3 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(3,4-dihydro-2H-1-benzothiopyran-6-yl)-, ethyl ester (CA INDEX NAME)



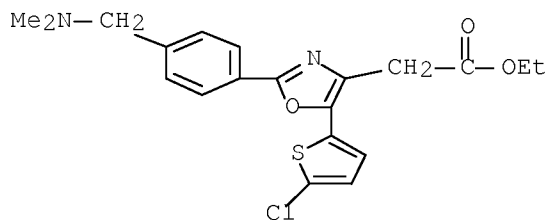
RN 473690-00-5 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[5-(dimethylamino)-2-pyridinyl]-, ethyl ester (CA INDEX NAME)



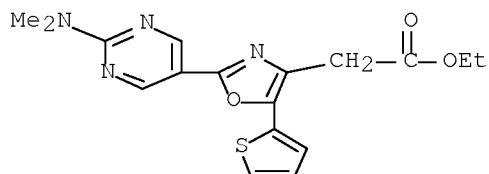
RN 473690-03-8 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[4-[(dimethylamino)methyl]phenyl]-, ethyl ester (CA INDEX NAME)



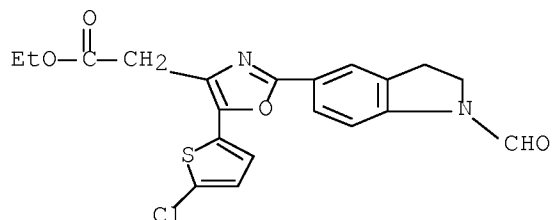
RN 473690-07-2 CAPLUS

CN 4-Oxazoleacetic acid, 2-[2-(dimethylamino)-5-pyrimidinyl]-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



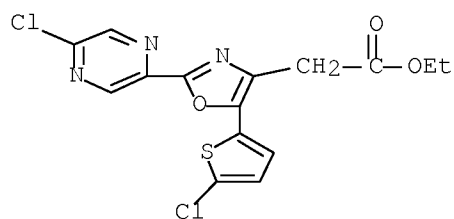
RN 473690-12-9 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(1-formyl-2,3-dihydro-1H-indol-5-yl)-, ethyl ester (CA INDEX NAME)



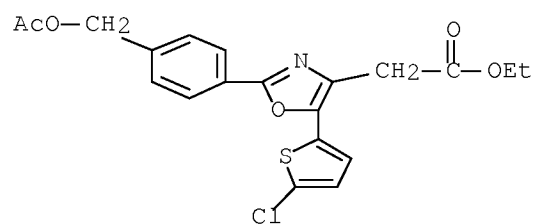
RN 473690-14-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-(5-chloropyrazinyl)-5-(5-chloro-2-thienyl)-, ethyl ester (9CI) (CA INDEX NAME)

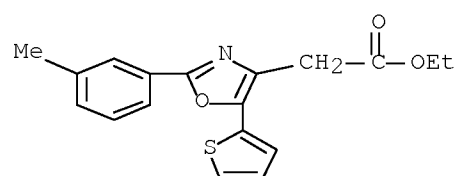


RN 473690-16-3 CAPLUS

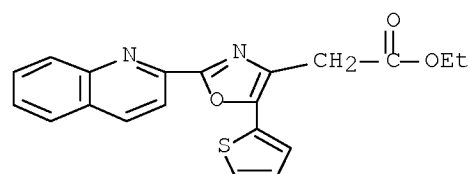
CN 4-Oxazoleacetic acid, 2-[4-[(acetyloxy)methyl]phenyl]-5-(5-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)



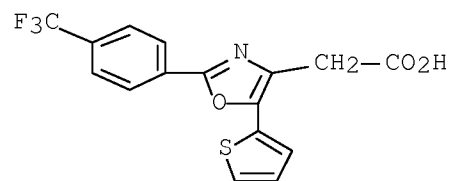
RN 473690-18-5 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(3-methylphenyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



RN 473690-20-9 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(2-quinoliny)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



RN 473690-23-2 CAPLUS  
 CN 4-Oxazoleacetic acid, 5-(2-thienyl)-2-[4-(trifluoromethyl)phenyl]-, sodium salt (9CI) (CA INDEX NAME)

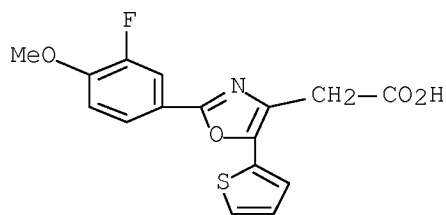


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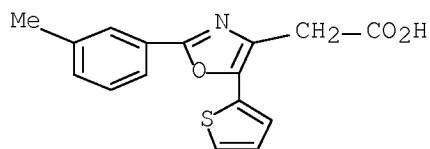
RN 473690-25-4 CAPLUS

CN 4-Oxazoleacetic acid, 2-(3-fluoro-4-methoxyphenyl)-5-(2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



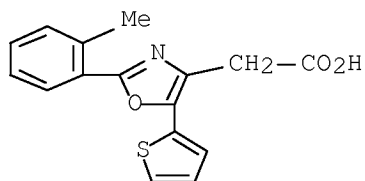
RN 473690-27-6 CAPLUS

CN 4-Oxazoleacetic acid, 2-(3-methylphenyl)-5-(2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



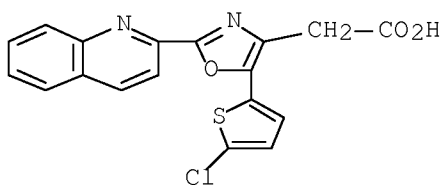
RN 473690-28-7 CAPLUS

CN 4-Oxazoleacetic acid, 2-(2-methylphenyl)-5-(2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



RN 473690-29-8 CAPLUS

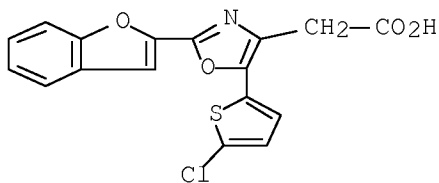
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(2-quinolinyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-32-3 CAPLUS

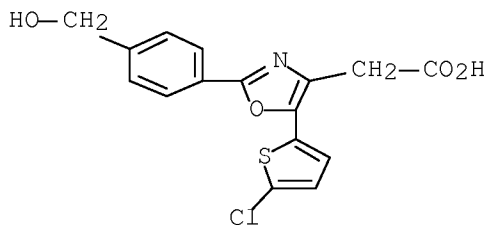
CN 4-Oxazoleacetic acid, 2-(2-benzofuranyl)-5-(5-chloro-2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-34-5 CAPLUS

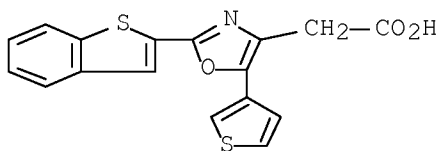
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[4-(hydroxymethyl)phenyl]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-36-7 CAPLUS

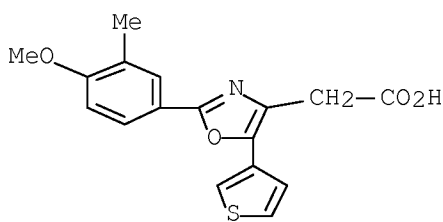
CN 4-Oxazoleacetic acid, 2-benzo[b]thien-2-yl-5-(3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-38-9 CAPLUS

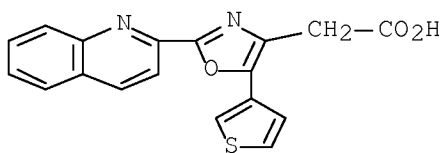
CN 4-Oxazoleacetic acid, 2-(4-methoxy-3-methylphenyl)-5-(3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-40-3 CAPLUS

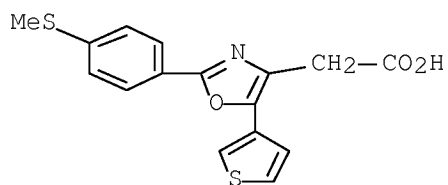
CN 4-Oxazoleacetic acid, 2-(2-quinolinyl)-5-(3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-42-5 CAPLUS

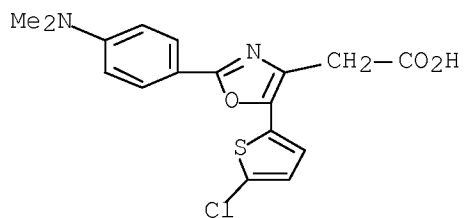
CN 4-Oxazoleacetic acid, 2-[4-(methylthio)phenyl]-5-(3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-44-7 CAPLUS

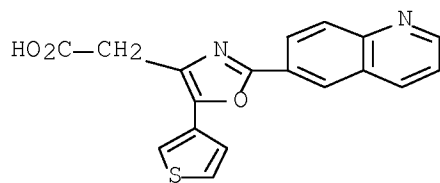
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[4-(dimethylamino)phenyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-46-9 CAPLUS

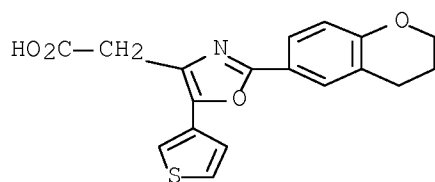
CN 4-Oxazoleacetic acid, 2-(6-quinolinyl)-5-(3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-48-1 CAPLUS

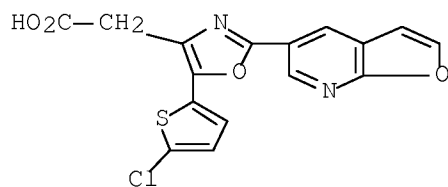
CN 4-Oxazoleacetic acid, 2-(3,4-dihydro-2H-1-benzopyran-6-yl)-5-(3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-50-5 CAPLUS

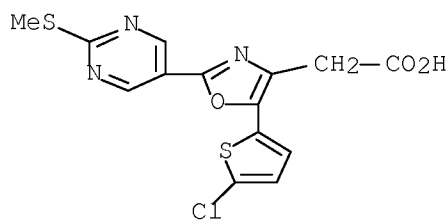
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-furo[2,3-b]pyridin-5-yl-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-52-7 CAPLUS

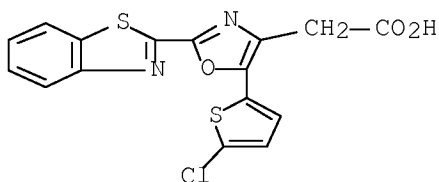
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[2-(methylthio)-5-pyrimidinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-54-9 CAPLUS

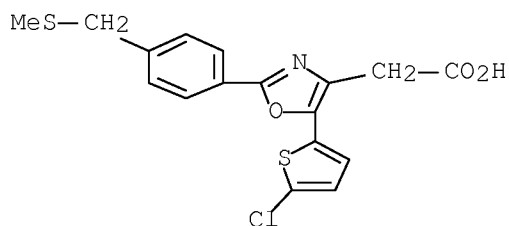
CN 4-Oxazoleacetic acid, 2-(2-benzothiazolyl)-5-(5-chloro-2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-58-3 CAPLUS

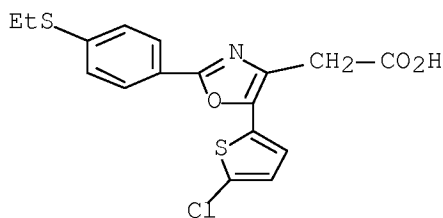
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[4-  
[(methylthio)methyl]phenyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-59-4 CAPLUS

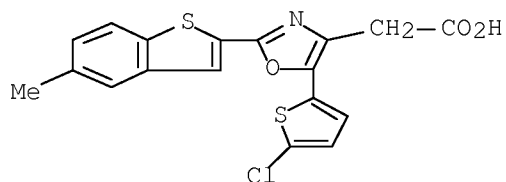
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[4-(ethylthio)phenyl]-,  
sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-60-7 CAPLUS

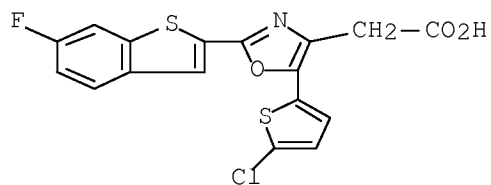
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(5-methylbenzo[b]thien-2-  
yl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-61-8 CAPLUS

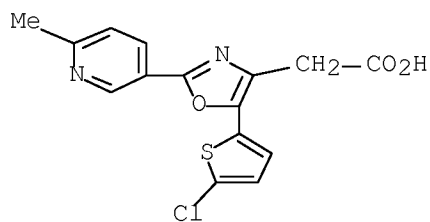
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(6-fluorobenzo[b]thien-2-yl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-62-9 CAPLUS

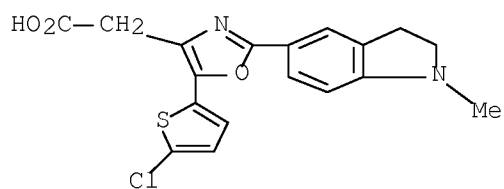
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(6-methyl-3-pyridinyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-64-1 CAPLUS

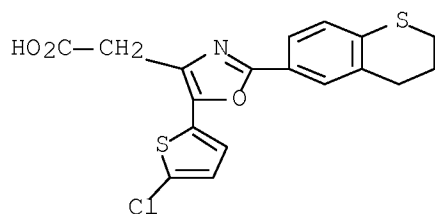
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(2,3-dihydro-1-methyl-1H-indol-5-yl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-69-6 CAPLUS

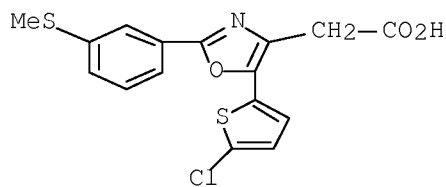
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(3,4-dihydro-2H-1-benzothiopyran-6-yl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-71-0 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[3-(methylthio)phenyl]-, sodium salt (9CI) (CA INDEX NAME)

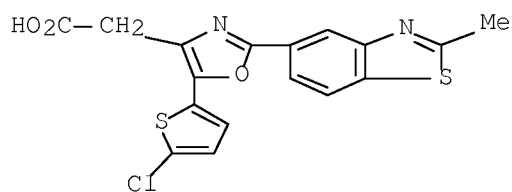


● Na

RN 473690-75-4 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(2-methyl-5-benzothiazolyl)-, sodium salt (9CI) (CA INDEX NAME)

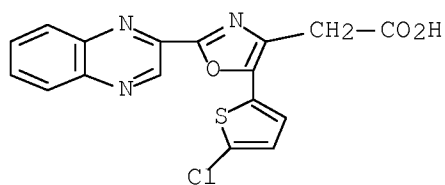




● Na

RN 473690-77-6 CAPLUS

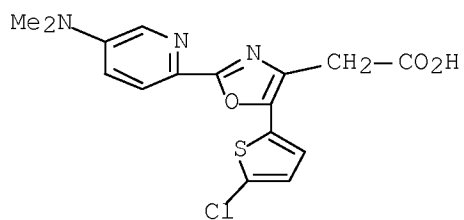
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(2-quinoxaliny)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-79-8 CAPLUS

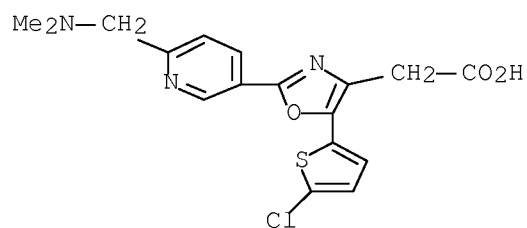
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[5-(dimethylamino)-2-pyridinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

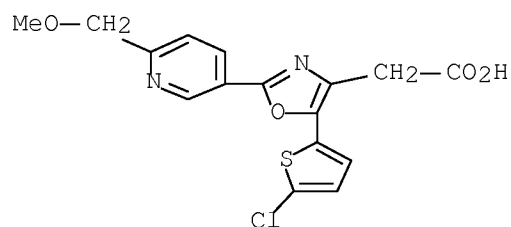
RN 473690-83-4 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[6-[(dimethylamino)methyl]-3-pyridinyl]-, sodium salt (9CI) (CA INDEX NAME)



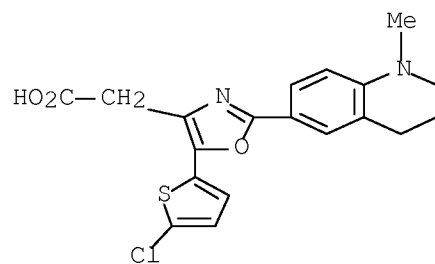
● Na

RN 473690-85-6 CAPLUS  
 CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[6-(methoxymethyl)-3-pyridinyl]-, sodium salt (9CI) (CA INDEX NAME)



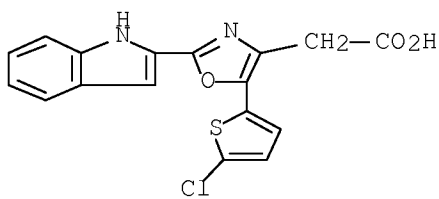
● Na

RN 473690-87-8 CAPLUS  
 CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(1,2,3,4-tetrahydro-1-methyl-6-quinolinyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

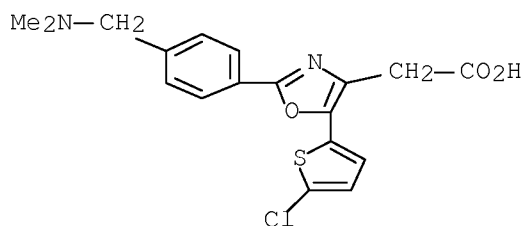
RN 473690-89-0 CAPLUS  
 CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(1H-indol-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-93-6 CAPLUS

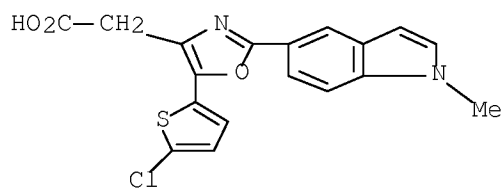
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[4-(dimethylamino)methyl]phenyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-95-8 CAPLUS

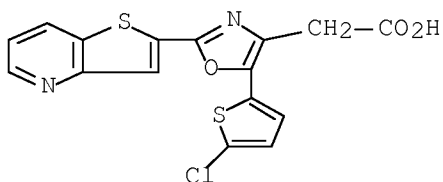
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(1-methyl-1H-indol-5-yl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-97-0 CAPLUS

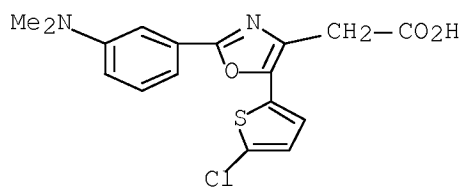
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-thieno[3,2-b]pyridin-2-yl-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473690-99-2 CAPLUS

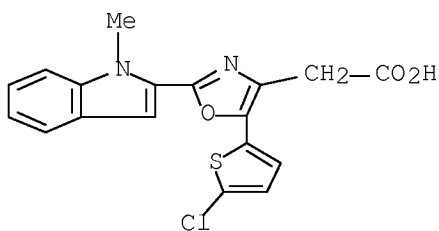
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[3-(dimethylamino)phenyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473691-01-9 CAPLUS

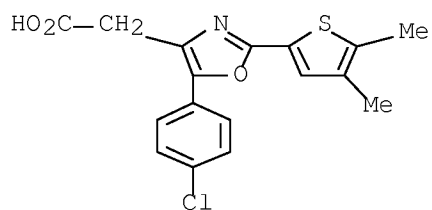
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(1-methyl-1H-indol-2-yl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473691-05-3 CAPLUS

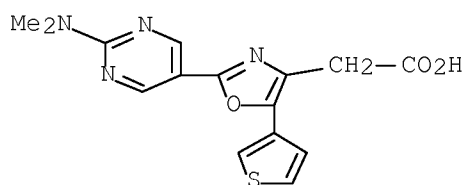
CN 4-Oxazoleacetic acid, 5-(4-chlorophenyl)-2-(4,5-dimethyl-2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473691-09-7 CAPLUS

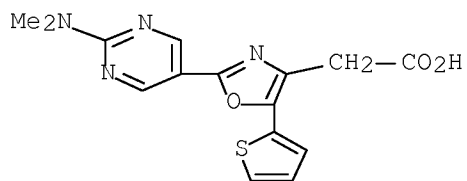
CN 4-Oxazoleacetic acid, 2-[2-(dimethylamino)-5-pyrimidinyl]-5-(3-thienyl)-,  
sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473691-11-1 CAPLUS

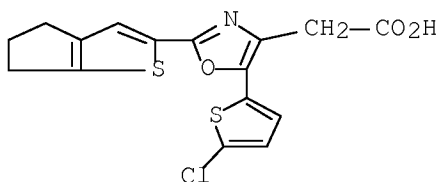
CN 4-Oxazoleacetic acid, 2-[2-(dimethylamino)-5-pyrimidinyl]-5-(2-thienyl)-,  
sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473691-15-5 CAPLUS

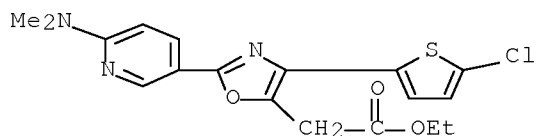
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(5,6-dihydro-4H-cyclopenta[b]thien-2-yl)-,  
sodium salt (9CI) (CA INDEX NAME)



● Na

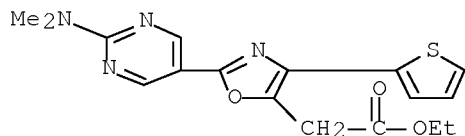
RN 473691-32-6 CAPLUS

CN 5-Oxazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[6-(dimethylamino)-3-pyridinyl]-, ethyl ester (CA INDEX NAME)



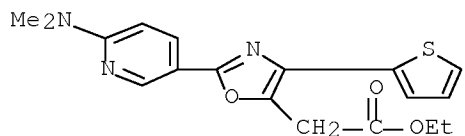
RN 473691-34-8 CAPLUS

CN 5-Oxazoleacetic acid, 2-[2-(dimethylamino)-5-pyrimidinyl]-4-(2-thienyl)-, ethyl ester (CA INDEX NAME)



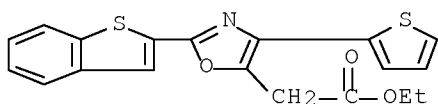
RN 473691-36-0 CAPLUS

CN 5-Oxazoleacetic acid, 2-[6-(dimethylamino)-3-pyridinyl]-4-(2-thienyl)-, ethyl ester (CA INDEX NAME)



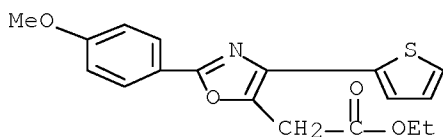
RN 473691-38-2 CAPLUS

CN 5-Oxazoleacetic acid, 2-benzo[b]thien-2-yl-4-(2-thienyl)-, ethyl ester (CA INDEX NAME)



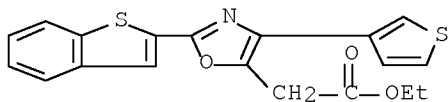
RN 473691-40-6 CAPLUS

CN 5-Oxazoleacetic acid, 2-(4-methoxyphenyl)-4-(2-thienyl)-, ethyl ester (CA INDEX NAME)



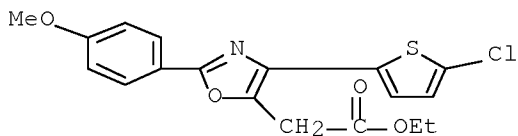
RN 473691-42-8 CAPLUS

CN 5-Oxazoleacetic acid, 2-benzo[b]thien-2-yl-4-(3-thienyl)-, ethyl ester (CA INDEX NAME)



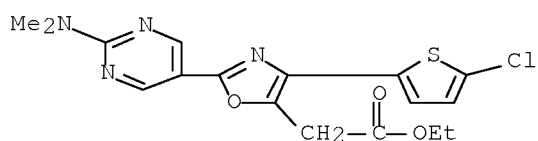
RN 473691-46-2 CAPLUS

CN 5-Oxazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(4-methoxyphenyl)-, ethyl ester (CA INDEX NAME)



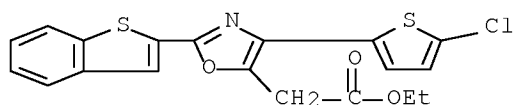
RN 473691-48-4 CAPLUS

CN 5-Oxazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[2-(dimethylamino)-5-pyrimidinyl]-, ethyl ester (CA INDEX NAME)



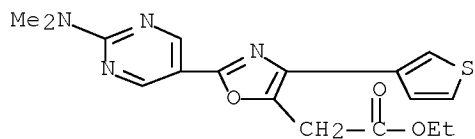
RN 473691-58-6 CAPLUS

CN 5-Oxazoleacetic acid, 2-benzo[b]thien-2-yl-4-(5-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)



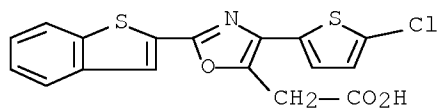
RN 473691-60-0 CAPLUS

CN 5-Oxazoleacetic acid, 2-[2-(dimethylamino)-5-pyrimidinyl]-4-(3-thienyl)-, ethyl ester (CA INDEX NAME)



RN 473691-62-2 CAPLUS

CN 5-Oxazoleacetic acid, 2-benzo[b]thien-2-yl-4-(5-chloro-2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)

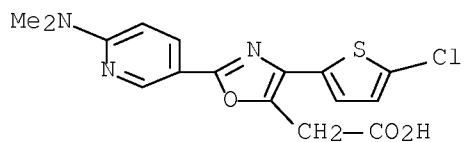


● Na

RN 473691-64-4 CAPLUS

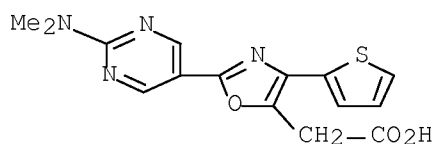
CN 5-Oxazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[6-(dimethylamino)-3-pyridinyl]-, sodium salt (9CI) (CA INDEX NAME)





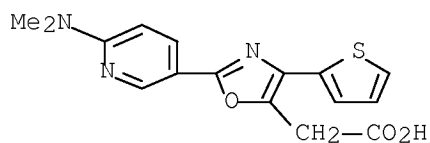
● Na

RN 473691-66-6 CAPLUS  
 CN 5-Oxazoleacetic acid, 2-[2-(dimethylamino)-5-pyrimidinyl]-4-(2-thienyl)-,  
 sodium salt (9CI) (CA INDEX NAME)



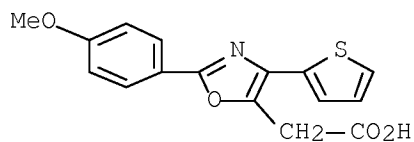
● Na

RN 473691-68-8 CAPLUS  
 CN 5-Oxazoleacetic acid, 2-[6-(dimethylamino)-3-pyridinyl]-4-(2-thienyl)-,  
 sodium salt (9CI) (CA INDEX NAME)



● Na

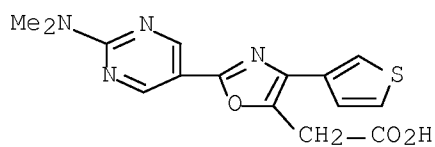
RN 473691-70-2 CAPLUS  
 CN 5-Oxazoleacetic acid, 2-(4-methoxyphenyl)-4-(2-thienyl)-, sodium salt  
 (9CI) (CA INDEX NAME)



● Na

RN 473691-72-4 CAPLUS

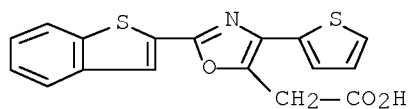
CN 5-Oxazoleacetic acid, 2-[2-(dimethylamino)-5-pyrimidinyl]-4-(3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473691-74-6 CAPLUS

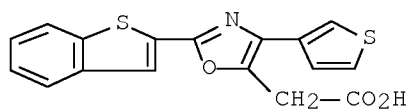
CN 5-Oxazoleacetic acid, 2-benzo[b]thien-2-yl-4-(2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473691-76-8 CAPLUS

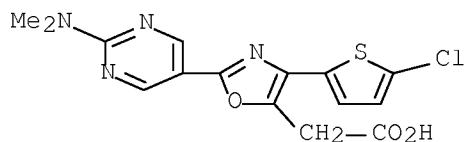
CN 5-Oxazoleacetic acid, 2-benzo[b]thien-2-yl-4-(3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473691-80-4 CAPLUS

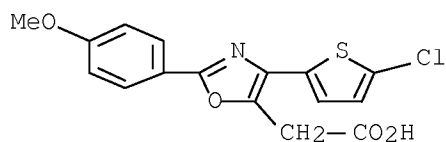
CN 5-Oxazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[2-(dimethylamino)-5-pyrimidinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473691-86-0 CAPLUS

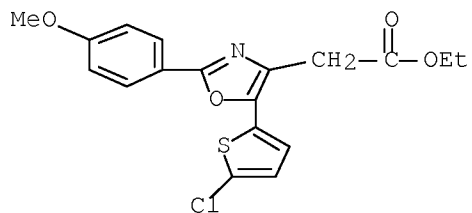
CN 5-Oxazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(4-methoxyphenyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

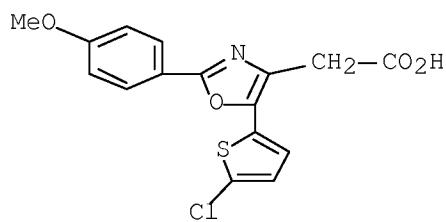
RN 473691-90-6 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(4-methoxyphenyl)-, ethyl ester (CA INDEX NAME)



RN 473691-93-9 CAPLUS

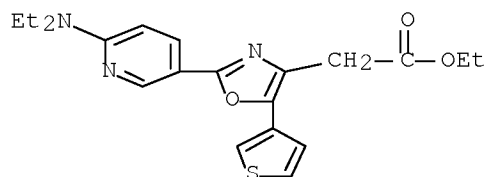
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(4-methoxyphenyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

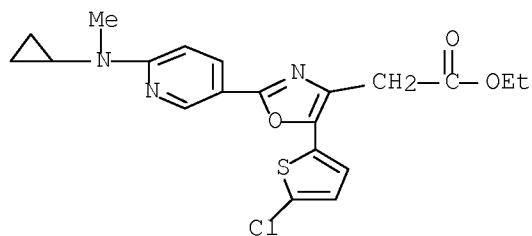
RN 473691-97-3 CAPLUS

CN 4-Oxazoleacetic acid, 2-[6-(diethylamino)-3-pyridinyl]-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



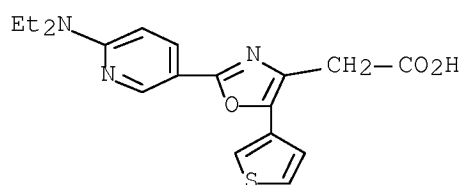
RN 473691-98-4 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[6-(cyclopropylmethylamino)-3-pyridinyl]-, ethyl ester (CA INDEX NAME)



RN 473692-00-1 CAPLUS

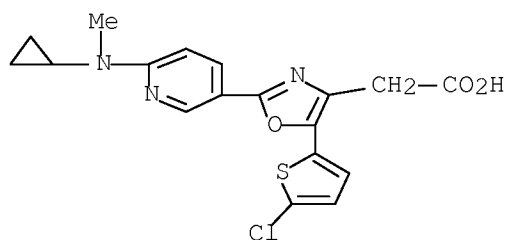
CN 4-Oxazoleacetic acid, 2-[6-(diethylamino)-3-pyridinyl]-5-(3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473692-01-2 CAPLUS

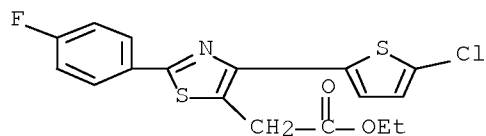
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[6-(cyclopropylmethylamino)-3-pyridinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

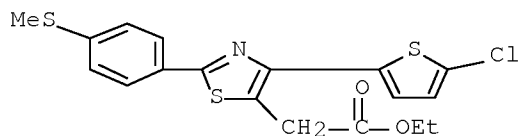
RN 473692-07-8 CAPLUS

CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(4-fluorophenyl)-, ethyl ester (CA INDEX NAME)



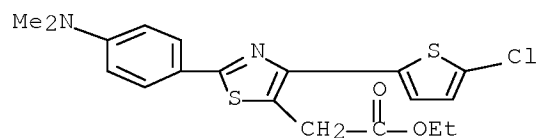
RN 473692-12-5 CAPLUS

CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[4-(methylthio)phenyl]-, ethyl ester (CA INDEX NAME)



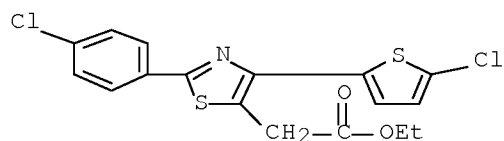
RN 473692-13-6 CAPLUS

CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[4-(dimethylamino)phenyl]-, ethyl ester (CA INDEX NAME)



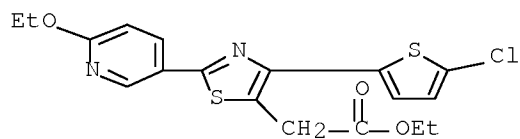
RN 473692-14-7 CAPLUS

CN 5-Thiazoleacetic acid, 2-(4-chlorophenyl)-4-(5-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)



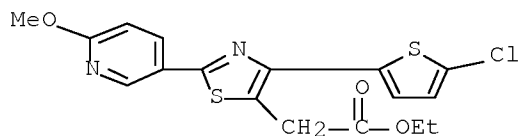
RN 473692-16-9 CAPLUS

CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(6-ethoxy-3-pyridinyl)-, ethyl ester (CA INDEX NAME)



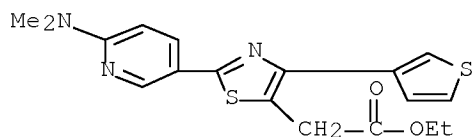
RN 473692-17-0 CAPLUS

CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(6-methoxy-3-pyridinyl)-, ethyl ester (CA INDEX NAME)



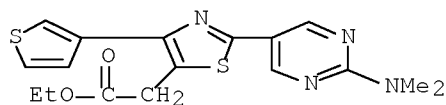
RN 473692-18-1 CAPLUS

CN 5-Thiazoleacetic acid, 2-[6-(dimethylamino)-3-pyridinyl]-4-(3-thienyl)-,  
ethyl ester (CA INDEX NAME)



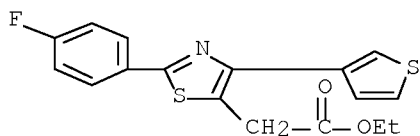
RN 473692-19-2 CAPLUS

CN 5-Thiazoleacetic acid, 2-[2-(dimethylamino)-5-pyrimidinyl]-4-(3-thienyl)-,  
ethyl ester (CA INDEX NAME)



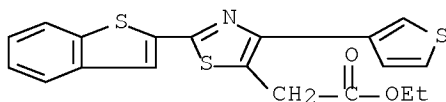
RN 473692-20-5 CAPLUS

CN 5-Thiazoleacetic acid, 2-(4-fluorophenyl)-4-(3-thienyl)-, ethyl ester (CA  
INDEX NAME)



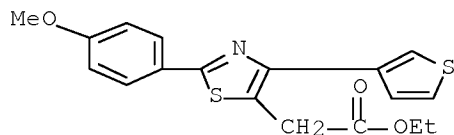
RN 473692-21-6 CAPLUS

CN 5-Thiazoleacetic acid, 2-benzo[b]thien-2-yl-4-(3-thienyl)-, ethyl ester  
(CA INDEX NAME)



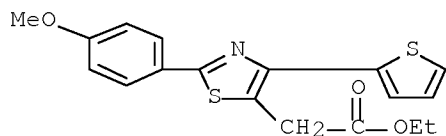
RN 473692-22-7 CAPLUS

CN 5-Thiazoleacetic acid, 2-(4-methoxyphenyl)-4-(3-thienyl)-, ethyl ester  
(CA INDEX NAME)



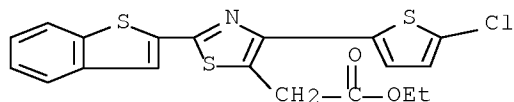
RN 473692-23-8 CAPLUS

CN 5-Thiazoleacetic acid, 2-(4-methoxyphenyl)-4-(2-thienyl)-, ethyl ester  
(CA INDEX NAME)



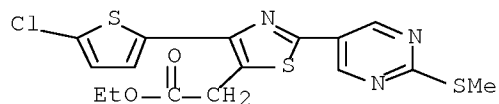
RN 473692-29-4 CAPLUS

CN 5-Thiazoleacetic acid, 2-benzo[b]thien-2-yl-4-(5-chloro-2-thienyl)-, ethyl ester  
(CA INDEX NAME)



RN 473692-30-7 CAPLUS

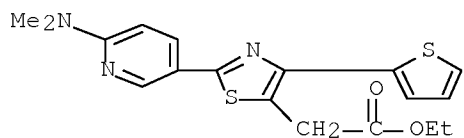
CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[2-(methylthio)-5-pyrimidinyl]-, ethyl ester  
(CA INDEX NAME)



RN 473692-31-8 CAPLUS

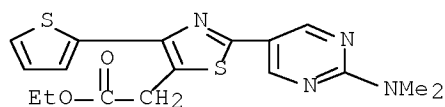
CN 5-Thiazoleacetic acid, 2-[6-(dimethylamino)-3-pyridinyl]-4-(2-thienyl)-, ethyl ester  
(CA INDEX NAME)





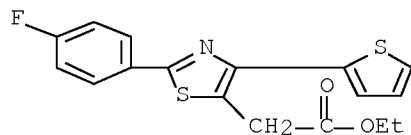
RN 473692-32-9 CAPLUS

CN 5-Thiazoleacetic acid, 2-[2-(dimethylamino)-5-pyrimidinyl]-4-(2-thienyl)-, ethyl ester (CA INDEX NAME)



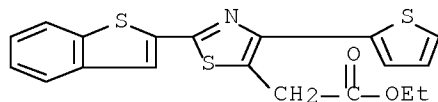
RN 473692-33-0 CAPLUS

CN 5-Thiazoleacetic acid, 2-(4-fluorophenyl)-4-(2-thienyl)-, ethyl ester (CA INDEX NAME)



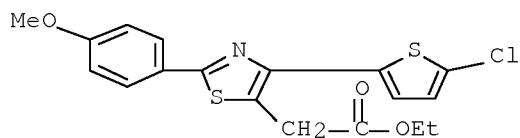
RN 473692-34-1 CAPLUS

CN 5-Thiazoleacetic acid, 2-benzo[b]thien-2-yl-4-(2-thienyl)-, ethyl ester (CA INDEX NAME)



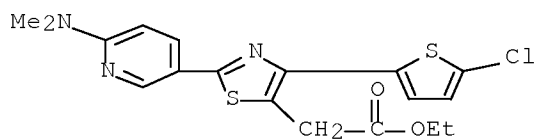
RN 473692-36-3 CAPLUS

CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(4-methoxyphenyl)-, ethyl ester (CA INDEX NAME)



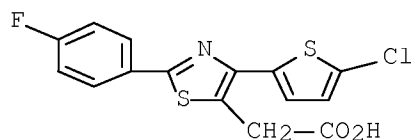
RN 473692-37-4 CAPLUS

CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[6-(dimethylamino)-3-pyridinyl]-, ethyl ester (CA INDEX NAME)



RN 473692-38-5 CAPLUS

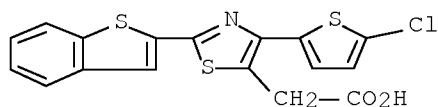
CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(4-fluorophenyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473692-39-6 CAPLUS

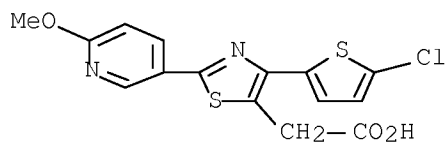
CN 5-Thiazoleacetic acid, 2-benzo[b]thien-2-yl-4-(5-chloro-2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

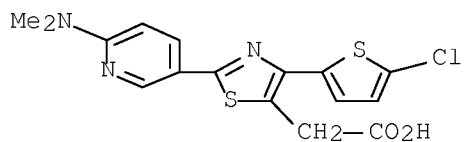
RN 473692-40-9 CAPLUS

CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(6-methoxy-3-pyridinyl)-, sodium salt (9CI) (CA INDEX NAME)



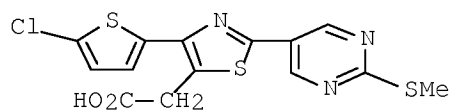
● Na

RN 473692-41-0 CAPLUS  
 CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[6-(dimethylamino)-3-pyridinyl]-, sodium salt (9CI) (CA INDEX NAME)



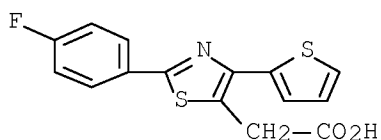
● Na

RN 473692-42-1 CAPLUS  
 CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[2-(methylthio)-5-pyrimidinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473692-47-6 CAPLUS  
 CN 5-Thiazoleacetic acid, 2-(4-fluorophenyl)-4-(2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

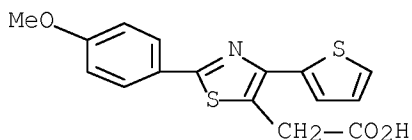
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 473705-73-6P 473705-74-7P 473705-75-8P  
 473705-77-0P 473705-78-1P 473705-79-2P  
 473705-82-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazoles/oxazoles/thiazoles as large conductance calcium-activated K channel openers)

RN 473692-48-7 CAPLUS

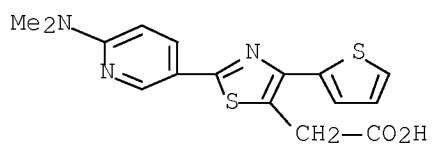
CN 5-Thiazoleacetic acid, 2-(4-methoxyphenyl)-4-(2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473692-49-8 CAPLUS

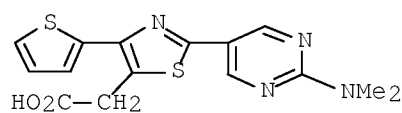
CN 5-Thiazoleacetic acid, 2-[6-(dimethylamino)-3-pyridinyl]-4-(2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473692-50-1 CAPLUS

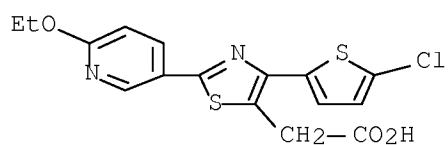
CN 5-Thiazoleacetic acid, 2-[2-(dimethylamino)-5-pyrimidinyl]-4-(2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473692-56-7 CAPLUS

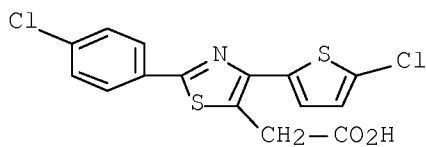
CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(6-ethoxy-3-pyridinyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473692-58-9 CAPLUS

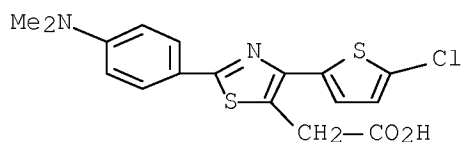
CN 5-Thiazoleacetic acid, 2-(4-chlorophenyl)-4-(5-chloro-2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473692-59-0 CAPLUS

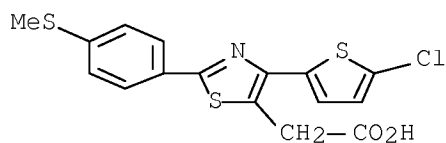
CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[4-(dimethylamino)phenyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473692-60-3 CAPLUS

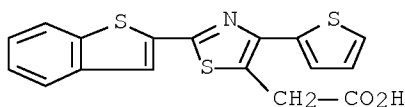
CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[4-(methylthio)phenyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

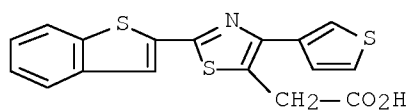
RN 473692-61-4 CAPLUS

CN 5-Thiazoleacetic acid, 2-benzo[b]thien-2-yl-4-(2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



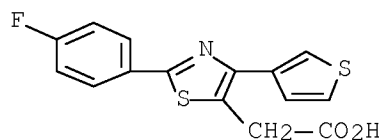
● Na

RN 473692-62-5 CAPLUS  
 CN 5-Thiazoleacetic acid, 2-benzo[b]thien-2-yl-4-(3-thienyl)-, sodium salt  
 (9CI) (CA INDEX NAME)



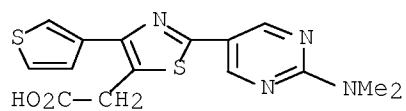
● Na

RN 473692-63-6 CAPLUS  
 CN 5-Thiazoleacetic acid, 2-(4-fluorophenyl)-4-(3-thienyl)-, sodium salt  
 (9CI) (CA INDEX NAME)



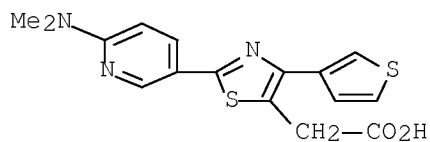
● Na

RN 473692-64-7 CAPLUS  
 CN 5-Thiazoleacetic acid, 2-[2-(dimethylamino)-5-pyrimidinyl]-4-(3-thienyl)-,  
 sodium salt (9CI) (CA INDEX NAME)



● Na

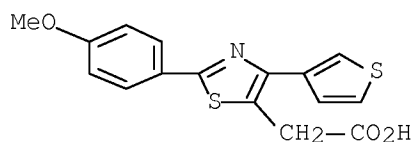
RN 473692-65-8 CAPLUS  
 CN 5-Thiazoleacetic acid, 2-[6-(dimethylamino)-3-pyridinyl]-4-(3-thienyl)-,  
 sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473692-66-9 CAPLUS

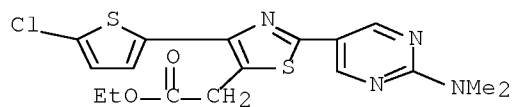
CN 5-Thiazoleacetic acid, 2-(4-methoxyphenyl)-4-(3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

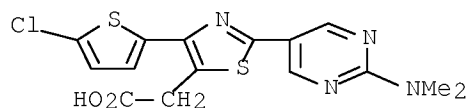
RN 473692-68-1 CAPLUS

CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[2-(dimethylamino)-5-pyrimidinyl]-, ethyl ester (CA INDEX NAME)



RN 473692-69-2 CAPLUS

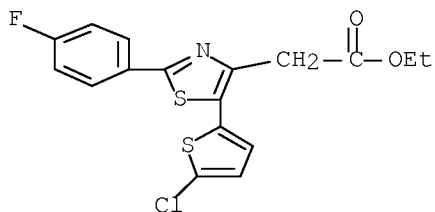
CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[2-(dimethylamino)-5-pyrimidinyl]-, sodium salt (9CI) (CA INDEX NAME)



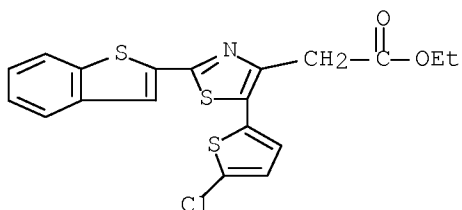
● Na



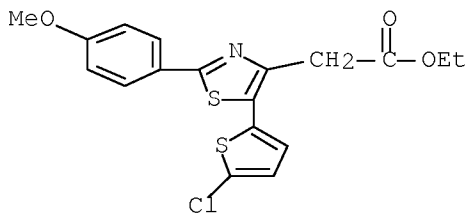
RN 473692-71-6 CAPLUS  
CN 4-Thiazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(4-fluorophenyl)-, ethyl ester (CA INDEX NAME)



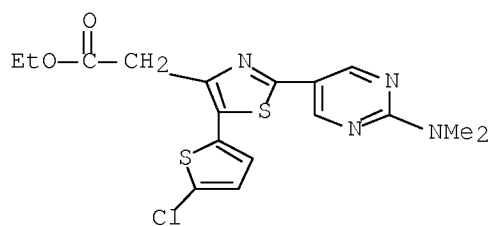
RN 473692-73-8 CAPLUS  
CN 4-Thiazoleacetic acid, 2-benzo[b]thien-2-yl-5-(5-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)



RN 473692-74-9 CAPLUS  
CN 4-Thiazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(4-methoxyphenyl)-, ethyl ester (CA INDEX NAME)

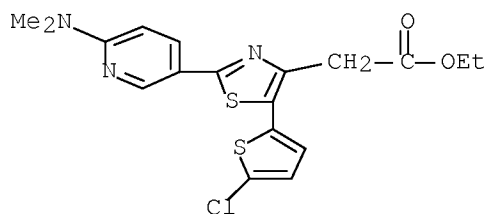


RN 473692-75-0 CAPLUS  
CN 4-Thiazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[2-(dimethylamino)-5-pyrimidinyl]-, ethyl ester (CA INDEX NAME)



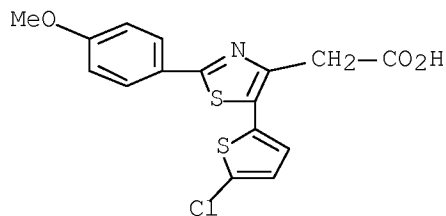
RN 473692-76-1 CAPLUS

CN 4-Thiazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[6-(dimethylamino)-3-pyridinyl]-, ethyl ester (CA INDEX NAME)



RN 473692-77-2 CAPLUS

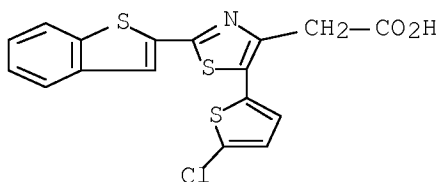
CN 4-Thiazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(4-methoxyphenyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473692-78-3 CAPLUS

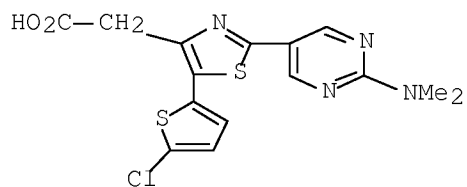
CN 4-Thiazoleacetic acid, 2-benzo[b]thien-2-yl-5-(5-chloro-2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473692-79-4 CAPLUS

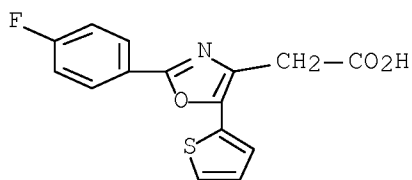
CN 4-Thiazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[2-(dimethylamino)-5-pyrimidinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473692-81-8 CAPLUS

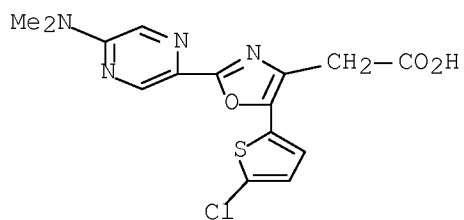
CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473692-88-5 CAPLUS

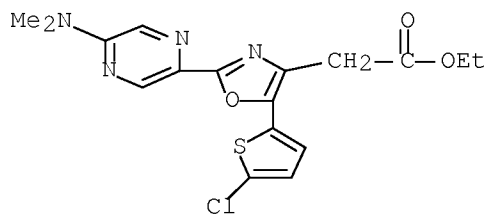
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[5-(dimethylamino)pyrazinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

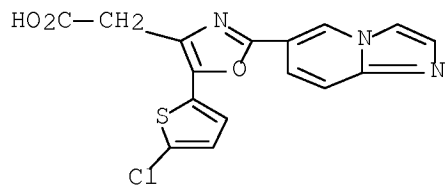
RN 473692-89-6 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[5-(dimethylamino)pyrazinyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 473692-90-9 CAPLUS

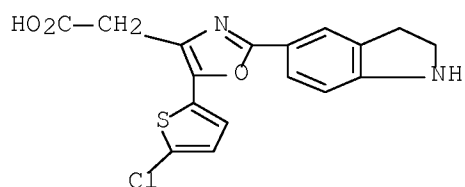
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-imidazo[1,2-a]pyridin-6-yl-, sodium salt (9CI) (CA INDEX NAME)



● Na

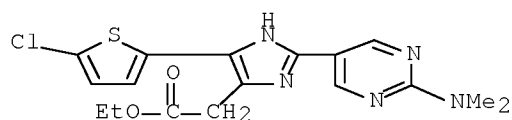
RN 473692-91-0 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(2,3-dihydro-1H-indol-5-yl)-, monosodium salt (9CI) (CA INDEX NAME)



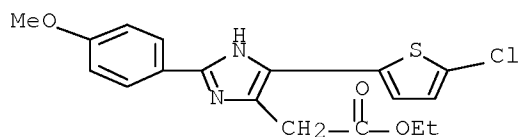
RN 473692-93-2 CAPLUS

CN 1H-Imidazole-4-acetic acid, 5-(5-chloro-2-thienyl)-2-[2-(dimethylamino)-5-pyrimidinyl]-, ethyl ester (CA INDEX NAME)



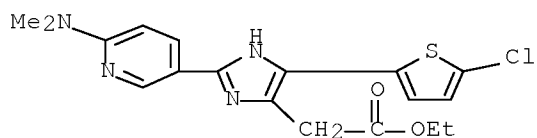
RN 473692-94-3 CAPLUS

CN 1H-Imidazole-4-acetic acid, 5-(5-chloro-2-thienyl)-2-(4-methoxyphenyl)-, ethyl ester (CA INDEX NAME)



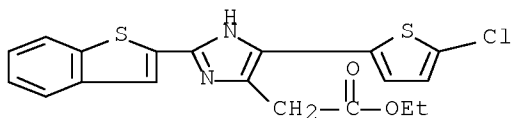
RN 473692-95-4 CAPLUS

CN 1H-Imidazole-4-acetic acid, 5-(5-chloro-2-thienyl)-2-[6-(dimethylamino)-3-pyridinyl]-, ethyl ester (CA INDEX NAME)



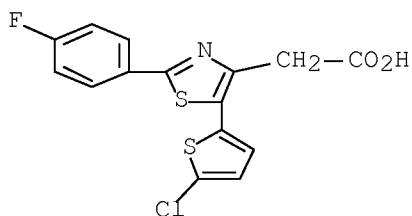
RN 473692-96-5 CAPLUS

CN 1H-Imidazole-4-acetic acid, 2-benzo[b]thien-2-yl-5-(5-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)



RN 473692-99-8 CAPLUS

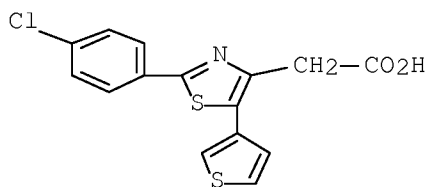
CN 4-Thiazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(4-fluorophenyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473693-01-5 CAPLUS

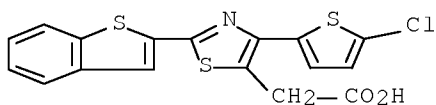
CN 4-Thiazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



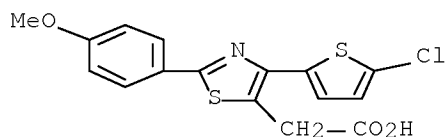
● Na

RN 473694-33-6 CAPLUS

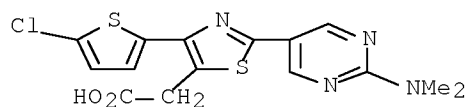
CN 5-Thiazoleacetic acid, 2-benzo[b]thien-2-yl-4-(5-chloro-2-thienyl)- (CA INDEX NAME)



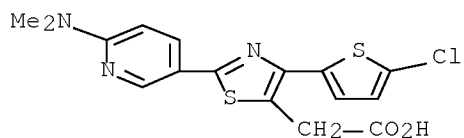
RN 473694-35-8 CAPLUS  
 CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(4-methoxyphenyl)- (CA INDEX NAME)



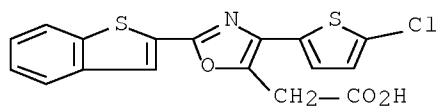
RN 473694-37-0 CAPLUS  
 CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[2-(dimethylamino)-5-pyrimidinyl]- (CA INDEX NAME)



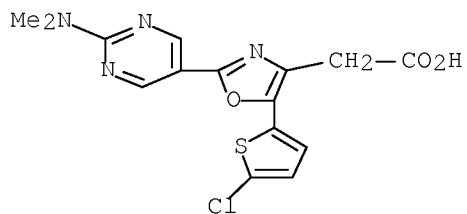
RN 473694-38-1 CAPLUS  
 CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[6-(dimethylamino)-3-pyridinyl]- (CA INDEX NAME)



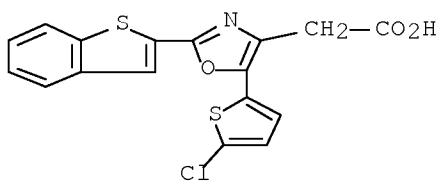
RN 473694-41-6 CAPLUS  
 CN 5-Oxazoleacetic acid, 2-benzo[b]thien-2-yl-4-(5-chloro-2-thienyl)- (CA INDEX NAME)



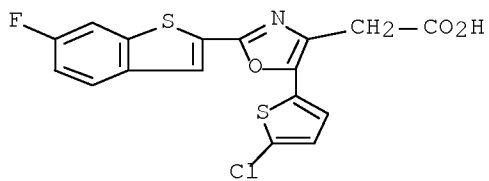
RN 473694-42-7 CAPLUS  
 CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[2-(dimethylamino)-5-pyrimidinyl]- (CA INDEX NAME)



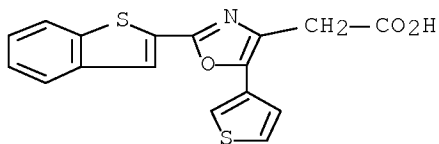
RN 473694-44-9 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-benzo[b]thien-2-yl-5-(5-chloro-2-thienyl)- (CA INDEX NAME)



RN 473694-45-0 CAPLUS  
 CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(6-fluorobenzo[b]thien-2-yl)- (CA INDEX NAME)

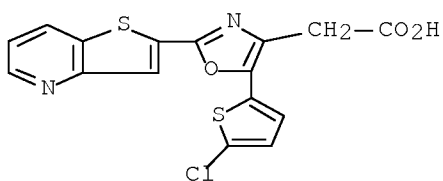


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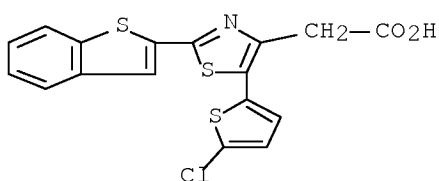
RN 473694-47-2 CAPLUS  
 CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-thieno[3,2-b]pyridin-2-yl- (CA INDEX NAME)





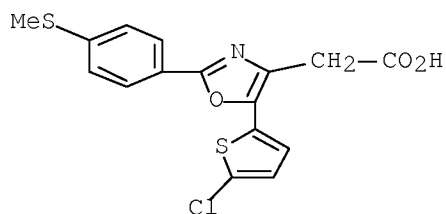
RN 473694-49-4 CAPLUS

CN 4-Thiazoleacetic acid, 2-benzo[b]thien-2-yl-5-(5-chloro-2-thienyl)- (CA INDEX NAME)



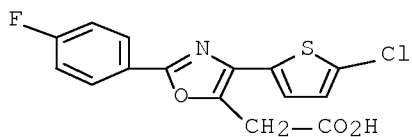
RN 473694-50-7 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[4-(methylthio)phenyl]- (CA INDEX NAME)



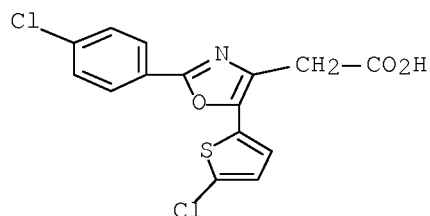
RN 473694-51-8 CAPLUS

CN 5-Oxazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(4-fluorophenyl)- (CA INDEX NAME)



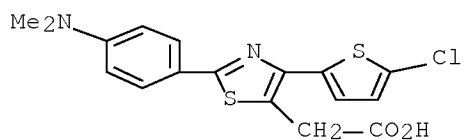
RN 473694-52-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(5-chloro-2-thienyl)- (CA INDEX NAME)



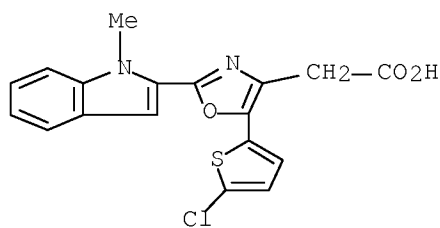
RN 473694-57-4 CAPLUS

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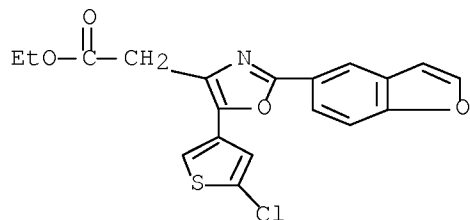
RN 473694-58-5 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(1-methyl-1H-indol-2-yl)- (CA INDEX NAME)



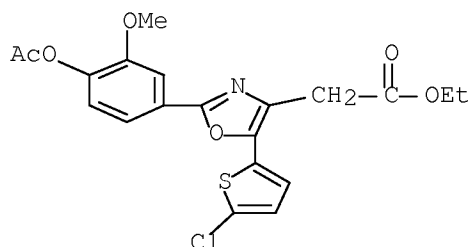
RN 473705-72-5 CAPLUS

CN 4-Oxazoleacetic acid, 2-(5-benzofuranyl)-5-(5-chloro-3-thienyl)-, ethyl ester (CA INDEX NAME)



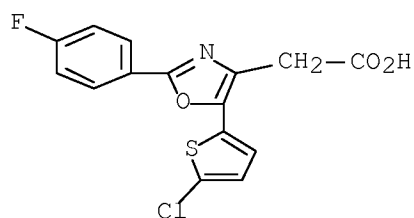
RN 473705-73-6 CAPLUS

CN 4-Oxazoleacetic acid, 2-[4-(acetyloxy)-3-methoxyphenyl]-5-(5-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)



RN 473705-74-7 CAPLUS

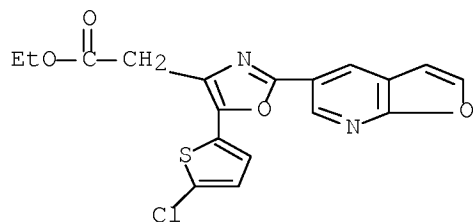
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(4-fluorophenyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

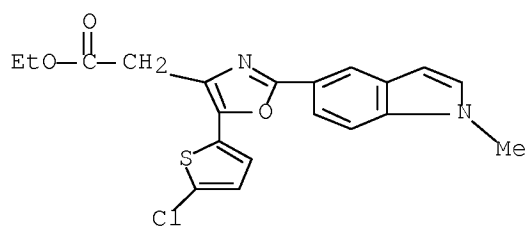
RN 473705-75-8 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-furo[2,3-b]pyridin-5-yl-, ethyl ester (CA INDEX NAME)



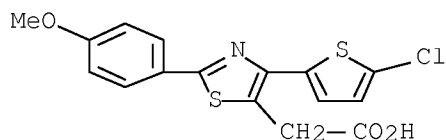
RN 473705-77-0 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(1-methyl-1H-indol-5-yl)-, ethyl ester (CA INDEX NAME)



RN 473705-78-1 CAPLUS

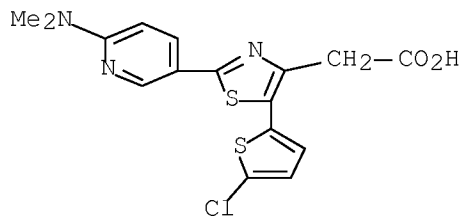
CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(4-methoxyphenyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473705-79-2 CAPLUS

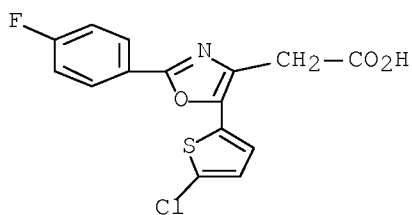
CN 4-Thiazoleacetic acid, 5-(5-chloro-2-thienyl)-2-[6-(dimethylamino)-3-pyridinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 473705-82-7 CAPLUS

CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(4-fluorophenyl)- (CA INDEX NAME)

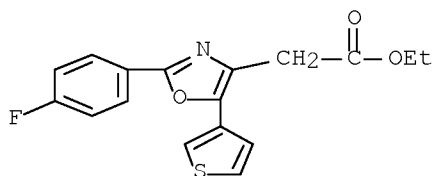


IT 85162-04-5 473694-10-9 473694-14-3  
473694-24-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of imidazoles/oxazoles/thiazoles as large  
conductance calcium-activated K channel openers)

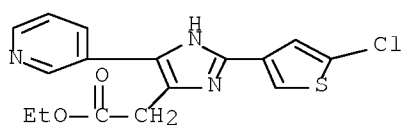
RN 85162-04-5 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, ethyl ester (CA  
INDEX NAME)



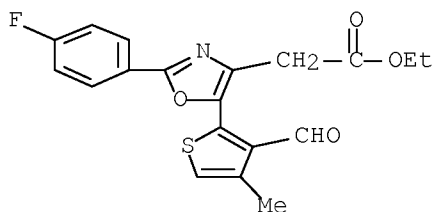
RN 473694-10-9 CAPLUS

CN 1H-Imidazole-4-acetic acid, 2-(5-chloro-3-thienyl)-5-(3-pyridinyl)-, ethyl  
ester (CA INDEX NAME)

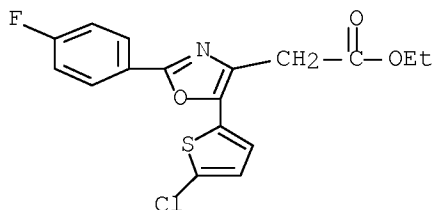


RN 473694-14-3 CAPLUS

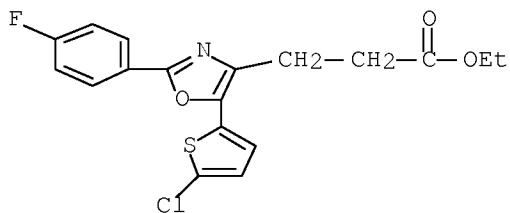
CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-formyl-4-methyl-2-thienyl)-,  
ethyl ester (CA INDEX NAME)



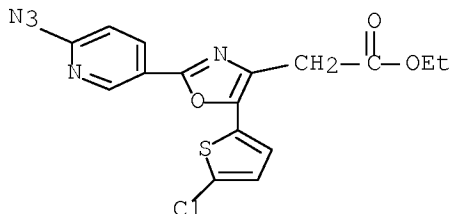
RN 473694-24-5 CAPLUS  
CN 4-Oxazoleacetic acid, 5-(5-chloro-2-thienyl)-2-(4-fluorophenyl)-, ethyl ester (CA INDEX NAME)



IT 473694-16-5P 473694-23-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of imidazoles/oxazoles/thiazoles as large conductance calcium-activated K channel openers)  
RN 473694-16-5 CAPLUS  
CN 4-Oxazolepropanoic acid, 5-(5-chloro-2-thienyl)-2-(4-fluorophenyl)-, ethyl ester (CA INDEX NAME)

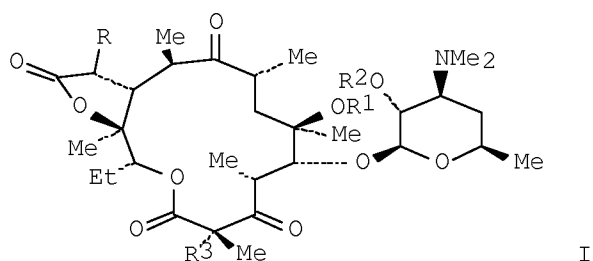


RN 473694-23-4 CAPLUS  
CN 4-Oxazoleacetic acid, 2-(6-azido-3-pyridinyl)-5-(5-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)



DOCUMENT NUMBER: 137:63420  
 TITLE: Preparation of lactone ketolide macrolide erythromycin antibiotics  
 INVENTOR(S): Andreotti, Daniele; Arista, Luca; Biondi, Stefano; Cardullo, Francesca; Damiani, Frederica; Lociuero, Sergio; Marchioro, Carla; Merlo, Giancarlo; Mingardi, Anna; Niccolai, Daniela; Paio, Alfredo; Piga, Elisabetta; Pozzan, Alfonso; Seri, Catia; Tarsi, Luca; Terreni, Silvia; Tibasco, Jessica  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 215 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE            |
|---|------|----------|------------------|-----------------|
| WO 2002050091   | A1   | 20020627 | WO 2001-GB5665   | 20011220 <--    |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                  |                 |
| CA 2432429  | A1   | 20020627 | CA 2001-2432429  | 20011220 <--    |
| AU 2002017277   | A    | 20020701 | AU 2002-17277    | 20011220 <--    |
| EP 1363925  | A1   | 20031126 | EP 2001-271380   | 20011220 <--    |
| EP 1363925  | B1   | 20061115 |                  |                 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                  |                 |
| HU 2003002526   | A2   | 20031128 | HU 2003-2526     | 20011220 <--    |
| CN 1492874  | A    | 20040428 | CN 2001-822651   | 20011220 <--    |
| BR 2001016431   | A    | 20040622 | BR 2001-16431    | 20011220 <--    |
| JP 2004531471   | T    | 20041014 | JP 2002-551984   | 20011220 <--    |
| NZ 526450   | A    | 20050429 | NZ 2001-526450   | 20011220 <--    |
| AT 345350   | T    | 20061215 | AT 2001-271380   | 20011220 <--    |
| ES 2275621  | T3   | 20070616 | ES 2001-271380   | 20011220 <--    |
| IN 2003DN00933  | A    | 20070420 | IN 2003-DN933    | 20030616 <--    |
| ZA 2003004748   | A    | 20040423 | ZA 2003-4748     | 20030619 <--    |
| NO 2003002846   | A    | 20030820 | NO 2003-2846     | 20030620 <--    |
| MX 2003PA05668  | A    | 20041203 | MX 2003-PA5668   | 20030620 <--    |
| US 20040077557  | A1   | 20040422 | US 2003-450893   | 20031119 <--    |
| US 20050215495  | A1   | 20050929 | US 2005-127701   | 20050512 <--    |
| US 20060211636  | A1   | 20060921 | US 2006-422122   | 20060605 <--    |
| PRIORITY APPLN. INFO.:  |      |          | GB 2000-31309    | A 20001221 <--  |
|   |      |          | GB 2001-26276    | A 20011101 <--  |
|   |      |          | GB 2001-26277    | A 20011101 <--  |
|   |      |          | WO 2001-GB5665   | W 20011220 <--  |
|   |      |          | US 2003-450893   | B1 20031119 <-- |
|   |      |          | US 2005-127701   | A1 20050512     |
| OTHER SOURCE(S):  |      |          | MARPAT 137:63420 |                 |
| GI  |      |          |                  |                 |



AB The present invention relates to lactone ketolides I wherein R is H, CN, substituted alkyl; R1 is alkyl, alkenyl; R2 is H, hydroxy protecting group; R3 is H, halogen, and pharmaceutically acceptable salts and solvates thereof, to process for their preparation and their use in therapy or prophylaxis of systemic or topical bacterial infections in a human or animal body. Thus, (11S,21R)-3-decladinosyl-11,12-dideoxy-6-O-methyl-3-oxo-12,11-[oxycarbonyl-(cyano)-methylene]erythromycin A was prepared and tested as antibacterial agent against Streptococcus pneumoniae and Streptococcus pyogenes (MIC  $\leq 1$   $\mu\text{g/mL}$ ).

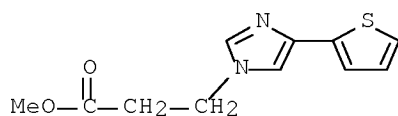
IT 439106-58-8P 439106-59-9P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of lactone ketolide macrolide erythromycin antibiotics and their use in therapy or prophylaxis of systemic or topical bacterial infections)

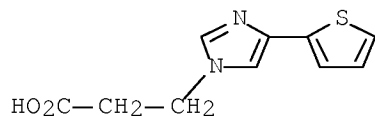
RN 439106-58-8 CAPLUS

CN 1H-Imidazole-1-propanoic acid, 4-(2-thienyl)-, methyl ester (CA INDEX NAME)



RN 439106-59-9 CAPLUS

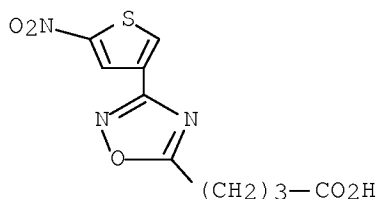
CN 1H-Imidazole-1-propanoic acid, 4-(2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na



IT 439108-79-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of lactone ketolide macrolide erythromycin antibiotics and  
 their use in therapy or prophylaxis of systemic or topical bacterial  
 infections)  
 RN 439108-79-9 CAPLUS  
 CN 1,2,4-Oxadiazole-5-butanoic acid, 3-(5-nitro-3-thienyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 11 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:391693 CAPLUS Full-text  
 DOCUMENT NUMBER: 136:401786  
 TITLE: Preparation of isoxazole derivatives for prevention  
 and treatment of diabetes  
 INVENTOR(S): Momose, Yu; Maekawa, Tsuyoshi; Asakawa, Tomoko; Sakai,  
 Nozomu  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 270 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

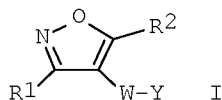
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE         |
|---|------|----------|-----------------|--------------|
| WO 2002040458   | A1   | 20020523 | WO 2001-JP10001 | 20011116 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW |      |          |                 |              |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |              |
| CA 2429426  | A1   | 20020523 | CA 2001-2429426 | 20011116 <-- |
| AU 2002015218   | A5   | 20020527 | AU 2002-15218   | 20011116 <-- |
| JP 2002212171   | A    | 20020731 | JP 2001-352466  | 20011116 <-- |
| EP 1340749  | A1   | 20030903 | EP 2001-983808  | 20011116 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                 |              |
| US 20040048908  | A1   | 20040311 | US 2003-416658  | 20030514 <-- |
| US 7022725  | B2   | 20060404 |                 |              |
| US 20060084690  | A1   | 20060420 | US 2005-295058  | 20051206 <-- |

PRIORITY APPLN. INFO.:

JP 2000-350869  
WO 2001-JP10001  
US 2003-416658

A 20001117 <--  
W 20011116 <--  
A3 20030514 <--

OTHER SOURCE(S): MARPAT 136:401786  
GI



AB Described are preventives or remedies for diabetes containing compds. of the general formula (I) or their salts or prodrugs thereof [wherein one of R1 and R2 is hydrogen or a substituent and the other is an optionally substituted cyclic group; W is a free valency or a divalent aliphatic hydrocarbon group; and Y is a group represented by the general formula OR3 (wherein R3 is hydrogen, optionally substituted hydrocarbyl, an optionally substituted heterocyclic group, or optionally substituted acyl) or carboxyl which may be converted into an ester or an amide]. These compds. have excellent insulin secretion-promoting and blood sugar-decreasing effects and low toxicity and are useful as drugs, particularly preventive and therapeutic agents for diabetes and diabetic complication. Thus, reduction of 3-[5-(3,4-dichlorophenyl)-4-isoxazolyl]propionic acid Me ester (preparation given) by diisobutylaluminum hydride in hexane/THF at room temperature for 1 h gave 97% 3-[5-(3,4-chlorophenyl)-4-isoxazolyl]propanol (II). II at 30 mg/kg p.o. was administered to rats and after 60 min, the rats were fed with glucose at 2 g/kg p.o. After 30 min, the blood sample was taken and the blood sugar level measured was 75% of the control. A capsule and tablet formulation containing II were formulated.

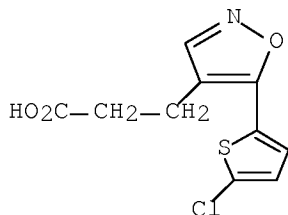
IT 430530-17-9P 430530-18-0P 430530-77-1P  
430530-78-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

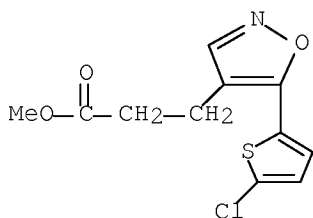
(preparation of isoxazole derivs. having insulin secretion promoting and blood sugar decreasing effects for prevention and treatment of diabetes and diabetes complication)

RN 430530-17-9 CAPLUS

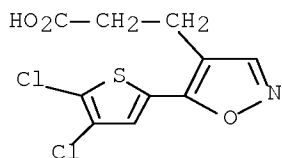
CN 4-Isioxazolepropanoic acid, 5-(5-chloro-2-thienyl)- (CA INDEX NAME)



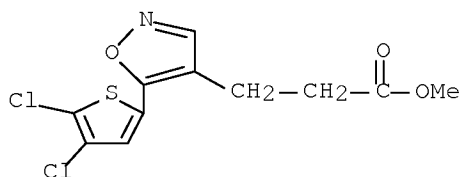
RN 430530-18-0 CAPLUS  
CN 4-Isioxazolepropanoic acid, 5-(5-chloro-2-thienyl)-, methyl ester (CA  
INDEX NAME)



RN 430530-77-1 CAPLUS  
CN 4-Isioxazolepropanoic acid, 5-(4,5-dichloro-2-thienyl)- (CA INDEX NAME)



RN 430530-78-2 CAPLUS  
CN 4-Isioxazolepropanoic acid, 5-(4,5-dichloro-2-thienyl)-, methyl ester (CA  
INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 12 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:171871 CAPLUS Full-text

DOCUMENT NUMBER: 136:232294

TITLE: Oxazolyl-aryloxyacetic acid derivatives and  
thiazole analogs and their use as PPAR

agonists, e.g., as antidiabetics and hypolipidemics  
INVENTOR(S): Brooks, Dawn Alisa; Connor, Scott Eugene; Dominianni,  
Samuel James; Godfrey, Alexander Glenn; Gossett, Lann  
Stacy; Rito, Christopher John; Tripp, Allie Edward;  
Warshawsky, Alan M.; Winneroski, Leonard Larry; Zhu,  
Guoxin

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 246 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO.   | DATE            |
|--|------|----------|-------------------|-----------------|
| WO 2002018355  | A1   | 20020307 | WO 2001-US22615   | 20010823 <--    |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,<br>CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,<br>GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,<br>LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,<br>PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,<br>US, UZ, VN, YU, ZA, ZW<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,<br>DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,<br>BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                   |                 |
| CA 2420178   | A1   | 20020307 | CA 2001-2420178   | 20010823 <--    |
| AU 2001084658  | A    | 20020313 | AU 2001-84658     | 20010823 <--    |
| EP 1313715   | A1   | 20030528 | EP 2001-963732    | 20010823 <--    |
| EP 1313715   | B1   | 20070801 |                   |                 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                   |                 |
| JP 2004509084  | T    | 20040325 | JP 2002-523473    | 20010823 <--    |
| AT 368653  | T    | 20070815 | AT 2001-963732    | 20010823 <--    |
| ES 2288982   | T3   | 20080201 | ES 2001-963732    | 20010823 <--    |
| US 20040024034   | A1   | 20040205 | US 2003-343474    | 20030129 <--    |
| US 6982278   | B2   | 20060103 |                   |                 |
| US 20050250825   | A1   | 20051110 | US 2005-181640    | 20050714 <--    |
| US 7351728   | B2   | 20080401 |                   |                 |
| PRIORITY APPLN. INFO.:   |      |          | US 2000-227233P   | P 20000823 <--  |
|  |      |          | WO 2001-US22615   | W 20010823 <--  |
|  |      |          | US 2003-343474    | A3 20030129 <-- |
| OTHER SOURCE(S):   |      |          | MARPAT 136:232294 |                 |
| GI   |      |          |                   |                 |

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title oxazoles I and their pharmaceutically acceptable salts, solvates, and hydrates are disclosed [wherein R1 = (un)substituted aryl, heteroaryl, cycloalkyl, aryl-alkyl, heteroaryl-alkyl, or cycloalkyl-alkyl; R2 = H, alkyl, or haloalkyl; n = 2, 3, or 4, with the resultant polymethylene chain optionally containing a carbon-carbon double bond; W = O or S; Y = (un)substituted phenylene, naphthylene, or 1,2,3,4-tetrahydronaphthylene; R3 = H, alkyl, or haloalkyl; R4 = H, alkyl, haloalkyl or (un)substituted PhCH2; provided that when R3 = R4 = H, then R2 = alkyl or haloalkyl; R5 = H, alkyl, aminoalkyl]. Approx. 120 examples are given. One example of a thiazole analog is also given. The compds. are useful for modulating a peroxisome proliferator activated receptor, particularly in the treatment of diabetes mellitus. For instance, 2-(3-bromophenyl)-4-(chloromethyl)-5-methyloxazole (prepared in 2 steps) underwent cyanation, hydrolysis to an acid, reduction to an alc., tosylation, and etherification with the corresponding phenol derivative to give intermediate bromide II. The latter compound underwent Pd-catalyzed ethynylation, hydrogenation of the ethynyl group, and alkaline hydrolysis, to give title compound III. This compound bound to human PPAR $\alpha$ .

and PPAR $\gamma$  receptors in vitro with IC<sub>50</sub> values of 31 and 219 nM, resp., vs. values of 94,500 and 1180 for troglitazone, and 68,000 and 125,000 for fenofibric acid. At 30 mg/kg orally in mice (transgenic for human apoAI), III gave a 74.3% reduction in serum triglycerides and a 180% increase in high-d. lipoprotein cholesterol, vs. 41% and 48% for fenofibrate. III also gave complete normalization of blood glucose in diabetic mice at 30 mg/kg orally.

IT 403611-88-1P, (5-Methyl-2-(thiophen-2-yl)-4-oxazole

)acetic acid

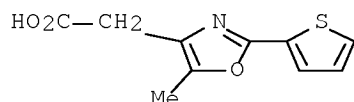
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of oxazolyl-aryloxyacetic acid derivs.

and thiazole analogs and their use as PPAR agonists)

RN 403611-88-1 CAPLUS

CN 4-Oxazoleacetic acid, 5-methyl-2-(2-thienyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 13 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:157745 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 136:216740

TITLE: Preparation of oxazolyl-arylpropionic acid derivatives and their use as PPAR agonists

INVENTOR(S): Brooks, Dawn Alisa; Godfrey, Alexander Glenn; Jones, Sarah Beth; McCarthy, James Ray; Rito, Christopher John; Winneroski, Leonard Larry, Jr.; Xu, Yanping

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 249 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE         |
|---------------|--|----------|-----------------|--------------|
| -----         | ---  | -----    | -----           | -----        |
| WO 2002016331 | A1   | 20020228 | WO 2001-US22616 | 20010823 <-- |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |          |                 |              |
| RW:           | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |              |
| CA 2418104    | A1   | 20020228 | CA 2001-2418104 | 20010823 <-- |
| AU 2001084659 | A  | 20020304 | AU 2001-84659   | 20010823 <-- |
| EP 1313716    | A1   | 20030528 | EP 2001-963733  | 20010823 <-- |
| EP 1313716    | B1   | 20070502 |                 |              |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

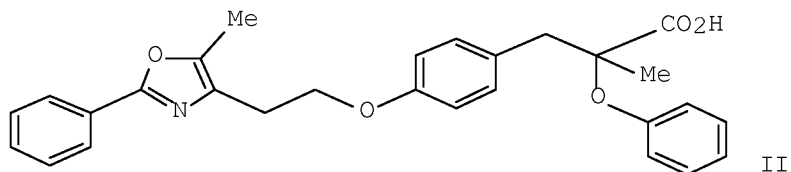
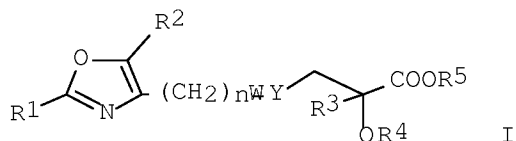
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| BR 2001013409  | A  | 20030701 | BR 2001-13409  | 20010823 <-- |
| HU 2003000857  | A2 | 20031028 | HU 2003-857    | 20010823 <-- |
| HU 2003000857  | A3 | 20070328 |                |              |
| JP 2004506721  | T  | 20040304 | JP 2002-521432 | 20010823 <-- |
| NZ 523804      | A  | 20040924 | NZ 2001-523804 | 20010823 <-- |
| AT 361283      | T  | 20070515 | AT 2001-963733 | 20010823 <-- |
| ES 2286137     | T3 | 20071201 | ES 2001-963733 | 20010823 <-- |
| ZA 2003000570  | A  | 20040421 | ZA 2003-570    | 20030121 <-- |
| US 20040097590 | A1 | 20040520 | US 2003-343476 | 20030129 <-- |
| US 6930120     | B2 | 20050816 |                |              |
| IN 2003KN00113 | A  | 20050311 | IN 2003-KN113  | 20030129 <-- |
| NO 2003000729  | A  | 20030402 | NO 2003-729    | 20030214 <-- |
| MX 2003PA01558 | A  | 20030606 | MX 2003-PA1558 | 20030220 <-- |
| US 20050245584 | A1 | 20051103 | US 2005-54226  | 20050209 <-- |
| US 7345070     | B2 | 20080318 |                |              |

PRIORITY APPLN. INFO.:

|                 |    |              |
|-----------------|----|--------------|
| US 2000-227234P | P  | 20000823 <-- |
| WO 2001-US22616 | W  | 20010823 <-- |
| US 2003-343476  | A3 | 20030129 <-- |

OTHER SOURCE(S): CASREACT 136:216740; MARPAT 136:216740

GI



AB Title compds. [I; n = 2, 3, 4; W = CH<sub>2</sub>, CH(OH), CO, O; R<sub>1</sub> = aryl, heteroaryl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, cycloalkylalkyl, (CH<sub>3</sub>)<sub>3</sub>C; R<sub>2</sub> = H, alkyl haloalkyl, C<sub>6</sub>H<sub>5</sub>; Y = thiophen-2,5-diyl, phenylene; R<sub>3</sub> = alkyl, haloalkyl; R<sub>4</sub> = C<sub>6</sub>H<sub>5</sub>, naphthyl, 1,2,3,4-tetrahydronaphthyl, quinolyl, pyridyl, benzo[1,3]dioxol-5-yl; R<sub>5</sub> = H, alkyl, aminoalkyl], stereoisomers, pharmaceutically acceptable salts, solvates and hydrates thereof are prepared for modulating a peroxisome proliferator-activated receptor (PPAR), particularly in the treatment of diabetes mellitus, cardiovascular disease, and animal syndrome X disease. Thus, the title compound II was prepared and tested for activity of lowering triglyceride serum level in mice, at 41.3%.

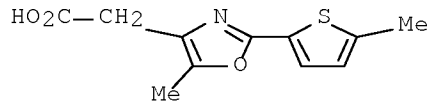
IT 401791-29-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oxazolyl-arylpropionic acid derivs. and their use as PPAR agonists)

RN 401791-29-5 CAPLUS

CN 4-Oxazoleacetic acid, 5-methyl-2-(5-methyl-2-thienyl)- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 14 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:357903 CAPLUS Full-text

DOCUMENT NUMBER: 137:78889

TITLE: Phosphine-Catalyzed Annulation of Thioamides and 2-Alkynoates: A New Synthesis of Thiazolines

AUTHOR(S): Liu, Bing; Davis, Roman; Joshi, Biren; Reynolds, Daniel W.

CORPORATE SOURCE: Chemical Development, GlaxoSmithKline, Research Triangle Park, NC, 27709-3398, USA

SOURCE: Journal of Organic Chemistry (2002), 67(13), 4595-4598

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:78889

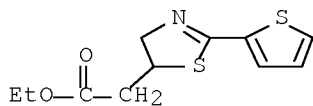
AB The annulation of thioamides with 2-alkynoates and 2,3-dienoates under the catalysis of tri-n-butylphosphine was described. The annulation reaction provided a new entry to thiazolines, particularly those with 2-aryl substituents.

IT 440632-69-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(phosphine-catalyzed annulation of thioamides and 2-alkynoates in new synthesis of thiazolines)

RN 440632-69-9 CAPLUS

CN 5-Thiazoleacetic acid, 4,5-dihydro-2-(2-thienyl)-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

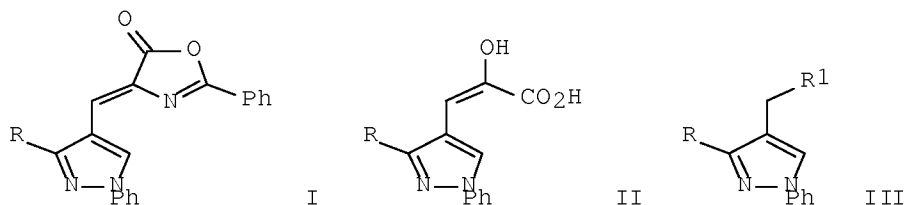
L23 ANSWER 15 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:146967 CAPLUS Full-text

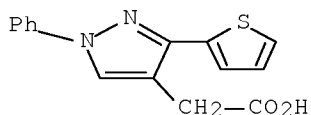
DOCUMENT NUMBER: 139:36479

TITLE: Azlactone synthesis of 3-aryl(heteroaryl)pyrazole-4-acetic acids and their nitriles

AUTHOR(S): Vovk, M. V.; Chornous, V. O.; Tsimbal, I. F.;  
 Bratenko, M. K.  
 CORPORATE SOURCE: Inst. Org. Khim., NAN Ukr., Kiev, Ukraine  
 SOURCE: Ukrainskii Khimicheskii Zhurnal (Russian Edition) ( 2002), 68(11-12), 59-64  
 CODEN: UKZHAU; ISSN: 0041-6045  
 PUBLISHER: Institut Obshchei i Neorganicheskoi Khimii im. V. I. Vernadskogo NAN Ukrainy  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Ukrainian  
 OTHER SOURCE(S): CASREACT 139:36479  
 GI



AB (pyrazolylmethylene)oxazolones I [R = (un)substituted Ph, 2-thienyl] were prepared from pyrazolecarboxaldehydes and hippuric acid. Acid hydrolysis of I gave II, which were converted to pyrazole-4-acetic acids III (R1 = COOH) by H2O2 and to pyrazole-4-acetonitriles III (R1 = CN) by hydroxylamine and acetic anhydride.  
 IT 88696-85-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (3-aryl(heteroaryl)pyrazole-4-acetic acids and their nitriles from pyrazolecarboxaldehydes and hippuric acid via azlactones)  
 RN 88696-85-9 CAPLUS  
 CN 1H-Pyrazole-4-acetic acid, 1-phenyl-3-(2-thienyl)- (CA INDEX NAME)

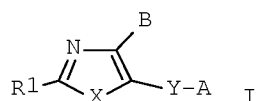


L23 ANSWER 16 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:152678 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 134:193433  
 TITLE: Preparation of oxazoles and thiazoles useful as neurotrophin production/secretion promoting agents  
 INVENTOR(S): Momose, Yu; Murase, Katsuhito  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 143 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent



LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

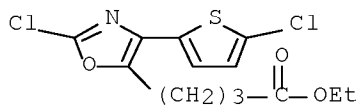
| PATENT NO.   | KIND | DATE     | APPLICATION NO.   | DATE            |
|--|------|----------|-------------------|-----------------|
| WO 2001014372  | A2   | 20010301 | WO 2000-JP5681    | 20000824 <--    |
| WO 2001014372  | A3   | 20020321 |                   |                 |
| W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU,<br>CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ,<br>LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO,<br>RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,<br>DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,<br>CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |      |          |                   |                 |
| CA 2382355   | A1   | 20010301 | CA 2000-2382355   | 20000824 <--    |
| JP 2001131161  | A    | 20010515 | JP 2000-259390    | 20000824 <--    |
| JP 3558588   | B2   | 20040825 |                   |                 |
| JP 2002080467  | A    | 20020319 | JP 2001-205451    | 20000824 <--    |
| BR 2000013493  | A    | 20020514 | BR 2000-13493     | 20000824 <--    |
| EP 1206472   | A1   | 20020522 | EP 2000-954966    | 20000824 <--    |
| EP 1206472   | B1   | 20031001 |                   |                 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL   |      |          |                   |                 |
| AT 251156  | T    | 20031015 | AT 2000-954966    | 20000824 <--    |
| HU 2003002046  | A2   | 20031028 | HU 2003-2046      | 20000824 <--    |
| HU 2003002046  | A3   | 20070328 |                   |                 |
| ES 2206292   | T3   | 20040516 | ES 2000-954966    | 20000824 <--    |
| PT 1206472   | T    | 20040630 | PT 2000-954966    | 20000824 <--    |
| AU 780307  | B2   | 20050317 | AU 2000-67276     | 20000824 <--    |
| RU 2260003   | C2   | 20050910 | RU 2002-107321    | 20000824 <--    |
| TW 268929  | B    | 20061221 | TW 2000-89117045  | 20000824 <--    |
| SK 285938  | B6   | 20071102 | SK 2002-247       | 20000824 <--    |
| US 6605629   | B1   | 20030812 | US 2001-868304    | 20010629 <--    |
| MX 2001PA13453   | A    | 20021122 | MX 2001-PA13453   | 20011219 <--    |
| ZA 2002001044  | A    | 20030206 | ZA 2002-1044      | 20020206 <--    |
| NO 2002000831  | A    | 20020424 | NO 2002-831       | 20020220 <--    |
| NO 322499  | B1   | 20061016 |                   |                 |
| HK 1044762   | A1   | 20040121 | HK 2002-105926    | 20020813 <--    |
| PRIORITY APPLN. INFO.:   |      |          | JP 1999-238917    | A 19990825 <--  |
|  |      |          | JP 2000-259390    | A3 20000824 <-- |
|  |      |          | WO 2000-JP5681    | W 20000824 <--  |
| OTHER SOURCE(S):   |      |          | MARPAT 134:193433 |                 |
| GI   |      |          |                   |                 |



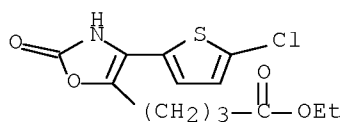
AB Neurotrophin production/secretion promoting agents which comprise an azole derivative I (e.g. 4-(4-chlorophenyl)-2-(2-methyl-1-imidazolyl)-5-[3-(2-methylphenoxy)propyl]oxazole), wherein R1 = halogen, heterocyclic group, OH which may optionally be substituted, SH which may optionally be substituted, or an amino group which may optionally be substituted; A = acyl group,

heterocyclic group, OH which may optionally be substituted, or carboxyl group which may optionally be esterified or amidated; B = aromatic group; X = O, S, N which may optionally be substituted; and Y = divalent hydrocarbon group or heterocyclic group, or a salt thereof, pharmaceutical compns. containing I, and their uses as agents for preventing or treating neuropathy are claimed. I scarcely produce side effects and can be used as prophylactic/therapeutic agents for peripheral neuropathies (e.g. diabetic neuropathy, cancer therapy-induced neuropathy), diabetic cardiomyopathy, peripheral nerve injury, spinal injury, amyotrophic lateral sclerosis, multiple sclerosis, cerebral ischemic diseases, senile dementia of Alzheimer's type, Parkinson's disease or Huntington's chorea, depression, inflammatory bowel disease, chronic pain, behavioral abnormalities accompanied by dementia, anxiety, paresthesia or pain caused by a wound, diabetes, impaired glucose tolerance, hyperlipidemia, hyperinsulinemia, obesity, hyperphagia, hypertension, and cardiovascular diseases. I can also be used as ameliorating agents for peripheral neuropathies or cerebral metabolic disorders. The neurotrophin production/secretion promoting activity of 4-(4-chlorophenyl)-2-(2-methyl-1-imidazolyl)-5-[3-(2-methylphenoxy)propyl] oxazole is presented. Although the methods of preparation are not claimed, >120 example preps. are included.

IT 327188-30-7P, Ethyl 2-chloro-4-(5-chloro-2-thienyl)-5-oxazolebutanoate 327189-22-0P, Ethyl 4-[4-(5-chloro-2-thienyl)-2-oxo-4-oxazolin-5-yl]butanoate  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of oxazoles and thiazoles useful as neurotrophin production/secretion promoting agents)  
 RN 327188-30-7 CAPLUS  
 CN 5-Oxazolebutanoic acid, 2-chloro-4-(5-chloro-2-thienyl)-, ethyl ester (CA INDEX NAME)



RN 327189-22-0 CAPLUS  
 CN 5-Oxazolebutanoic acid, 4-(5-chloro-2-thienyl)-2,3-dihydro-2-oxo-, ethyl ester (CA INDEX NAME)



L23 ANSWER 17 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:115148 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 134:178571  
 TITLE: Preparation of 6-azauracil derivatives as

interleukin-5 inhibitors

INVENTOR(S): Lacrampe, Jean Fernand Armand; Freyne, Eddy Jean  
 Edgard; Deroose, Frederik Dirk; Fortin, Jerome Michel  
 Claude; Coesemans, Erwin

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 163 pp.  
 CODEN: PIXXD2

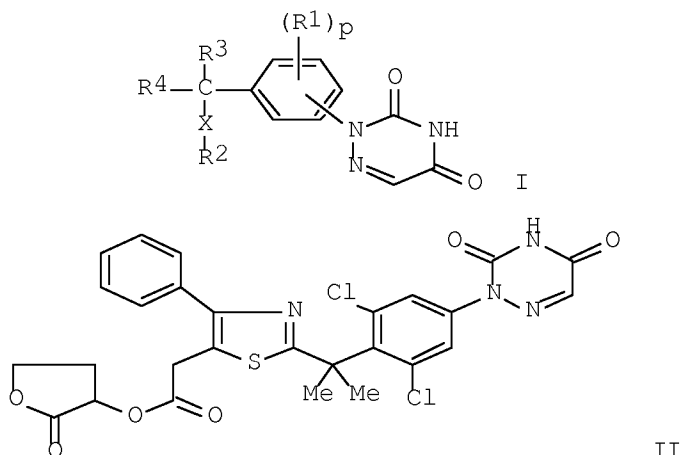
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.   | DATE           |
|---|------|----------|-------------------|----------------|
| WO 2001010866   | A1   | 20010215 | WO 2000-EP7358    | 20000731 <--   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |      |          |                   |                |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                   |                |
| CA 2380759  | A1   | 20010215 | CA 2000-2380759   | 20000731 <--   |
| BR 2000013014   | A    | 20020416 | BR 2000-13014     | 20000731 <--   |
| EP 1206471  | A1   | 20020522 | EP 2000-948015    | 20000731 <--   |
| EP 1206471  | B1   | 20060301 |                   |                |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL   |      |          |                   |                |
| TR 200200310  | T2   | 20020821 | TR 2002-310       | 20000731 <--   |
| HU 2002002692   | A2   | 20021228 | HU 2002-2692      | 20000731 <--   |
| HU 2002002692   | A3   | 20030128 |                   |                |
| JP 2003506451   | T    | 20030218 | JP 2001-515675    | 20000731 <--   |
| EE 200200057  | A    | 20030415 | EE 2002-57        | 20000731 <--   |
| NZ 516506   | A    | 20040227 | NZ 2000-516506    | 20000731 <--   |
| AU 780047   | B2   | 20050224 | AU 2000-61609     | 20000731 <--   |
| AT 318811   | T    | 20060315 | AT 2000-948015    | 20000731 <--   |
| ES 2260031  | T3   | 20061101 | ES 2000-948015    | 20000731 <--   |
| TW 271404   | B    | 20070121 | TW 2000-89115824  | 20000804 <--   |
| KR 795484   | B1   | 20080116 | KR 2002-700704    | 20020117 <--   |
| BG 106367   | A    | 20020930 | BG 2002-106367    | 20020130 <--   |
| IN 2002MN00144  | A    | 20050318 | IN 2002-MN144     | 20020131 <--   |
| NO 2002000565   | A    | 20020326 | NO 2002-565       | 20020205 <--   |
| NO 322386   | B1   | 20060925 |                   |                |
| ZA 2002001007   | A    | 20030505 | ZA 2002-1007      | 20020205 <--   |
| MX 2002PA01343  | A    | 20020722 | MX 2002-PA1343    | 20020206 <--   |
| US 20030114453  | A1   | 20030619 | US 2002-75876     | 20020214 <--   |
| US 6911444  | B2   | 20050628 |                   |                |
| HK 1048634  | A1   | 20050930 | HK 2003-100718    | 20030128 <--   |
| PRIORITY APPLN. INFO.:  |      |          | EP 1999-870170    | A 19990806 <-- |
|   |      |          | EP 1999-126035    | A 19991227 <-- |
|   |      |          | WO 2000-EP7358    | W 20000731 <-- |
| OTHER SOURCE(S):  |      |          | MARPAT 134:178571 |                |
| GI  |      |          |                   |                |



AB The title compds. (I) [p = 0-4; X = O, S, NR5, or a direct bond; or XR2 taken together = CN; R1 = independently C(O)ZR14, (un)substituted alkyl, halo, OH, SH, alkoxy, alkylthio, alkylcarbonyloxy, aryl, CN, NO2, heterocyclyl, R6, or NR7R8; R2 = heterocyclyl, (un)substituted cycloalkyl, alkoxy, or alkylthio, heterocyclyl(oxy), heterocyclylthio, etc.; R3 and R4 = independently H or (cyclo)alkyl; or R3 and R4 taken together form an alkenediyl; R5 = H or alkyl; R6 = (un)substituted (cyclo)alkylsulfonyl, amino(alkyl)sulfonyl, heterocyclylsulfonyl, etc.; R7 and R8 = independently H, (cyclo)alkyl, (di)hydroxyalkyl, mercaptoalkyl, aryl(alkyl), alkyloxyalkyl, alkyl(thio)carbonyl, aryl(thio)carbonyl, heterocyclyl(thio)carbonyl, C(O)ZR14, or (un)substituted aminocarbonyl, etc.; or R7 and R8 together with the N to which they are attached form a pyrrolidinone, piperidinone, or hexahydroazepinone; R14 = H, alkynyl, or (un)substituted (alkyl)acyl, alkyl, alkenyl, heterocyclyl, etc.; Z = O, S, NH, CH2O, or CH2S; or ZR14 taken together = CH2CN or CH2PO3H2 and its esters] and their N-oxides, pharmaceutically acceptable salts, or stereochem. isomers were prepared as selective chemokine inhibitors. For example, 2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)- $\alpha,\alpha$ -dimethylbenzeneethanethioamide was coupled with Et  $\beta$ -bromo- $\gamma$ -oxobenzenebutanoate (46.5%), cyclized to form the thiazoleacetic acid (79%), and esterified with 3-bromodihydro-2(3H)-furanone to give II. As selective interleukin 5 (IL-5) and monocyte chemotactic protein-1 and -3 (MCP-1 and MCP-3) inhibitors, I are useful for treating eosinophil-dependent inflammatory diseases, especially bronchial asthma (no data). Processes using I for marking receptors and imaging organs via radiolabeling are also claimed.

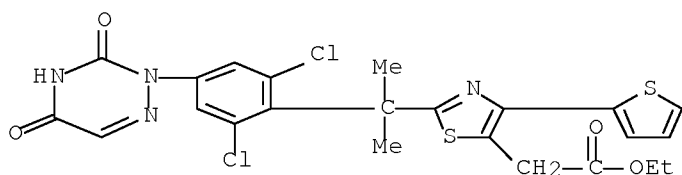
IT 325968-66-9P 325968-67-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

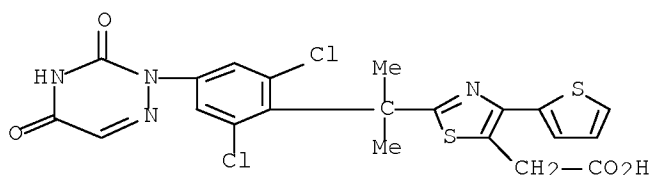
(intermediate; preparation of IL-5 inhibiting thiazolylalkylphenyl -6-azauracil derivs. by coupling of 4-dioxotriazinyl- $\alpha,\alpha$ -dimethylbenzeneethanethioamides with  $\alpha$ -oxoalkyl halides, cyclization, and addition of functionally substituted groups)

RN 325968-66-9 CAPLUS

CN 5-Thiazoleacetic acid, 2-[1-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenyl]-1-methylethyl]-4-(2-thienyl)-, ethyl ester (CA INDEX NAME)

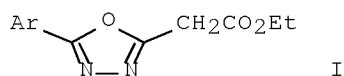


RN 325968-67-0 CAPLUS  
 CN 5-Thiazoleacetic acid, 2-[1-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenyl]-1-methylethyl]-4-(2-thienyl)- (CA INDEX NAME)



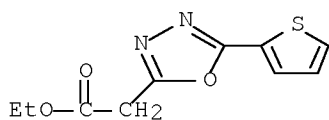
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 18 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:29404 CAPLUS Full-text  
 DOCUMENT NUMBER: 136:340636  
 TITLE: Synthesis of 5-(hetero)aryl-1,3,4-oxadiazolyl-2-acetic acids  
 AUTHOR(S): Janda, Lubomir  
 CORPORATE SOURCE: Aldrich Chemical Co., Inc., Milwaukee, WI, 53233, USA  
 SOURCE: Heterocyclic Communications (2001), 7(5), 411-416  
 CODEN: HCOMEX; ISSN: 0793-0283  
 PUBLISHER: Freund Publishing House Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 136:340636  
 GI

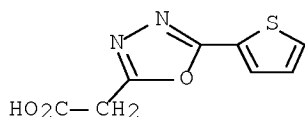


AB Et (1H-tetrazol-5-yl)acetate is acylated with aroyl chlorides and heteroaroaryl chlorides in pyridine. The intermediate acyltetrazoles undergo thermal degradation to Et [5-(hetero)aryl-1,3,4-oxadiazol-2-yl]acetates [I; Ar = 2-furanyl, 2-thienyl, (un)substituted phenyl]. The corresponding acetic acids are obtained by potassium hydroxide mediated hydrolysis of the esters in anhydrous ethanol.

IT 415679-22-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and conversion to carboxylic acid)  
 RN 415679-22-0 CAPLUS  
 CN 1,3,4-Oxadiazole-2-acetic acid, 5-(2-thienyl)-, ethyl ester (CA INDEX  
 NAME)



IT 415679-28-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 415679-28-6 CAPLUS  
 CN 1,3,4-Oxadiazole-2-acetic acid, 5-(2-thienyl)- (CA INDEX NAME)



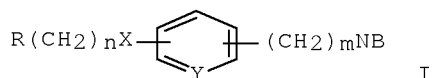
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 19 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1998:87730 CAPLUS Full-text  
 DOCUMENT NUMBER: 128:154084  
 TITLE: Preparation of aralkylazoles as tyrosine kinase  
 inhibitors useful as antitumor agents.  
 INVENTOR(S): Momose, Yu; Matsutani, Etsuya  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 151 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE         |
|------------|------|----------|-----------------|--------------|
| WO 9803505 | A2   | 19980129 | WO 1997-JP2479  | 19970717 <-- |
| WO 9803505 | A3   | 19980625 |                 |              |

W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU,  
 IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO,  
 NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU  
 RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,  
 GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,  
 GN, ML, MR, NE, SN, TD, TG

|   |    |          |                   |                 |
|---|----|----------|-------------------|-----------------|
| CA 2260999  | A1 | 19980129 | CA 1997-2260999   | 19970717 <--    |
| CA 2260999  | C  | 20060711 |                   |                 |
| AU 9734616  | A  | 19980210 | AU 1997-34616     | 19970717 <--    |
| EP 912562   | A1 | 19990506 | EP 1997-930819    | 19970717 <--    |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI |    |          |                   |                 |
| CN 1223653  | A  | 19990721 | CN 1997-195822    | 19970717 <--    |
| CN 1077107  | B  | 20020102 |                   |                 |
| EP 1270571  | A1 | 20030102 | EP 2002-79001     | 19970717 <--    |
| EP 1270571  | B1 | 20060906 |                   |                 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI |    |          |                   |                 |
| AT 338754   | T  | 20060915 | AT 2002-79001     | 19970717 <--    |
| ZA 9706378  | A  | 19990119 | ZA 1997-6378      | 19970718 <--    |
| JP 11060571   | A  | 19990302 | JP 1997-193709    | 19970718 <--    |
| JP 4056589  | B2 | 20080305 |                   |                 |
| US 6211215  | B1 | 20010403 | US 1998-180955    | 19981118 <--    |
| CN 1349990  | A  | 20020522 | CN 2001-119519    | 20010518 <--    |
| PRIORITY APPLN. INFO.:  |    |          | JP 1996-191100    | A 19960719 <--  |
|   |    |          | JP 1997-155177    | A 19970612 <--  |
|   |    |          | EP 1997-930819    | A3 19970717 <-- |
|   |    |          | WO 1997-JP2479    | W 19970717 <--  |
| OTHER SOURCE(S):  |    |          | MARPAT 128:154084 |                 |
| GI  |    |          |                   |                 |



AB Title compds. [I; R = (substituted) heteroaryl; X = O, (oxidized) S, CO, CH(OH); Y = CH, N; m = 0-10; n = 1-5; NB = (substituted) aromatic azolyl; ring containing Y is optionally further substituted], were prepared Thus, 3-[4-[2-[(E)-phenylethenyl]-4-oxazolylmethoxy]phenyl]propyl methanesulfonate (preparation given) was added to a mixture of imidazole and NaH in DMF followed by stirring for 1.5 h at 70° to give 4-[4-[3-(1-imidazolyl)propyl]phenoxyethyl]-2-[(E)-2-phenylethenyl] oxazole. The latter inhibited proliferation of MDA-MB-453 human breast cancer cells with IC50 = 0.25 nM.

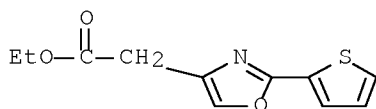
IT 202595-22-0P 202595-23-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

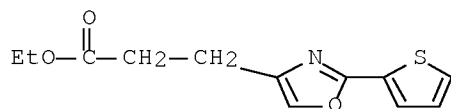
(preparation of aralkylazoles as tyrosine kinase inhibitors useful as antitumor agents)

RN 202595-22-0 CAPLUS

CN 4-Oxazoleacetic acid, 2-(2-thienyl)-, ethyl ester (CA INDEX NAME)



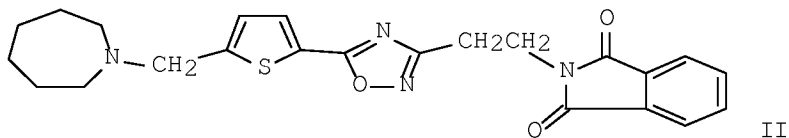
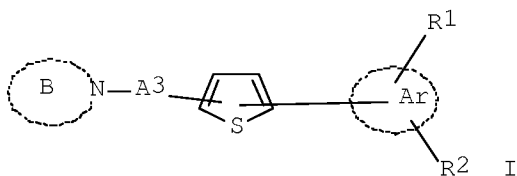
RN 202595-23-1 CAPLUS  
CN 4-Oxazolepropanoic acid, 2-(2-thienyl)-, ethyl ester (CA INDEX NAME)



L23 ANSWER 20 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1998:55635 CAPLUS Full-text  
DOCUMENT NUMBER: 128:114954  
TITLE: Preparation and formulation of thienyloxadiazole derivatives and analogs as anti-phencyclidine agents  
INVENTOR(S): Kimura, Takenori; Murakami, Takeshi; Ohmori, Junya; Morita, Takuma; Tsukamoto, Shin-ichi  
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 90 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO.  | DATE           |
|------------------------|--|----------|------------------|----------------|
| WO 9800420             | A1   | 19980108 | WO 1997-JP2255   | 19970630 <--   |
| W:                     | AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU |          |                  |                |
| RW:                    | GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG   |          |                  |                |
| TW 414795              | B  | 20001211 | TW 1997-86109077 | 19970628 <--   |
| CA 2260263             | A1   | 19980108 | CA 1997-2260263  | 19970630 <--   |
| AU 9732767             | A  | 19980121 | AU 1997-32767    | 19970630 <--   |
| AU 714701              | B2   | 20000106 |                  |                |
| EP 921123              | A1   | 19990609 | EP 1997-928516   | 19970630 <--   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI   |          |                  |                |
| CN 1223648             | A  | 19990721 | CN 1997-195963   | 19970630 <--   |
| BR 9709947             | A  | 19990810 | BR 1997-9947     | 19970630 <--   |
| RU 2172737             | C2   | 20010827 | RU 1999-101864   | 19970630 <--   |
| JP 3218045             | B2   | 20011015 | JP 1998-503989   | 19970630 <--   |
| KR 2000022061          | A  | 20000425 | KR 1998-710464   | 19981221 <--   |
| US 6090804             | A  | 20000718 | US 1998-214228   | 19981230 <--   |
| MX 9900258             | A  | 20000531 | MX 1999-258      | 19990104 <--   |
| PRIORITY APPLN. INFO.: |  |          | JP 1996-170970   | A 19960701 <-- |
|                        |  |          | WO 1997-JP2255   | W 19970630 <-- |
| OTHER SOURCE(S):       | MARPAT 128:114954  |          |                  |                |
| GI                     |  |          |                  |                |





AB The title compds. I [R1 is A1X1R3; R2 is A2X2R4 or nil; B is a four- to ten-membered nitrogenous cycloalkyl or a five- or six-membered nitrogenous unsatd. heterocycle; Ar is aryl or heteroaryl; A1, A2 and A3 are each independently a bond or lower alkylene; X1 and X2 are each independently a bond, O, S or the like; and R3 and R4 are each independently hydrogen, cyclic imido, lower alkyl, cycloalkyl, aryl or aralkyl, with the provisos that when Ar is a thiazole ring, at least either of A1 and A2 is lower alkylene and that when Ar is a benzene ring, compds. wherein one of R1 and R2 is Me or halogeno and the other thereof is hydrogen are excluded], useful as psychotropics and antischizophrenic agents, are prepared The title compound II at 10 mg/kg s.c. gave statistically significant inhibition of phencyclidine-induced locomotor stimulation in rats.

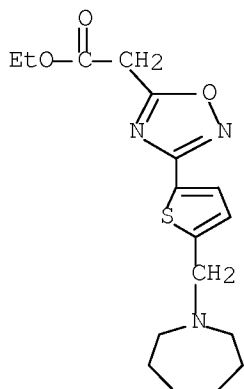
IT 201546-17-0P 201546-18-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

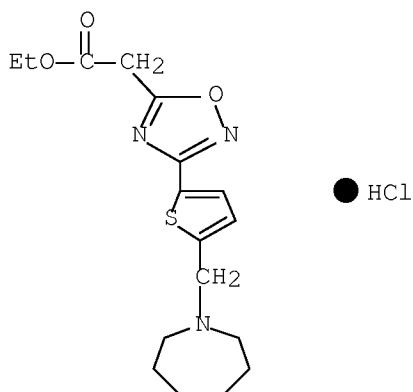
(preparation of thienyloxadiazole derivs. and analogs as anti-phencyclidine agents)

RN 201546-17-0 CAPLUS

CN 1,2,4-Oxadiazole-5-acetic acid, 3-[5-[(hexahydro-1H-azepin-1-yl)methyl]-2-thienyl]-, ethyl ester (CA INDEX NAME)



RN 201546-18-1 CAPLUS  
CN 1,2,4-Oxadiazole-5-acetic acid, 3-[5-[(hexahydro-1H-azepin-1-yl)methyl]-2-thienyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 21 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:713389 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 130:104774

TITLE: N-(2-Benzoylphenyl)-L-tyrosine PPAR $\gamma$  Agonists.  
2. Structure-Activity Relationship and Optimization of the Phenyl Alkyl Ether Moiety

AUTHOR(S): Collins, Jon L.; Blanchard, Steven G.; Boswell, G. Evan; Charifson, Paul S.; Cobb, Jeff E.; Henke, Brad R.; Hull-Ryde, Emily A.; Kazmierski, Wieslaw M.; Lake, Debra H.; Leesnitzer, Lisa M.; Lehmann, Juergen; Lenhard, James M.; Orband-Miller, Lisa A.; Gray-Nunez, Yolanda; Parks, Derek J.; Plunkett, Kelli D.; Tong, Wei-Qin

CORPORATE SOURCE: Glaxo Wellcome Research and Development, Research Triangle Park, NC, 27709, USA

SOURCE: Journal of Medicinal Chemistry (1998), 41(25), 5037-5054  
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB We previously reported the identification of (2S)-((2-benzoylphenyl)amino)-3-{4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl}propanoic acid (I) (PPAR $\gamma$  pK<sub>i</sub> = 8.94, PPAR $\gamma$  pEC<sub>50</sub> = 9.47) as a potent and selective PPAR $\gamma$  agonist. We now report the expanded structure-activity relationship around the Ph alkyl ether moiety by pursuing both a classical medicinal chemical approach and a solid-phase chemical approach for analog synthesis. The solution-phase strategy focused on evaluating the effects of oxazole and Ph ring replacements of the 2-(5-methyl-2-phenyloxazol-4-yl)ethyl side chain of I with several replacements providing potent and selective PPAR $\gamma$  agonists with improved aqueous solubility. Specifically, replacement of the Ph ring of the phenyloxazole moiety with a 4-pyridyl group to give (2S)-((2-benzoylphenyl)amino)-3-{4-[2-(5-methyl-2-pyridin-4-yloxazol-4-

yl)ethoxy]phenyl}propionic acid (PPAR $\gamma$  pK<sub>i</sub> = 8.85, PPAR $\gamma$  pEC<sub>50</sub> = 8.74) or a 4-methylpiperazine to give (2S)-((2-benzoylphenyl)amino)-3-(4-{2-[5-methyl-2-(4-methylpiperazin-1-yl)thiazol-4-yl]ethoxy}phenyl)propionic acid (PPAR $\gamma$  pK<sub>i</sub> = 8.66, PPAR $\gamma$  pEC<sub>50</sub> = 8.89) provided two potent and selective PPAR $\gamma$  agonists with increased solubility in pH 7.4 phosphate buffer and simulated gastric fluid as compared to I. The second strategy took advantage of the speed and ease of parallel solid-phase analog synthesis to generate a more diverse set of Ph alkyl ethers which led to the identification of a number of novel, high-affinity PPAR $\gamma$  ligands (PPAR $\gamma$  pK<sub>i</sub>'s 6.98-8.03). The combined structure-activity data derived from the two strategies provide valuable insight on the requirements for PPAR $\gamma$  binding, functional activity, selectivity, and aqueous solubility

IT 196810-94-3F

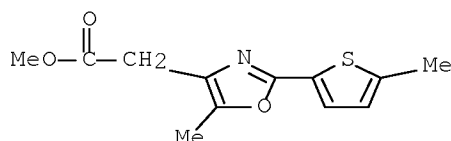
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, optimization and SAR of N-(2-benzoylphenyl)-L-tyrosine analogs

as PPAR $\gamma$  agonists)

RN 196810-94-3 CAPLUS

CN 4-Oxazoleacetic acid, 5-methyl-2-(5-methyl-2-thienyl)-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 22 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:594721 CAPLUS Full-text

DOCUMENT NUMBER: 127:278064

TITLE: Substituted 4-hydroxyphenylalkanoic acid derivatives with agonist activity to PPAR- $\gamma$

INVENTOR(S): Willson, Timothy Mark; Mook, Robert Anthony, Jr.; Kaldor, Istvan; Henke, Brad Richard; Deaton, David Norman; Collins, Jon Loren; Cobb, Jeffrey Edmond; et al.

PATENT ASSIGNEE(S): Glaxo Group Ltd., UK

SOURCE: PCT Int. Appl., 157 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE         |
|--|------|----------|-----------------|--------------|
| WO 9731907   | A1   | 19970904 | WO 1997-EP916   | 19970226 <-- |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, |      |          |                 |              |

VN, YU  
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,  
GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,  
ML, MR, NE, SN, TD, TG

|            |    |          |                 |              |
|------------|----|----------|-----------------|--------------|
| CA 2247443 | A1 | 19970904 | CA 1997-2247443 | 19970226 <-- |
| AU 9720935 | A  | 19970916 | AU 1997-20935   | 19970226 <-- |
| AU 717699  | B2 | 20000330 |                 |              |
| ZA 9701645 | A  | 19971210 | ZA 1997-1645    | 19970226 <-- |
| EP 888317  | A1 | 19990107 | EP 1997-906130  | 19970226 <-- |
| EP 888317  | B1 | 20010912 |                 |              |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI

|                |    |          |                  |              |
|----------------|----|----------|------------------|--------------|
| CN 1218460     | A  | 19990602 | CN 1997-193988   | 19970226 <-- |
| CN 1093124     | B  | 20021023 |                  |              |
| BR 9707786     | A  | 19990727 | BR 1997-7786     | 19970226 <-- |
| JP 2000507216  | T  | 20000613 | JP 1997-530586   | 19970226 <-- |
| JP 3255930     | B2 | 20020212 |                  |              |
| NZ 331381      | A  | 20000623 | NZ 1997-331381   | 19970226 <-- |
| HU 2000004845  | A2 | 20010528 | HU 2000-4845     | 19970226 <-- |
| HU 2000004845  | A3 | 20010730 |                  |              |
| IL 125796      | A  | 20010614 | IL 1997-125796   | 19970226 <-- |
| AT 205485      | T  | 20010915 | AT 1997-906130   | 19970226 <-- |
| ES 2163125     | T3 | 20020116 | ES 1997-906130   | 19970226 <-- |
| PT 888317      | T  | 20020328 | PT 1997-906130   | 19970226 <-- |
| SK 282753      | B6 | 20021203 | SK 1998-1163     | 19970226 <-- |
| HR 970110      | B1 | 20030630 | HR 1997-110      | 19970226 <-- |
| IN 1997DE00491 | A  | 20050311 | IN 1997-DE491    | 19970226 <-- |
| CZ 295383      | B6 | 20050713 | CZ 1998-2750     | 19970226 <-- |
| PL 191118      | B1 | 20060331 | PL 1997-328871   | 19970226 <-- |
| TW 391958      | B  | 20000601 | TW 1997-86102826 | 19970307 <-- |
| US 6294580     | B1 | 20010925 | US 1998-125750   | 19980825 <-- |
| NO 9803940     | A  | 19981027 | NO 1998-3940     | 19980827 <-- |
| NO 311516      | B1 | 20011203 |                  |              |
| HK 1015369     | A1 | 20020215 | HK 1999-100498   | 19990205 <-- |

PRIORITY APPLN. INFO.: GB 1996-4242 A 19960228 <--  
WO 1997-EP916 W 19970226 <--

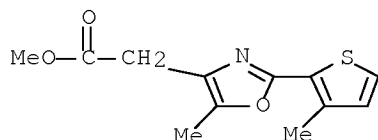
OTHER SOURCE(S): MARPAT 127:278064

AB Compds. 4-(A-B-O)C6H4-Q-CHZCO2R1 [A = (un)substituted Ph, heterocycllyl, fused bicyclic ring; B = alkylene, heterocycllyl; Q = alkylene; R1 = H, alkyl; Z = alkylenephenyl, NR3R4 (R3 = H, alkyl; R4 = YXOTR5, YCH(OH)TR5 with Y = bond, alkylene, alkenylene, cycloalkylene, etc. and T = bond, O, etc. and R5 = alkyl, cycloalkyl, (un)substituted Ph)] were prepared and their agonist activity to PPAR-gamma determined E.g., O-benzyl L-tyrosine, dicyclohexylamine, and 1-benzoylacetone were refluxed in MeOH to give 3-(4-benzyloxyphenyl)-2(S)-(1-methyl-3-oxo-3-phenylpropenylamino)propionic acid dicyclohexylamine salt.

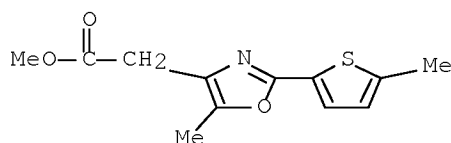
IT 196809-83-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of (hydroxyphenyl)alkanoic acids with agonist activity to PPAR-gamma)

RN 196809-83-3 CAPLUS

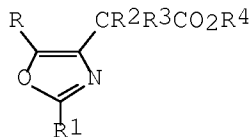
CN 4-Oxazoleacetic acid, 5-methyl-2-(3-methyl-2-thienyl)-, methyl ester (CA INDEX NAME)



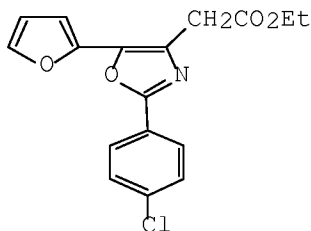
IT 196810-94-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of (hydroxyphenyl)alkanoic acids with agonist activity to  
 PPAR-gamma)  
 RN 196810-94-3 CAPLUS  
 CN 4-Oxazoleacetic acid, 5-methyl-2-(5-methyl-2-thienyl)-, methyl ester (CA  
 INDEX NAME)



L23 ANSWER 23 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1988:221624 CAPLUS Full-text  
 DOCUMENT NUMBER: 108:221624  
 ORIGINAL REFERENCE NO.: 108:36383a,36386a  
 TITLE: Synthesis of ethyl 2-(4-chlorophenyl)-5-(2-furyl)-4-  
 oxazoleacetate, a hypolipidemic agent, and  
 related compounds  
 AUTHOR(S): Moriya, Tamon; Seki, Masahiko; Takabe, Seiichi;  
 Matsumoto, Kazuo; Takashima, Kohki; Mori, Tetsuji;  
 Odawara, Akio; Takeyama, Shigeyuki  
 CORPORATE SOURCE: Res. Lab. Appl. Biochem., Tanabe Seiyaku Co., Ltd.,  
 Osaka, 532, Japan  
 SOURCE: Journal of Medicinal Chemistry (1988),  
 31(6), 1197-204  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 108:221624  
 GI



I



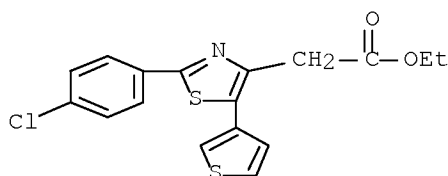
II

AB Derivs. of 5-furyl-4-oxazoleacetic acid I [R = (un)substituted 2-furyl, 3-furyl, 3-thienyl, pyrrolidino; R1 = (un)substituted Ph, Me, CHMe2, cyclohexyl; R2 = H, Me, Et; R3 = H, Me; R4 = H, Et, Bu, heptyl, nicotinyl] (50 compds.) were synthesized and evaluated for their hypolipemic activities in rats. On the basis of the structure-activity relationships and subacute toxicities, ester II was selected as a candidate compound for development. II reduced serum cholesterol and triglyceride levels by 23% and 35%, resp., at 0.05% in the diet in normal rats, and it was about 10 times more active in hereditary hyperlipemic rats than in normal rats. II inhibited platelet aggregation in vitro and also normalized hyperaggregability of hyperlipidemic plasma platelets ex vivo.

IT 105770-44-3P 113598-16-6P 113598-17-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and antilipemic and platelet aggregation-inhibiting activity of)

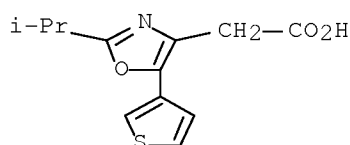
RN 105770-44-3 CAPLUS

CN 4-Thiazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



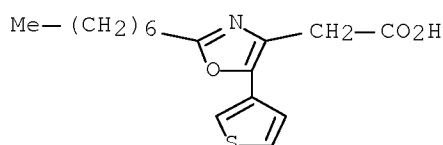
RN 113598-16-6 CAPLUS

CN 4-Oxazoleacetic acid, 2-(1-methylethyl)-5-(3-thienyl)- (CA INDEX NAME)

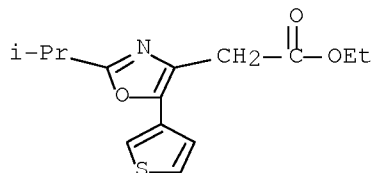


RN 113598-17-7 CAPLUS

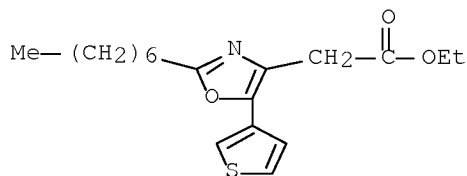
CN 4-Oxazoleacetic acid, 2-heptyl-5-(3-thienyl)- (CA INDEX NAME)



IT 113598-13-3P 113598-14-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation, saponification, and antilipemic and platelet aggregation-  
 inhibiting  
 activity of)  
 RN 113598-13-3 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(1-methylethyl)-5-(3-thienyl)-, ethyl ester (CA  
 INDEX NAME)



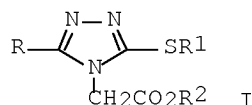
RN 113598-14-4 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-heptyl-5-(3-thienyl)-, ethyl ester (CA INDEX  
 NAME)



L23 ANSWER 24 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1988:6027 CAPLUS Full-text  
 DOCUMENT NUMBER: 108:6027  
 ORIGINAL REFERENCE NO.: 108:1147a,1150a  
 TITLE: Preparation of alkyl 5-substituted-3-mercapto-4H-1,2,4-  
 triazol-4-yl acetates as antiinflammatories  
 and antibiotic intermediates  
 INVENTOR(S): Veverka, Miroslav; Marchalin, Miroslav  
 PATENT ASSIGNEE(S): Czech.  
 SOURCE: Czech., 5 pp.  
 CODEN: CZXXA9  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Slovak  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND | DATE              | APPLICATION NO. | DATE         |
|------------------------|------|-------------------|-----------------|--------------|
| -----                  | ---- | -----             | -----           | -----        |
| CS 234892              | B1   | 19850416          | CS 1984-882     | 19840207 <-- |
| PRIORITY APPLN. INFO.: |      |                   | CS 1984-882     | 19840207 <-- |
| OTHER SOURCE(S):       |      | CASREACT 108:6027 |                 |              |

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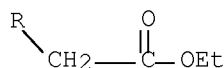
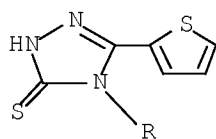
AB The title compds. [I; R = H, C1-6 alkyl, C3-6 cycloalkyl, cyanomethyl, carbamoyl, -CH<sub>2</sub>CO<sub>2</sub>R<sub>3</sub>, -CO<sub>2</sub>R<sub>4</sub>; R<sub>1</sub> = H alkali metal, alkaline earth metal, ammonium, cyanomethyl, C1-4 alkyl, -CH<sub>2</sub>CO<sub>2</sub>R<sub>5</sub>; R<sub>2</sub>, R<sub>3</sub> = C1-4 alkyl; R<sub>4</sub> = alkyl, benzyl, (substituted) Ph; R<sub>5</sub> = C1-4 alkyl, benzhydryl, H, alkali metal, alkaline earth metal, ammonium] are prepared by cyclization of thiosemicarbazides in an alkaline medium and S-alkylation. I are useful as intermediates for semisynthetic antibiotics and nonsteroidal antiinflammatories (no data). A solution of 10g 1(2-furoyl)-4-carbethoxymethyl-3-thiosemicarbazide in 450 mL EtOH in the presence of 0.9 g Na was refluxed for 12 h to give 7.3 g Et 5-(2-furyl)-3-mercaptop-4H-1,2,4-triazol-4-yl acetate.

IT 110167-62-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and alkylation of)

RN 110167-62-9 CAPLUS

CN 4H-1,2,4-Triazole-4-acetic acid, 1,5-dihydro-3-(2-thienyl)-5-thioxo-, ethyl ester (CA INDEX NAME)



L23 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:515537 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 107:115537

ORIGINAL REFERENCE NO.: 107:18727a,18730a

TITLE: Addition-cyclization reactions of ethyl isothiocyanatoacetate with carboxylic acid hydrazides  
AUTHOR(S): Veverka, Miroslav; Marchalin, Miroslav  
CORPORATE SOURCE: Drug Res. Inst., Bratislava, 811 04, Czech.  
SOURCE: Collection of Czechoslovak Chemical Communications (1987), 52(1), 113-19

CODEN: CCCCAK; ISSN: 0366-547X

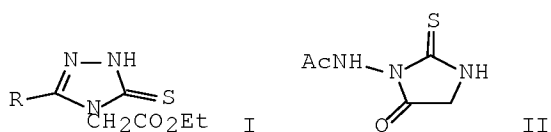
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 107:115537



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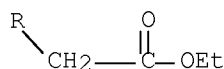
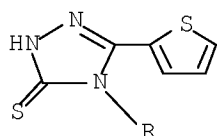


AB Et (3-substituted 5-thioxo-1,2,4-triazolin-4-yl)acetates I (R = e.g. H, Me, Ph, PhCH<sub>2</sub>, 2-thienyl) were prepared by addition-cyclization reaction of Et isothiocyanatoacetate with carboxylic acid hydrazides in the presence of NaOEt. Thermal cyclization of the adduct AcNHNHCSNHCH<sub>2</sub>CO<sub>2</sub>Et in DMF afforded 1-acetamido-2-thiohydantoin II. The effect of substituents on the cyclization course and the thione-thiol tautomerism are discussed.

IT 110167-62-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 110167-62-9 CAPLUS

CN 4H-1,2,4-Triazole-4-acetic acid, 1,5-dihydro-3-(2-thienyl)-5-thioxo-, ethyl ester (CA INDEX NAME)



L23 ANSWER 26 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:18570 CAPLUS Full-text

DOCUMENT NUMBER: 106:18570

ORIGINAL REFERENCE NO.: 106:3189a,3192a

TITLE: Tetrazole derivatives

INVENTOR(S): Matsumoto, Kazuo; Moriya, Tamon; Takashima, Koki

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.  
CODEN: JKXXAF

DOCUMENT TYPE: Patent

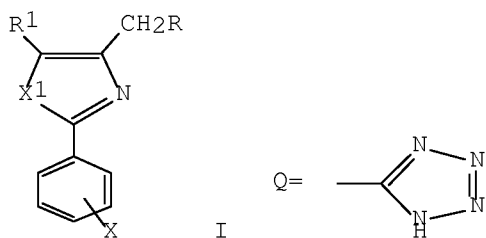
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE         |
|------------------------|------|----------|-----------------|--------------|
| JP 61167685            | A    | 19860729 | JP 1985-9678    | 19850121 <-- |
| JP 04049548            | B    | 19920811 |                 |              |
| PRIORITY APPLN. INFO.: |      |          | JP 1985-9678    | 19850121 <-- |

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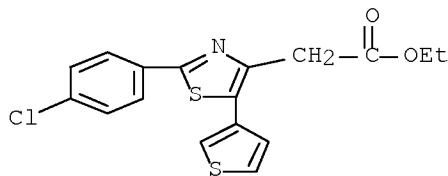
AB The title compds. [I; R = Q; R1 = (hetero)aryl, (cyclo)alkyl; X1 = S, O; X = halo], useful as anticholesteremics, were prepared Thus, a mixture of I (R = cyano; R1 = 2-furyl; X1 = S; X = Cl), NaN3 and NH4Cl in DMF was heated at 100-110° for 10 h to give 58% I (R = Q; R1 = 2-furyl; X1 = S; X = Cl). Rats fed with a diet containing I showed a 37 and 76% decrease in serum cholesterol and triglycerides, resp.

IT 105770-44-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as anticholesteremic)

RN 105770-44-3 CAPLUS

CN 4-Thiazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



L23 ANSWER 27 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:33032 CAPLUS Full-text

DOCUMENT NUMBER: 106:33032

ORIGINAL REFERENCE NO.: 106:5543a,5546a

TITLE: Thiazole and oxazole derivatives

INVENTOR(S): Matsumoto, Kazuo; Moriya, Tamon; Takashima, Koki

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

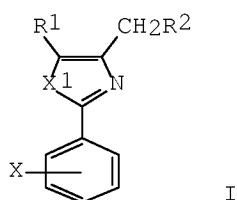
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE         |
|------------------------|------|----------|-----------------|--------------|
| -----                  | ---- | -----    | -----           | -----        |
| JP 61167676            | A    | 19860729 | JP 1985-9677    | 19850121 <-- |
| PRIORITY APPLN. INFO.: |      |          | JP 1985-9677    | 19850121 <-- |

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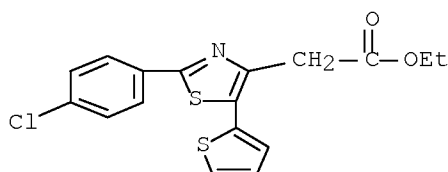


AB The title compds. [I; R1 = (hetero)aryl, (cyclo)alkyl; R2 = CONH2, cyano, C(S)NH2, CO2R3; R3 = H, alkyl; X = halo; X1 = O, S], useful as anticholesteremics (no data), were prepared Thus, a mixture of R1COCH(NHCOC6H4Cl-p)CH2CO2Et (R1 = 2-furyl) and 2,4-bis(methylthio)-1,3,2,4-dithiadiphosphetane 2,4-disulfide in THF was heated at 40° for 1 h to give 91% I(R1 = 2-furyl; R2 = CO2Et).

IT 105584-37-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as anticholesteremic)

RN 105584-37-0 CAPLUS

CN 4-Thiazoleacetic acid, 2-(4-chlorophenyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



L23 ANSWER 28 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:129826 CAPLUS Full-text

DOCUMENT NUMBER: 104:129826

ORIGINAL REFERENCE NO.: 104:20541a,20544a

TITLE: Synthesis of amino acids and related compounds. 29.  
 Synthesis and hypolipidemic activities of 5-thienyl-4-oxazoleacetic acid derivatives

AUTHOR(S): Moriya, Tamon; Takabe, Seiichi; Maeda, Sadao; Matsumoto, Kazuo

CORPORATE SOURCE: Res. Lab. Appl. Biochem., Tanabe Seiyaku Co., Ltd., Osaka, 532, Japan

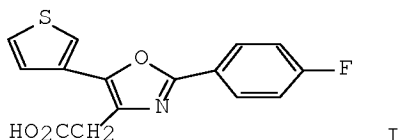
SOURCE: Journal of Medicinal Chemistry (1986), 29(3), 333-41  
 CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 104:129826

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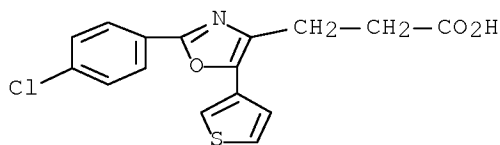
AB A series of 2,5-disubstituted 4-oxazoleacetic acid derivs. was synthesized and evaluated for hypolipidemic activity. Among them, those with a thienyl group at C-5 of the oxazole ring exerted highly potent hypolipidemic effects in rats. Thienyloxazoleacetic acid I was the most potent derivative, being about 2 times as active as clofibrate in normal SD male rats. I had an improved antiarteriosclerosis index and showed inhibition of platelet aggregation *ex vivo*.

IT 99923-96-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(hypolipidemic activity of)

RN 99923-96-3 CAPLUS

CN 4-Oxazolepropanoic acid, 2-(4-chlorophenyl)-5-(3-thienyl)- (CA INDEX NAME)

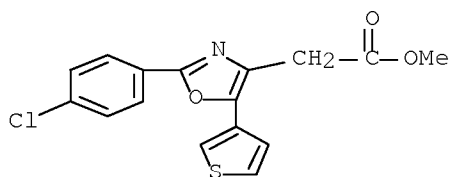


IT 85162-07-8P 85162-12-5P 85162-17-0P  
85162-19-2P 85162-20-5P 85162-21-6P  
85162-22-7P 85162-23-8P 85162-24-9P  
85162-25-0P 85162-28-3P 85162-29-4P  
90430-15-2P 99923-76-9P 99923-83-8P  
99923-84-9P 99923-85-0P 99923-86-1P  
99923-87-2P 99924-07-9P 99924-08-0P  
99924-09-1P 99924-10-4P 99946-60-8P  
99946-61-9P 99946-62-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and hypolipidemic activity of)

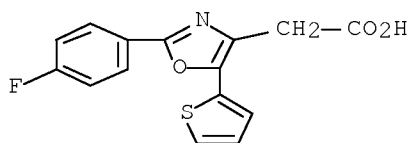
RN 85162-07-8 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, methyl ester (CA INDEX NAME)



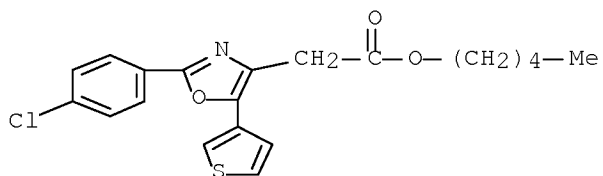
RN 85162-12-5 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(2-thienyl)- (CA INDEX NAME)



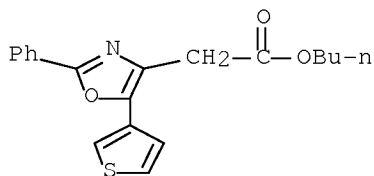
RN 85162-17-0 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, pentyl ester (CA INDEX NAME)



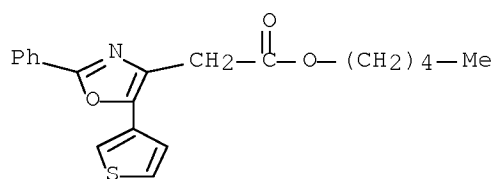
RN 85162-19-2 CAPLUS

CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)-, butyl ester (CA INDEX NAME)



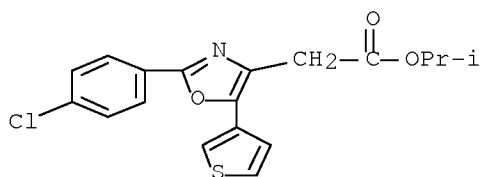
RN 85162-20-5 CAPLUS

CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)-, pentyl ester (CA INDEX NAME)



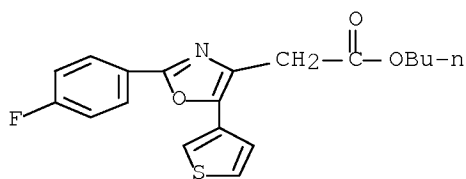
RN 85162-21-6 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, 1-methylethyl ester (CA INDEX NAME)



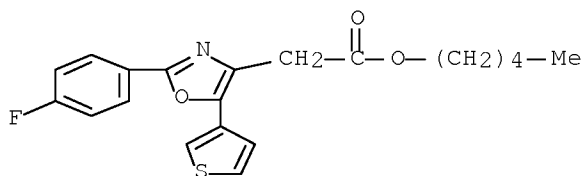
RN 85162-22-7 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, butyl ester (CA INDEX NAME)



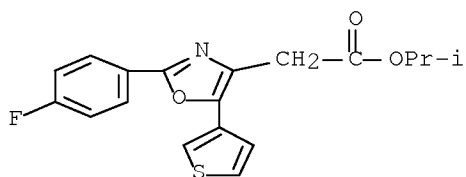
RN 85162-23-8 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, pentyl ester (CA INDEX NAME)

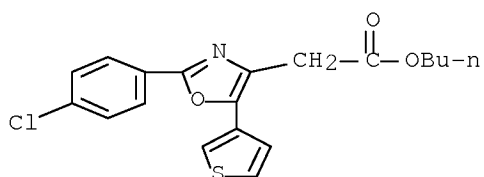


RN 85162-24-9 CAPLUS

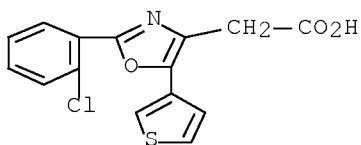
CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, 1-methylethyl ester (CA INDEX NAME)



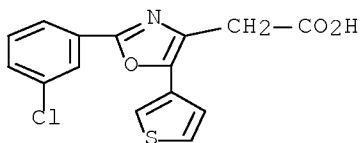
RN 85162-25-0 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, butyl ester (CA INDEX NAME)



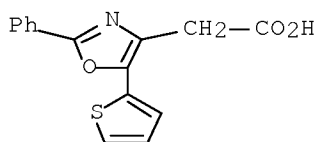
RN 85162-28-3 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(2-chlorophenyl)-5-(3-thienyl)- (CA INDEX NAME)



RN 85162-29-4 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(3-chlorophenyl)-5-(3-thienyl)- (CA INDEX NAME)

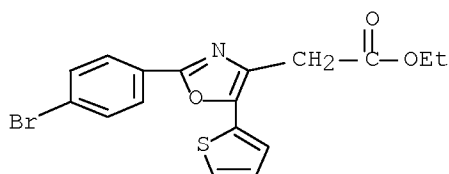


RN 90430-15-2 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-phenyl-5-(2-thienyl)- (CA INDEX NAME)



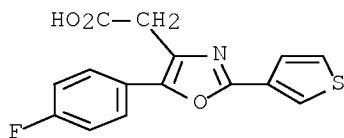
RN 99923-76-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-bromophenyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



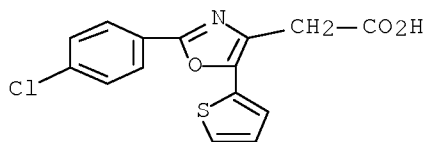
RN 99923-83-8 CAPLUS

CN 4-Oxazoleacetic acid, 5-(4-fluorophenyl)-2-(3-thienyl)- (CA INDEX NAME)



RN 99923-84-9 CAPLUS

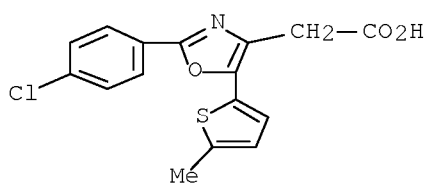
CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(2-thienyl)- (CA INDEX NAME)



RN 99923-85-0 CAPLUS

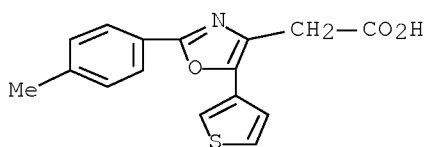
CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(5-methyl-2-thienyl)- (CA INDEX NAME)





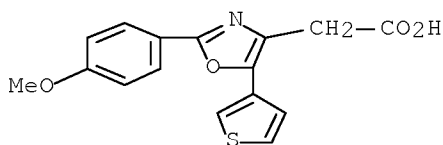
RN 99923-86-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methylphenyl)-5-(3-thienyl)- (CA INDEX NAME)



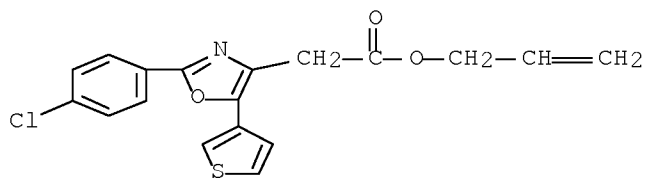
RN 99923-87-2 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-(3-thienyl)- (CA INDEX NAME)



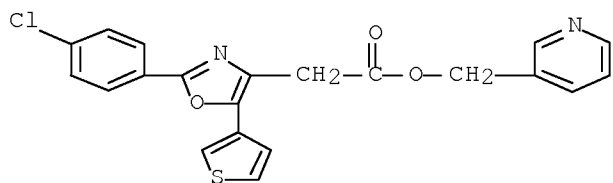
RN 99924-07-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, 2-propenyl ester (9CI) (CA INDEX NAME)



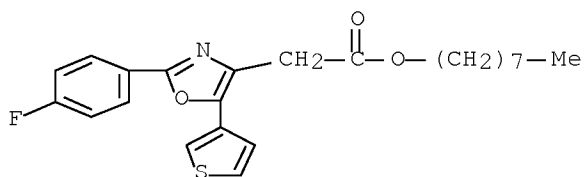
RN 99924-08-0 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, 3-pyridinylmethyl ester (CA INDEX NAME)



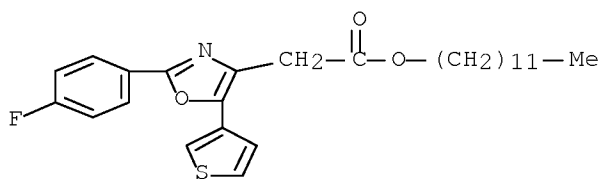
RN 99924-09-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, octyl ester (CA INDEX NAME)



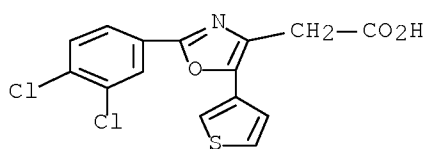
RN 99924-10-4 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, dodecyl ester (CA INDEX NAME)



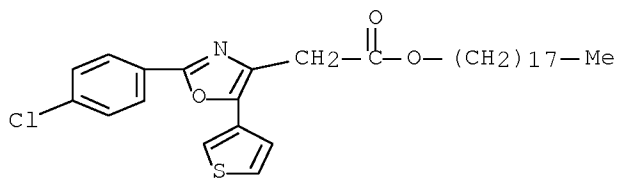
RN 99946-60-8 CAPLUS

CN 4-Oxazoleacetic acid, 2-(3,4-dichlorophenyl)-5-(3-thienyl)- (CA INDEX NAME)



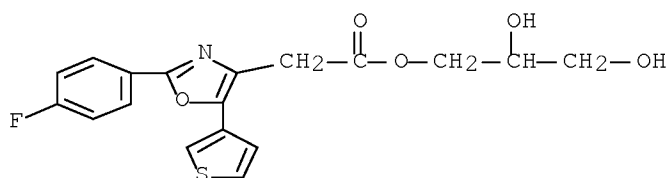
RN 99946-61-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, octadecyl ester (CA INDEX NAME)



RN 99946-62-0 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-,  
2,3-dihydroxypropyl ester (CA INDEX NAME)

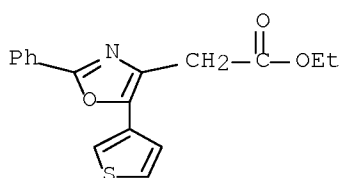


IT 85162-05-6P 85162-06-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation and saponification and hypolipidemic activity of)

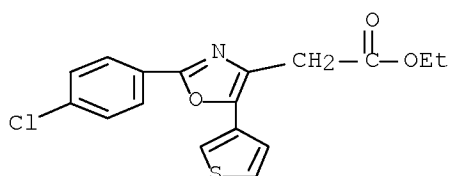
RN 85162-05-6 CAPLUS

CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)

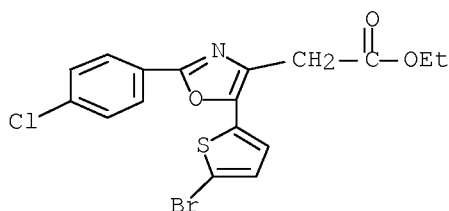


RN 85162-06-7 CAPLUS

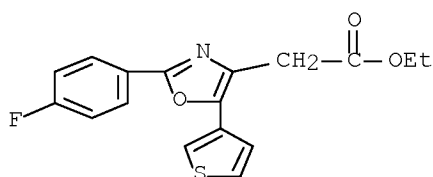
CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



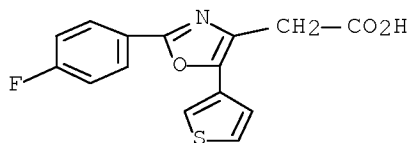
IT 99923-77-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 99923-77-0 CAPLUS  
 CN 4-Oxazoleacetic acid, 5-(5-bromo-2-thienyl)-2-(4-chlorophenyl)-, ethyl  
 ester (CA INDEX NAME)



IT 85162-04-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation, borohydride reduction, saponification, and hypolipidemic  
 activity of)  
 RN 85162-04-5 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, ethyl ester (CA  
 INDEX NAME)

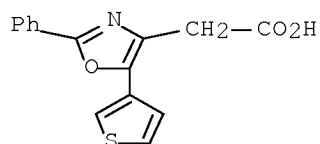


IT 85162-11-4P 85162-13-6P 85162-14-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation, esterification, and hypolipidemic activity of)  
 RN 85162-11-4 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)- (CA INDEX NAME)



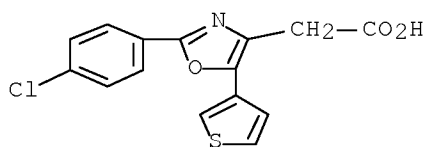
RN 85162-13-6 CAPLUS

CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)- (CA INDEX NAME)



RN 85162-14-7 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)- (CA INDEX NAME)



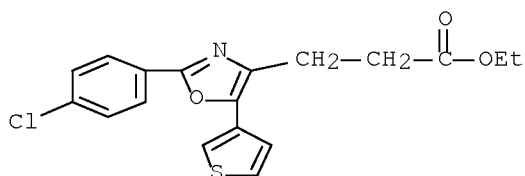
IT 99923-94-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, saponification, and hypolipidemic activity of)

RN 99923-94-1 CAPLUS

CN 4-Oxazolepropanoic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



IT 85162-08-9P 85162-09-0P 85162-10-3P

85162-26-1P 85162-27-2P 99923-75-8P

99923-78-1P 99923-79-2P 99923-80-5P

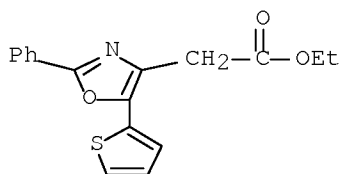
99946-59-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, saponification, and hypolipidemic activity of)

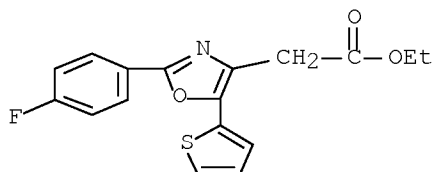
RN 85162-08-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-phenyl-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



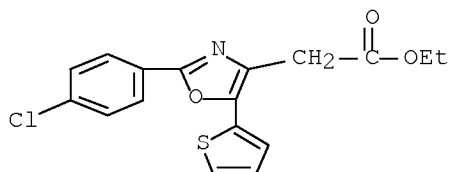
RN 85162-09-0 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



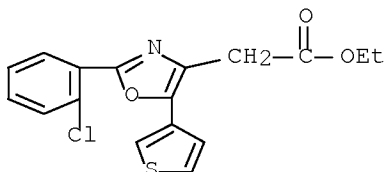
RN 85162-10-3 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



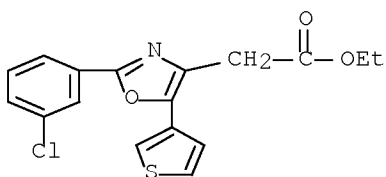
RN 85162-26-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-(2-chlorophenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)

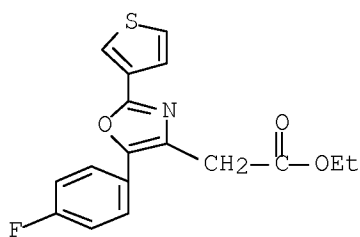


RN 85162-27-2 CAPLUS

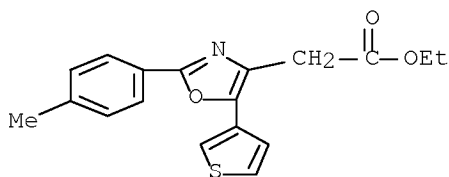
CN 4-Oxazoleacetic acid, 2-(3-chlorophenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



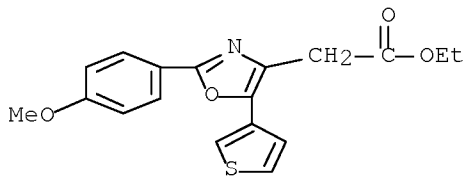
RN 99923-75-8 CAPLUS  
 CN 4-Oxazoleacetic acid, 5-(4-fluorophenyl)-2-(3-thienyl)-, ethyl ester (CA INDEX NAME)



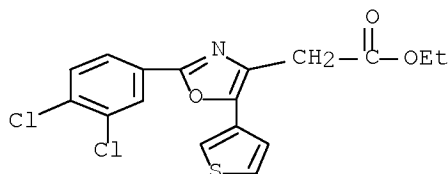
RN 99923-78-1 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-methylphenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



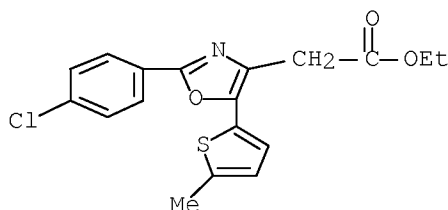
RN 99923-79-2 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



RN 99923-80-5 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(3,4-dichlorophenyl)-5-(3-thienyl)-, ethyl ester  
 (CA INDEX NAME)



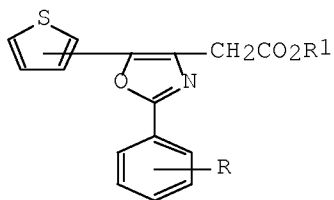
RN 99946-59-5 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(5-methyl-2-thienyl)-, ethyl ester (CA INDEX NAME)



L23 ANSWER 29 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1984:400692 CAPLUS Full-text  
 DOCUMENT NUMBER: 101:692  
 ORIGINAL REFERENCE NO.: 101:119a,122a  
 TITLE: Thienyloxazolylacetate derivatives as anticholesteremics  
 PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE         |
|------------------------|------|----------|-----------------|--------------|
| -----                  | ---- | -----    | -----           | -----        |
| JP 59036614            | A    | 19840228 | JP 1982-147970  | 19820825 <-- |
| PRIORITY APPLN. INFO.: |      |          | JP 1982-147970  | 19820825 <-- |
| GI                     |      |          |                 |              |





I

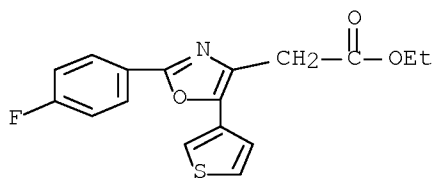
AB Thienyloxazolyllacetates (I, R = H or halo; R1 = H or alkyl) are anticholesteremics. Thus, Et 2-[2-(4-fluorophenyl)-5-(3-thienyl)-4-oxazolyll]acetate (II) [85162-04-5] was prepared by ring closure of Et 3-(4-fluorobenzoylamino)-3-(3-thienylcarbonyl)propionate [85162-38-5]. A diet containing 0.05% II given to rats decreased serum cholesterol and triglycerides 19 and 31%, resp., in 1 wk.

IT 85162-04-5P 85162-05-6P 85162-06-7P  
85162-07-8P 85162-08-9P 85162-09-0P  
85162-10-3P 85162-11-4P 85162-12-5P  
85162-13-6DP, derivs. 85162-13-6P 85162-15-8P  
85162-16-9P 85162-17-0P 85162-18-1P  
85162-19-2P 85162-20-5P 85162-21-6P  
85162-22-7P 85162-23-8P 85162-24-9P  
85162-25-0P 85162-26-1P 85162-27-2P  
85162-28-3P 85162-29-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and anticholesteremic activity of)

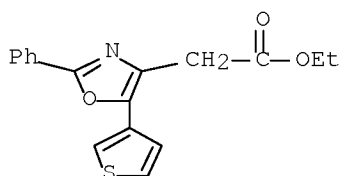
RN 85162-04-5 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)

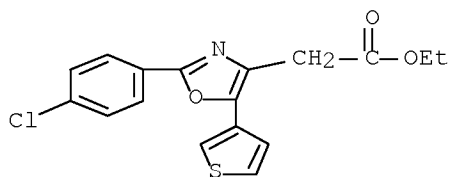


RN 85162-05-6 CAPLUS

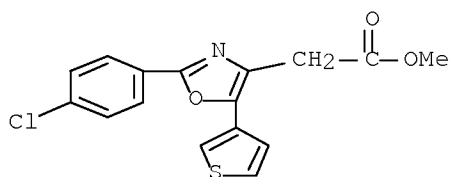
CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



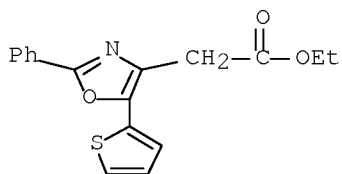
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CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



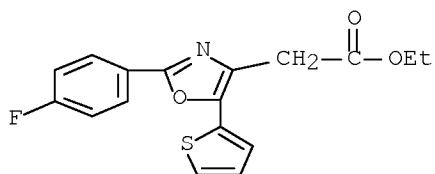
RN 85162-07-8 CAPLUS  
CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, methyl ester (CA INDEX NAME)



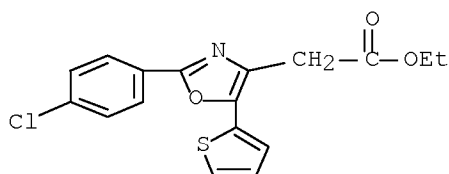
RN 85162-08-9 CAPLUS  
CN 4-Oxazoleacetic acid, 2-phenyl-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



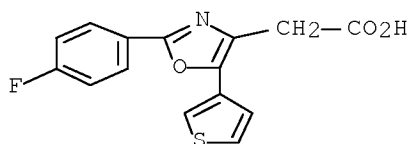
RN 85162-09-0 CAPLUS  
CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



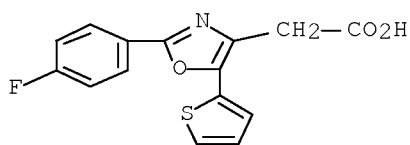
RN 85162-10-3 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



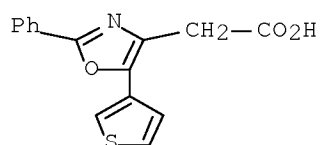
RN 85162-11-4 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)- (CA INDEX NAME)



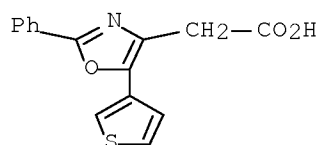
RN 85162-12-5 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(2-thienyl)- (CA INDEX NAME)



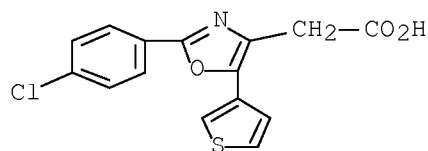
RN 85162-13-6 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)- (CA INDEX NAME)



RN 85162-13-6 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)- (CA INDEX NAME)

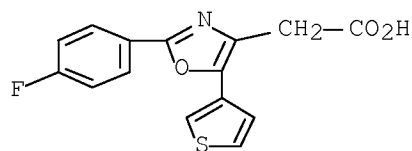


RN 85162-15-8 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, sodium salt (9CI)  
 (CA INDEX NAME)



● Na

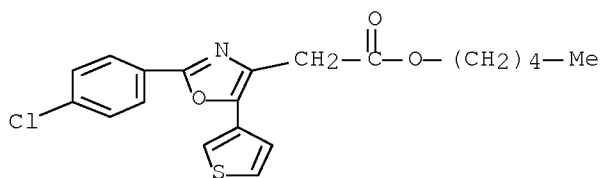
RN 85162-16-9 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, potassium salt  
 (9CI) (CA INDEX NAME)



● K

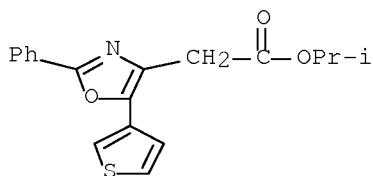
RN 85162-17-0 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, pentyl ester (CA

INDEX NAME)



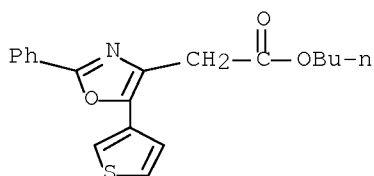
RN 85162-18-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)-, 1-methylethyl ester (CA INDEX NAME)



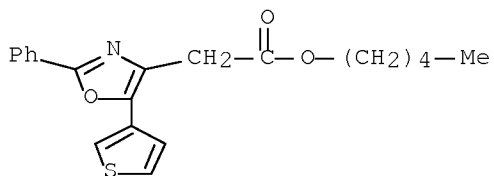
RN 85162-19-2 CAPLUS

CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)-, butyl ester (CA INDEX NAME)

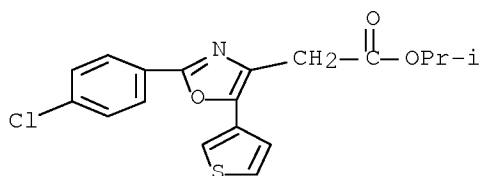


RN 85162-20-5 CAPLUS

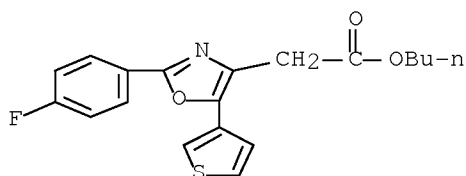
CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)-, pentyl ester (CA INDEX NAME)



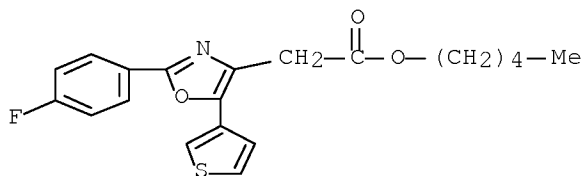
RN 85162-21-6 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, 1-methylethyl ester (CA INDEX NAME)



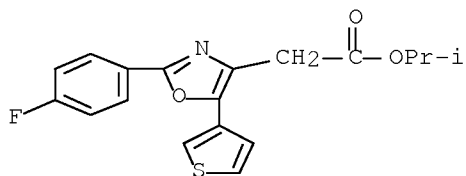
RN 85162-22-7 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, butyl ester (CA INDEX NAME)



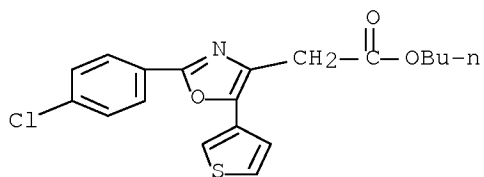
RN 85162-23-8 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, pentyl ester (CA INDEX NAME)



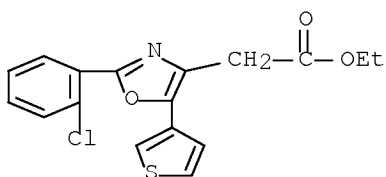
RN 85162-24-9 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, 1-methylethyl ester (CA INDEX NAME)



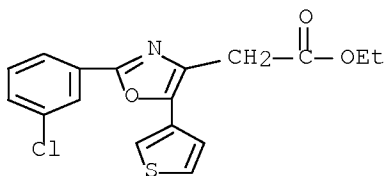
RN 85162-25-0 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, butyl ester (CA INDEX NAME)



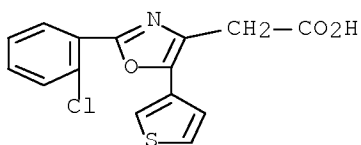
RN 85162-26-1 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(2-chlorophenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



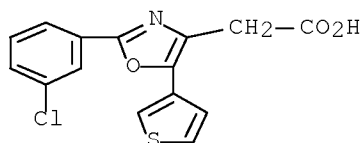
RN 85162-27-2 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(3-chlorophenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



RN 85162-28-3 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(2-chlorophenyl)-5-(3-thienyl)- (CA INDEX NAME)



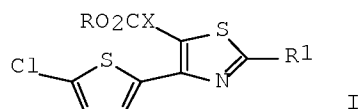
RN 85162-29-4 CAPLUS  
CN 4-Oxazoleacetic acid, 2-(3-chlorophenyl)-5-(3-thienyl)- (CA INDEX NAME)



L23 ANSWER 30 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1983:160701 CAPLUS Full-text  
DOCUMENT NUMBER: 98:160701  
ORIGINAL REFERENCE NO.: 98:24391a, 24394a  
TITLE: 4-Chlorothieryl-4-thiazolealkanecarboxylic  
acid derivatives and pharmaceutical preparations  
containing them  
INVENTOR(S): Uhlendorf, Joachim; Graf, Erich  
PATENT ASSIGNEE(S): Nattermann, A., und Cie. G.m.b.H., Fed. Rep. Ger.  
SOURCE: Ger. Offen., 12 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE      | APPLICATION NO. | DATE         |
|------------------------|--------|-----------|-----------------|--------------|
| -----                  | ----   | -----     | -----           | -----        |
| DE 3128492             | A1     | 19830203  | DE 1981-3128492 | 19810718 <-- |
| PRIORITY APPLN. INFO.: |        |           | DE 1981-3128492 | 19810718 <-- |
| OTHER SOURCE(S):       | MARPAT | 98:160701 |                 |              |

GI

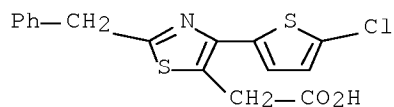


AB The antithrombotic (no data) title compds. I [R = H, alkali metal, C1-6 hydrocarbon; R<sub>1</sub> = (un)substituted phenylalkyl, (un)substituted phenylthioalkyl, (un)substituted Ph, X = C1-3 alkylene] were prepared Thus, 7.6 g PhCH<sub>2</sub>CSNH<sub>2</sub> was treated with 14.9 g 3-(5-chloro-2-thienyl)-3-bromopropanoic acid in DMF at 60-70° to give 7.3 g I (R = H, R<sub>1</sub> = PhCH<sub>2</sub>, X = CH<sub>2</sub>).

IT 85346-86-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and esterification of)

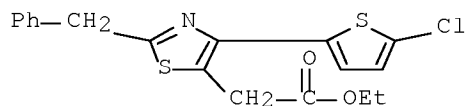


RN 85346-86-7 CAPLUS  
 CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(phenylmethyl)- (CA INDEX NAME)

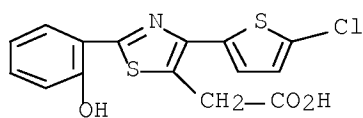


IT 85346-87-8P 85346-88-9P 85346-90-3P  
 85346-91-4P 85346-92-5P 85346-93-6P  
 85346-94-7P 85346-95-8P 85346-96-9P  
 85346-97-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 85346-87-8 CAPLUS  
 CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(phenylmethyl)-, ethyl ester (CA INDEX NAME)

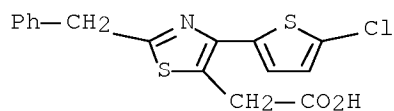


RN 85346-88-9 CAPLUS  
 CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(2-hydroxyphenyl)-, monosodium salt (9CI) (CA INDEX NAME)



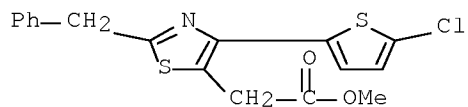
● Na

RN 85346-90-3 CAPLUS  
 CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(phenylmethyl)-, sodium salt (9CI) (CA INDEX NAME)



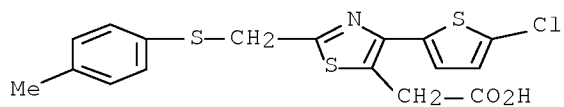
RN 85346-91-4 CAPLUS

CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(phenylmethyl)-, methyl ester (CA INDEX NAME)



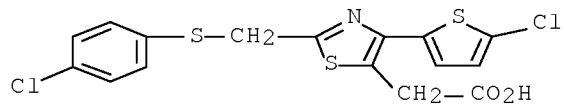
RN 85346-92-5 CAPLUS

CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[[4-methylphenyl)thio]methyl]- (CA INDEX NAME)



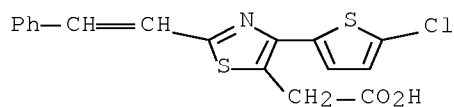
RN 85346-93-6 CAPLUS

CN 5-Thiazoleacetic acid, 2-[[4-(4-chlorophenyl)thio]methyl]-4-(5-chloro-2-thienyl)- (CA INDEX NAME)



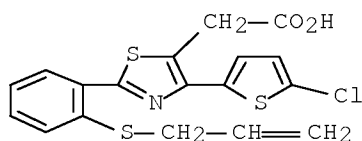
RN 85346-94-7 CAPLUS

CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-(2-phenylethenyl)- (CA INDEX NAME)



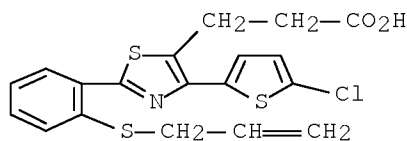
RN 85346-95-8 CAPLUS

CN 5-Thiazoleacetic acid, 4-(5-chloro-2-thienyl)-2-[2-(2-propenylthio)phenyl]-  
(9CI) (CA INDEX NAME)



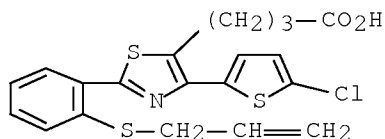
RN 85346-96-9 CAPLUS

CN 5-Thiazolepropanoic acid, 4-(5-chloro-2-thienyl)-2-[2-(2-propenylthio)phenyl]- (9CI) (CA INDEX NAME)



RN 85346-97-0 CAPLUS

CN 5-Thiazolebutanoic acid, 4-(5-chloro-2-thienyl)-2-[2-(2-propenylthio)phenyl]- (9CI) (CA INDEX NAME)



L23 ANSWER 31 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1983:198197 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 98:198197

ORIGINAL REFERENCE NO.: 98:30131a,30134a

TITLE: Thienyloxazolylacetic acid derivatives

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

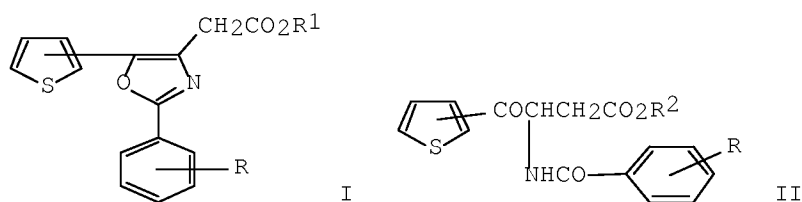
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND                                 | DATE     | APPLICATION NO. | DATE           |
|------------------------|--------------------------------------|----------|-----------------|----------------|
| JP 57188587            | A                                    | 19821119 | JP 1981-73777   | 19810515 <--   |
| JP 62056152            | B                                    | 19871124 |                 |                |
| US 4460596             | A                                    | 19840717 | US 1982-372990  | 19820429 <--   |
| PRIORITY APPLN. INFO.: |                                      |          | JP 1981-73777   | A 19810515 <-- |
| OTHER SOURCE(S):       | CASREACT 98:198197; MARPAT 98:198197 |          |                 |                |

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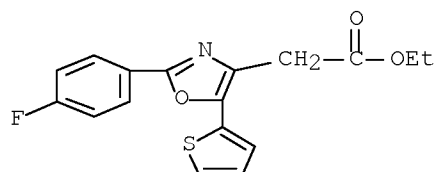
AB Twenty-six title derivs. I (R = H, halo; R1 = H, alkyl) were prepared by dehydration cyclization of II (R2 = alkyl) optionally followed by hydrolysis. Hypolipemic and platelet aggregation inhibitory data of I were shown in rats in comparison with clofibrate. Thus, 24.6 g POCl3 was added to 40 g Et 3-(4-fluorobenzoylamino)-3-(3-thienylcarbonyl)propionate in DMF at 0-5° and the mixture stirred 4 h at 0-5° and overnight at room temperature to give 85.5% I (R = 4-F, R1 = Et, 3-thienyl).

IT 85162-09-0P 85162-17-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and hypolipemic activity of)

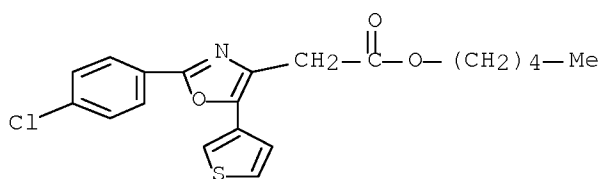
RN 85162-09-0 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



RN 85162-17-0 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, pentyl ester (CA INDEX NAME)



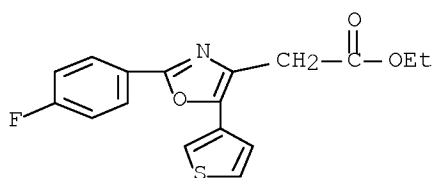
IT 85162-04-5P 85162-08-9P 85162-11-4P  
85162-12-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and pharmacol. activity of)

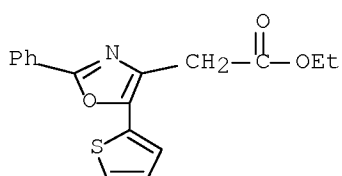
RN 85162-04-5 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



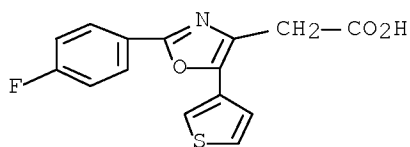
RN 85162-08-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-phenyl-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)

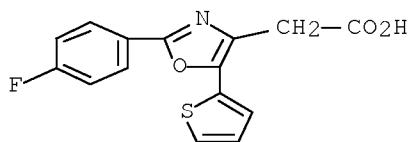


RN 85162-11-4 CAPLUS

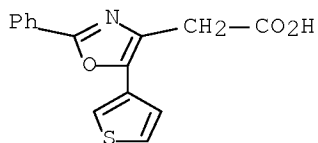
CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)- (CA INDEX NAME)



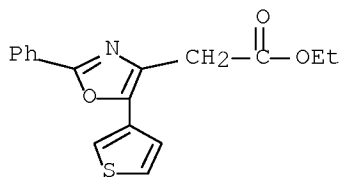
RN 85162-12-5 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(2-thienyl)- (CA INDEX NAME)



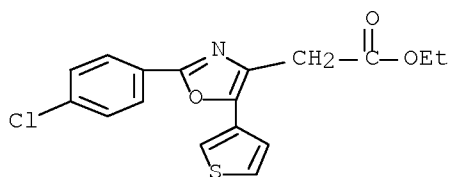
IT 85162-13-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and platelet aggregation inhibitor activity of)  
 RN 85162-13-6 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)- (CA INDEX NAME)



IT 85162-05-6P 85162-06-7P 85162-07-8P  
 85162-10-3P 85162-14-7P 85162-15-8P  
 85162-16-9P 85162-18-1P 85162-19-2P  
 85162-20-5P 85162-21-6P 85162-22-7P  
 85162-23-8P 85162-24-9P 85162-25-0P  
 85162-26-1P 85162-27-2P 85162-28-3P  
 85162-29-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 85162-05-6 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)

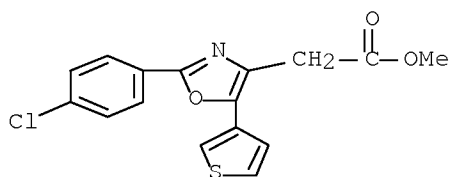


RN 85162-06-7 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



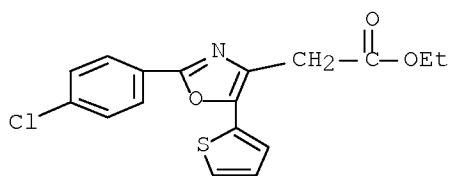
RN 85162-07-8 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, methyl ester (CA INDEX NAME)



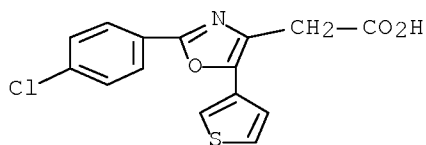
RN 85162-10-3 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



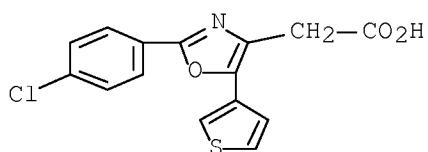
RN 85162-14-7 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)- (CA INDEX NAME)



RN 85162-15-8 CAPLUS

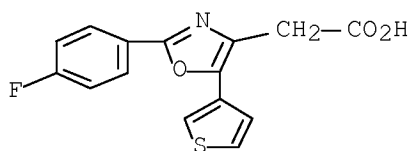
CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, sodium salt (9CI)  
(CA INDEX NAME)



● Na

RN 85162-16-9 CAPLUS

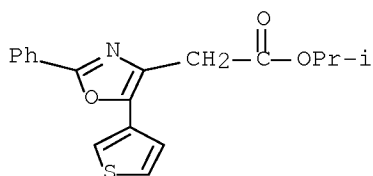
CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, potassium salt (9CI) (CA INDEX NAME)



● K

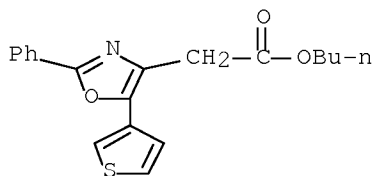
RN 85162-18-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)-, 1-methylethyl ester (CA INDEX NAME)



RN 85162-19-2 CAPLUS

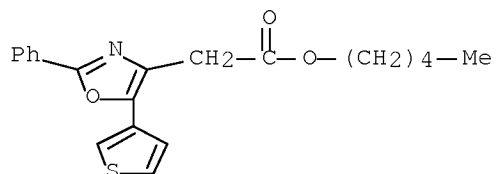
CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)-, butyl ester (CA INDEX NAME)





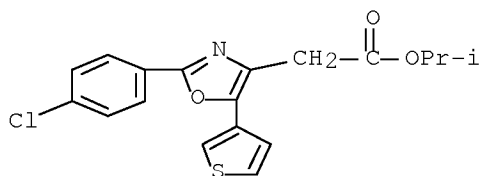
RN 85162-20-5 CAPLUS

CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)-, pentyl ester (CA INDEX NAME)



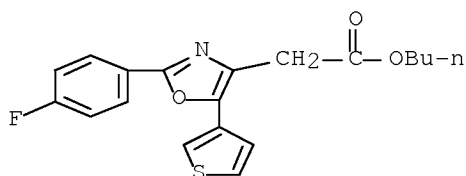
RN 85162-21-6 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, 1-methylethyl ester (CA INDEX NAME)



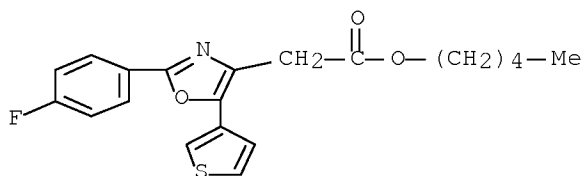
RN 85162-22-7 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, butyl ester (CA INDEX NAME)



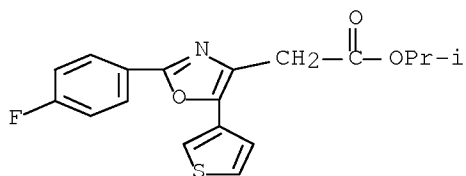
RN 85162-23-8 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, pentyl ester (CA INDEX NAME)



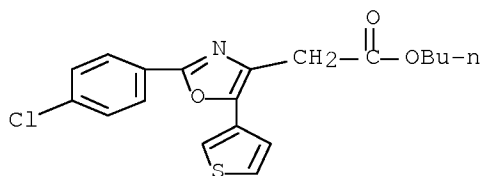
RN 85162-24-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, 1-methylethyl ester (CA INDEX NAME)



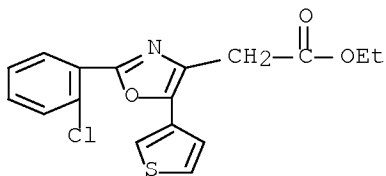
RN 85162-25-0 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, butyl ester (CA INDEX NAME)



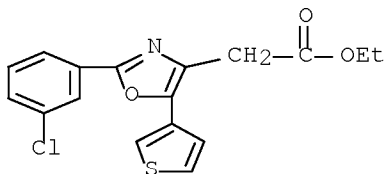
RN 85162-26-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-(2-chlorophenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)

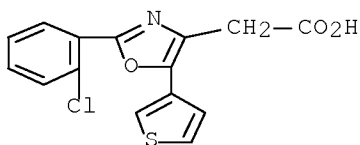


RN 85162-27-2 CAPLUS

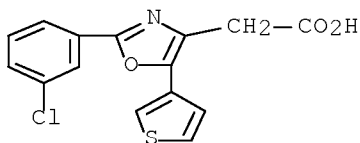
CN 4-Oxazoleacetic acid, 2-(3-chlorophenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



RN 85162-28-3 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(2-chlorophenyl)-5-(3-thienyl)- (CA INDEX NAME)

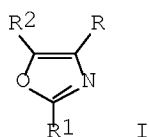


RN 85162-29-4 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(3-chlorophenyl)-5-(3-thienyl)- (CA INDEX NAME)



L23 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1983:143400 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 98:143400  
 ORIGINAL REFERENCE NO.: 98:21849a,21852a  
 TITLE: Thienyloxazolylacetic acid derivatives  
 INVENTOR(S): Matsumoto, Kazuo; Takashima, Kohki  
 PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd. , Japan  
 SOURCE: Eur. Pat. Appl., 42 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND             | DATE     | APPLICATION NO. | DATE           |
|------------------------|------------------|----------|-----------------|----------------|
| EP 65145               | A1               | 19821124 | EP 1982-103636  | 19820428 <--   |
| EP 65145               | B1               | 19841003 |                 |                |
| R: CH, DE, FR, GB      |                  |          |                 |                |
| PRIORITY APPLN. INFO.: |                  |          | JP 1981-73771   | A 19810515 <-- |
| OTHER SOURCE(S):       | MARPAT 98:143400 |          |                 |                |
| GI                     |                  |          |                 |                |

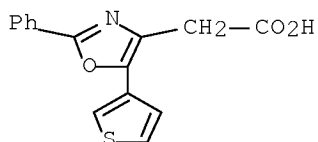


AB The title compds. I [R = CH<sub>2</sub>CO<sub>2</sub>R<sub>3</sub>; R<sub>1</sub> = Ph, halophenyl; R<sub>2</sub> = 2-, 3-thienyl; R<sub>3</sub> = H, alkyl] are prepared by cyclization of R<sub>2</sub>COCHRNHCOR<sub>1</sub>. Thus, NCCH<sub>2</sub>CO<sub>2</sub>Me was treated with 3-thiophenecarbonyl chloride to give 80% I (R = CO<sub>2</sub>Me, R<sub>1</sub> = H, R<sub>2</sub> = 3-thienyl), followed by ring cleavage with HCl to give 91% R<sub>2</sub>CONHMe.HCl and acylation with 4-FC<sub>6</sub>H<sub>4</sub>COCl to give 25.5% 4-FC<sub>6</sub>H<sub>4</sub>CONMeCOR<sub>2</sub> (II). II was condensed with BrCH<sub>2</sub>CO<sub>2</sub>Et to give 66% R<sub>2</sub>COCH(CH<sub>2</sub>CO<sub>2</sub>Et)NHCOC<sub>6</sub>H<sub>4</sub>F-4 (R<sub>2</sub> = 3-thienyl) which was cyclized with POCl<sub>3</sub> to give 85.5% I (R = CH<sub>2</sub>CO<sub>2</sub>Et, R<sub>1</sub> = 4-FC<sub>6</sub>H<sub>4</sub>, R<sub>2</sub> = 3-thienyl) (III). At 50 mg-% in the diet III decreased serum cholesterol levels in rats by 19% and serum triglycerides by 31%. In rats, 100 mg IV/kg. orally, inhibited blood platelet aggregation 93 ± 6%.

IT 85162-13-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and esterification of)

RN 85162-13-6 CAPLUS

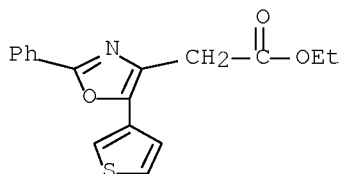
CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)- (CA INDEX NAME)



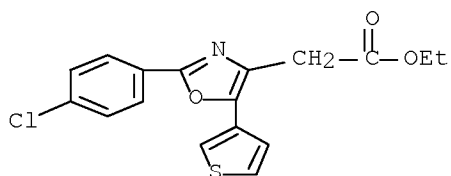
IT 85162-05-6P 85162-06-7P 85162-07-8P  
 85162-26-1P 85162-27-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and hydrolysis of)

RN 85162-05-6 CAPLUS

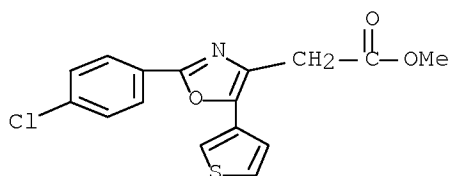
CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



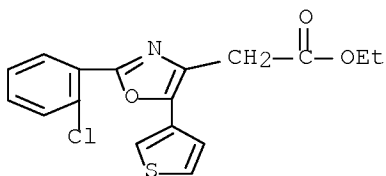
RN 85162-06-7 CAPLUS  
CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, ethyl ester (CA  
INDEX NAME)



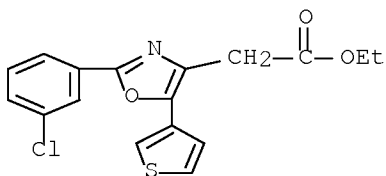
RN 85162-07-8 CAPLUS  
CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, methyl ester (CA  
INDEX NAME)



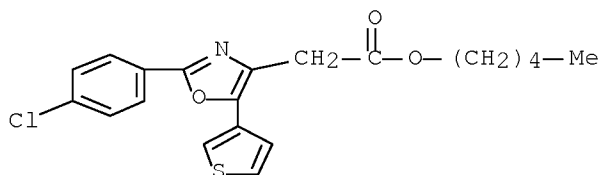
RN 85162-26-1 CAPLUS  
CN 4-Oxazoleacetic acid, 2-(2-chlorophenyl)-5-(3-thienyl)-, ethyl ester (CA  
INDEX NAME)



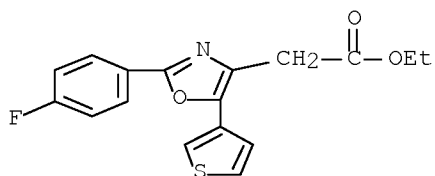
RN 85162-27-2 CAPLUS  
CN 4-Oxazoleacetic acid, 2-(3-chlorophenyl)-5-(3-thienyl)-, ethyl ester (CA  
INDEX NAME)



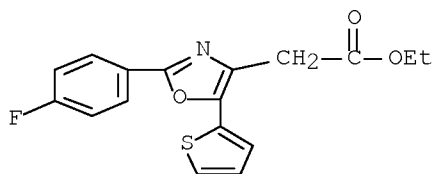
IT 85162-17-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and hypolipemic activity of)  
 RN 85162-17-0 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, pentyl ester (CA INDEX NAME)



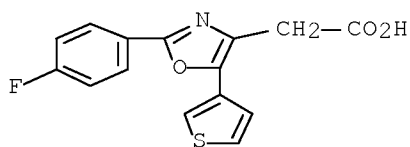
IT 85162-04-5P 85162-09-0P 85162-11-4P  
 85162-12-5P 85162-14-7P 85162-20-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and hypolipemic and platelet aggregation-inhibition activity of)  
 RN 85162-04-5 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, ethyl ester (CA INDEX NAME)



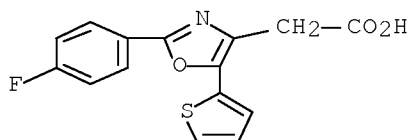
RN 85162-09-0 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



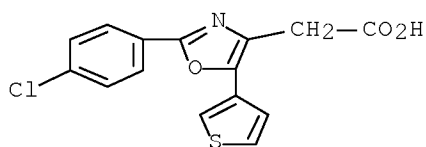
RN 85162-11-4 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)- (CA INDEX NAME)



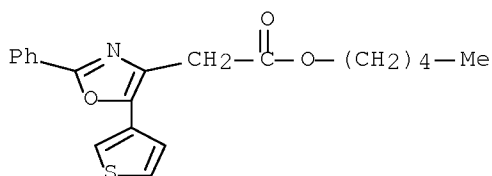
RN 85162-12-5 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(2-thienyl)- (CA INDEX NAME)



RN 85162-14-7 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)- (CA INDEX NAME)



RN 85162-20-5 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)-, pentyl ester (CA INDEX NAME)

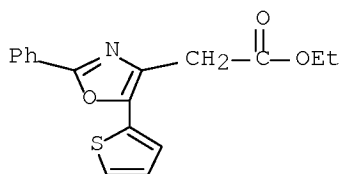


IT 85162-08-9P 85162-10-3P 85162-15-8P  
 85162-16-9P 85162-18-1P 85162-19-2P  
 85162-21-6P 85162-22-7P 85162-23-8P  
 85162-24-9P 85162-25-0P 85162-28-3P  
 85162-29-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

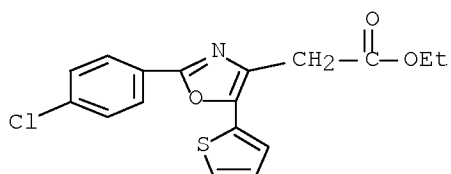
RN 85162-08-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-phenyl-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



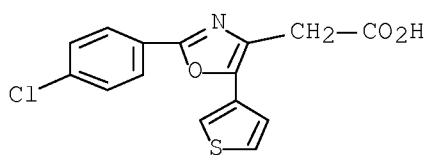
RN 85162-10-3 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



RN 85162-15-8 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, sodium salt (9CI) (CA INDEX NAME)

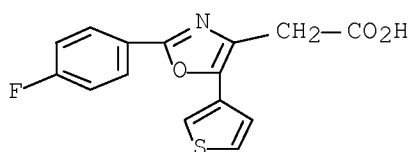


● Na

RN 85162-16-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, potassium salt (9CI) (CA INDEX NAME)

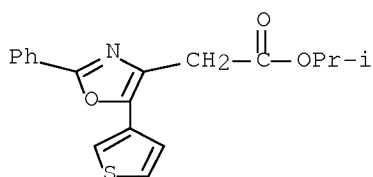




● K

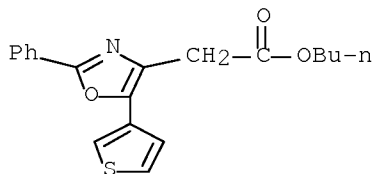
RN 85162-18-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)-, 1-methylethyl ester (CA INDEX NAME)



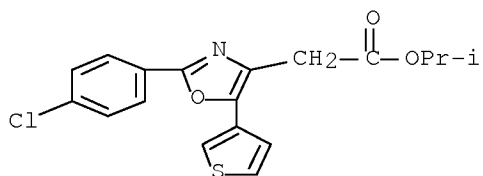
RN 85162-19-2 CAPLUS

CN 4-Oxazoleacetic acid, 2-phenyl-5-(3-thienyl)-, butyl ester (CA INDEX NAME)



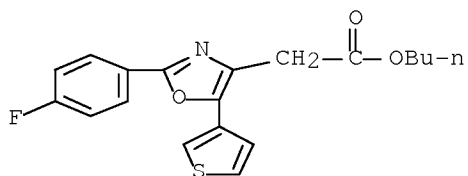
RN 85162-21-6 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, 1-methylethyl ester (CA INDEX NAME)



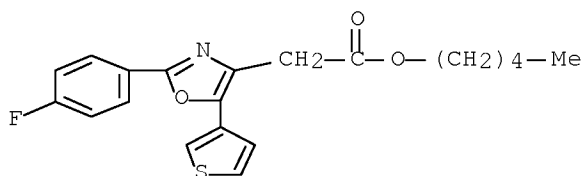
RN 85162-22-7 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, butyl ester (CA INDEX NAME)



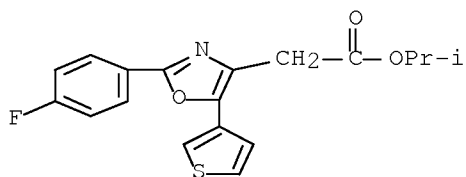
RN 85162-23-8 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, pentyl ester (CA INDEX NAME)



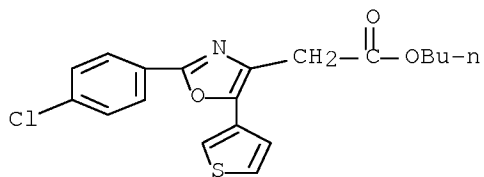
RN 85162-24-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-fluorophenyl)-5-(3-thienyl)-, 1-methylethyl ester (CA INDEX NAME)

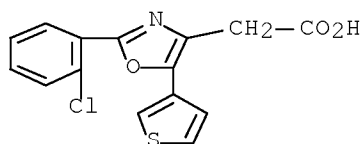


RN 85162-25-0 CAPLUS

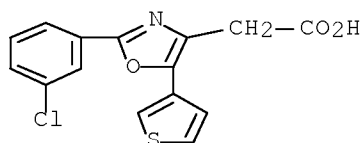
CN 4-Oxazoleacetic acid, 2-(4-chlorophenyl)-5-(3-thienyl)-, butyl ester (CA INDEX NAME)



RN 85162-28-3 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(2-chlorophenyl)-5-(3-thienyl)- (CA INDEX NAME)



RN 85162-29-4 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(3-chlorophenyl)-5-(3-thienyl)- (CA INDEX NAME)



L23 ANSWER 33 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1981:443087 CAPLUS Full-text

DOCUMENT NUMBER: 95:43087

ORIGINAL REFERENCE NO.: 95:7377a,7380a

TITLE: Oxazolinalkanoic acid, its salts and ester,  
 and a pharmaceutical containing them

INVENTOR(S): Lautenschlaeger, Hans Heiner; Betzing, Hans; Stoll,  
 Brigitte; Probst, Manfred

PATENT ASSIGNEE(S): Nattermann, A., und Cie G.m.b.H., Fed. Rep. Ger.

SOURCE: Ger. Offen., 26 pp.

CODEN: GWXXBX

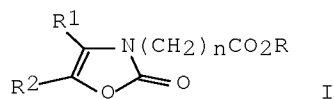
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND | DATE                               | APPLICATION NO. | DATE           |
|------------------------|------|------------------------------------|-----------------|----------------|
| -----                  | ---- | -----                              | -----           | -----          |
| DE 2935902             | A1   | 19810402                           | DE 1979-2935902 | 19790905 <--   |
| PRIORITY APPLN. INFO.: |      |                                    | DE 1979-2935902 | A 19790905 <-- |
| OTHER SOURCE(S):       |      | CASREACT 95:43087; MARPAT 95:43087 |                 |                |
| GI                     |      |                                    |                 |                |

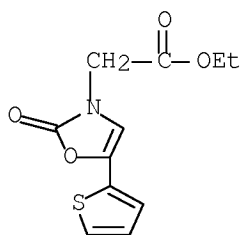


AB The title compds. [I; R = H, aryl, C1-6 alkyl, alkali metal cation; R1, R2 = H, (substituted) Ph, thienyl; n = 1-11] were prepared for use as blood platelet aggregation inhibitors (test data tabulated). Thus, 4,5-diphenyl-4-oxazolin-2-one was treated with NaH in DMF, followed by the addition of Br(CH<sub>2</sub>)<sub>7</sub>CO<sub>2</sub>Me and NaI to give 59% I (R = Me, R1 = R2 = Ph, n = 7).

IT 78285-16-2P 78285-34-4P 78285-48-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

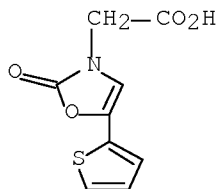
RN 78285-16-2 CAPLUS

CN 3(2H)-Oxazoleacetic acid, 2-oxo-5-(2-thienyl)-, ethyl ester (CA INDEX NAME)



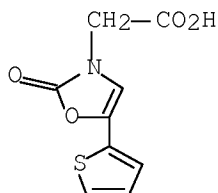
RN 78285-34-4 CAPLUS

CN 3(2H)-Oxazoleacetic acid, 2-oxo-5-(2-thienyl)- (CA INDEX NAME)



RN 78285-48-0 CAPLUS

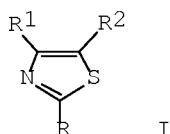
CN 3(2H)-Oxazoleacetic acid, 2-oxo-5-(2-thienyl)-, sodium salt (9CI) (CA INDEX NAME)



● Na

L23 ANSWER 34 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1981:443088 CAPLUS Full-text  
 DOCUMENT NUMBER: 95:43088  
 ORIGINAL REFERENCE NO.: 95:7377a,7380a  
 TITLE: Process for preparing thiazoles  
 INVENTOR(S): Bushell, Brian John  
 PATENT ASSIGNEE(S): John Wyeth and Brother Ltd., UK  
 SOURCE: Brit., 5 pp.  
 CODEN: BRXXAA  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.                   | KIND | DATE     | APPLICATION NO. | DATE           |
|------------------------------|------|----------|-----------------|----------------|
| GB 1574583                   | A    | 19800910 | GB 1978-5229    | 19780524 <--   |
| PRIORITY APPLN. INFO.:<br>GI |      |          | GB 1978-5229    | A 19780524 <-- |

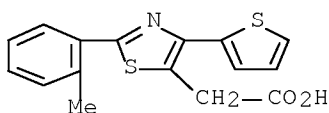


AB Thiazoles I (R, R1 = aryl; R2 = C2-4 carboxyalkyl) were prepared by halogenating a ketone R1COCH2R2 (R1, R2 as before) to give the halo derivative R1COCHR2R3 (R3 = halo) which was maintained in solution and treated with a thio amide RCSNH2 (R as before). I and their salts with pharmaceutically acceptable bases are useful as antiinflammatory agents (no data). E.g., a solution of 1.55 kg p-ClC6H4CO(CH2)2CO2H (II) in 4.65 L CH2Cl2 and 15 mL HBr in HOAc was treated by addition during 1-1.5 h of 1.224 kg Br to give p-ClC6H4COCHBrCH2CO2H (>98%). The solvent was distilled off and replaced simultaneously by DMF and the mixture was treated by addition during 15 min of 1 kg PhCSNH2 at 50-60°, the temperature being maintained during 2 h. The product was precipitated by H2O and washed to give I (R = Ph, R1 = C6H4Cl-p, R2 = CH2CO2H) (90-1% on II).

IT 23821-65-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as inflammation inhibitor)

RN 23821-65-0 CAPLUS

CN 5-Thiazoleacetic acid, 2-(2-methylphenyl)-4-(2-thienyl)- (CA INDEX NAME)



L23 ANSWER 35 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:424289 CAPLUS Full-text

DOCUMENT NUMBER: 89:24289

ORIGINAL REFERENCE NO.: 89:3777a,3780a

TITLE: 5-Alkoxy-4-thiazoleacetic acids and their esters

INVENTOR(S): Yamanaka, Tsutomu; Ikeda, Kuniki; Osuga, Kunio

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

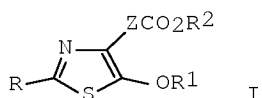
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.                   | KIND | DATE     | APPLICATION NO. | DATE           |
|------------------------------|------|----------|-----------------|----------------|
| -----                        | ---  | -----    | -----           | -----          |
| JP 53007669                  | A    | 19780124 | JP 1976-82375   | 19760709 <--   |
| PRIORITY APPLN. INFO.:<br>GI |      |          | JP 1976-82375   | A 19760709 <-- |



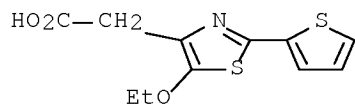
AB Twenty title compds. I [R = R<sub>3</sub>R<sub>4</sub>C<sub>6</sub>H<sub>3</sub> (R<sub>3</sub>, R<sub>4</sub> = H, halo, alkyl, F<sub>3</sub>C), 4-hydroxy-3,5-di-tert-butylphenyl, thienyl, halothienyl, pyridyl, halopyridyl; R<sub>1</sub> = alkyl; R<sub>2</sub> = H, alkyl, aralkyl; Z = alkylene] were prepared by conversion of RCONHCH(CO<sub>2</sub>R<sub>1</sub>)ZCO<sub>2</sub>R<sub>5</sub> (R<sub>5</sub> = alkyl, aralkyl) to RCSNHCH(CO<sub>2</sub>R<sub>1</sub>)ZCO<sub>2</sub>R<sub>5</sub> (II), dehydrative cyclization of II, and hydrolysis or esterification if needed. I had antithrombotic, hypolipemic, and antiinflammatory activities (no data). Thus, 98 g P2S5 and 120 g Et N-p-chlorophenyl-L-aspartate in (CH<sub>2</sub>Cl)<sub>2</sub> were refluxed 90 min, 80 g celite and 115 g P2O<sub>5</sub> were added, and the whole was refluxed 4 h to give 73 g I (R = 4-ClC<sub>6</sub>H<sub>4</sub>, R<sub>1</sub> = R<sub>2</sub> = Et, Z = CH<sub>2</sub>).

IT 66614-12-8P 66614-17-3P 66614-19-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

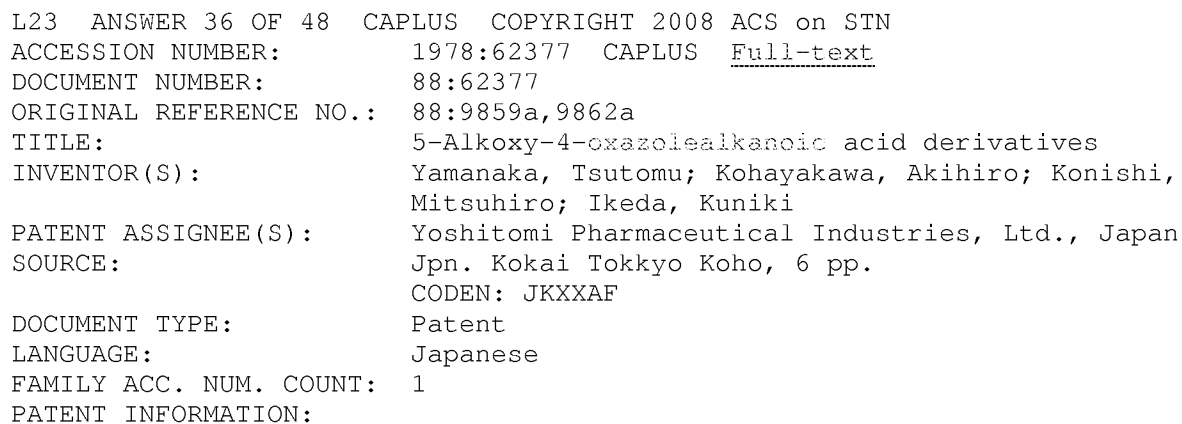
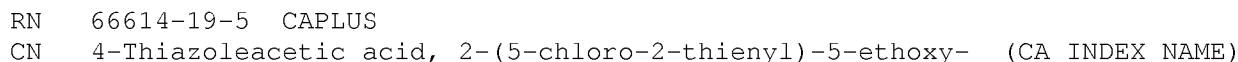
RN 66614-12-8 CAPLUS

CN 4-Thiazoleacetic acid, 5-ethoxy-2-(2-thienyl)- (CA INDEX NAME)



RN 66614-17-3 CAPLUS

CN 4-Thiazoleacetic acid, 5-ethoxy-2-(2-thienyl)-, phenylmethyl ester (CA INDEX NAME)



AB Forty-nine title derivs. I (R = substituted Ph, naphthyl, halonaphthyl, pyridyl, halopyridyl, furyl, halofuryl, thienyl, halothienyl; R1 = alkyl; m, n = 1,2; Z = H, alkyl, PhCH<sub>2</sub>, pyridylmethyl, alkylene) were prepared (1) by treatment of RCONHCH(CO<sub>2</sub>R1)(CH<sub>2</sub>)<sub>m</sub>CO<sub>2</sub>R2 (R<sub>2</sub> = alkyl, PhCH<sub>2</sub>, pyridylmethyl) with dehydrating agents followed by hydrolysis if needed or (2) by reaction of II

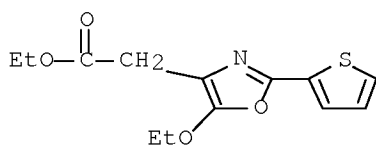
or their reactive derivs. with R2R3 (R3 = halo, tosyl, mesyl, OH) or with R3Z1R3 (Z1 = alkylene). I had anticholesteremic (with data) antiinflammatory, analgesic, and antithrombotic (no data) activities. Thus, 30 g 4-ClC6H4CONHCH(CO2Et)CH2CO2Et, 26 g P2O5, and 16 g kieselguhr in (CH2Cl)2 were refluxed 30 min to give 17.8 g I (R = 4-ClC6H4, R1 = Z = Et, m = n = 1), which (50 g) was stirred with 10.4 g KOH in aqueous MeOH to give 31 g I (R = 4-ClC6H4, R2 = Et, Z = H, m = n = 1).

IT 59399-60-9P 59399-82-5P 65463-77-6P  
65463-79-8P 65493-53-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

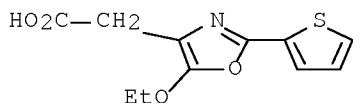
RN 59399-60-9 CAPLUS

CN 4-Oxazoleacetic acid, 5-ethoxy-2-(2-thienyl)-, ethyl ester (CA INDEX NAME)



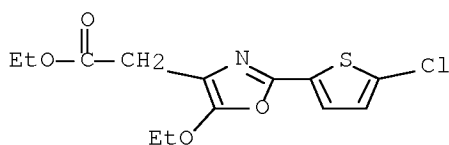
RN 59399-82-5 CAPLUS

CN 4-Oxazoleacetic acid, 5-ethoxy-2-(2-thienyl)- (CA INDEX NAME)



RN 65463-77-6 CAPLUS

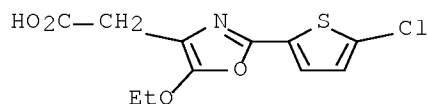
CN 4-Oxazoleacetic acid, 2-(5-chloro-2-thienyl)-5-ethoxy-, ethyl ester (CA INDEX NAME)



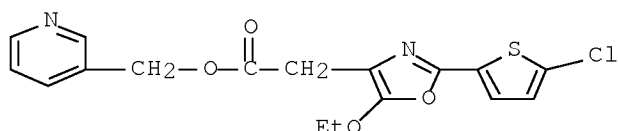
RN 65463-79-8 CAPLUS

CN 4-Oxazoleacetic acid, 2-(5-chloro-2-thienyl)-5-ethoxy- (CA INDEX NAME)

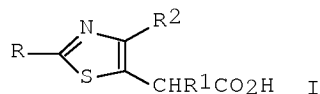




RN 65493-53-0 CAPLUS  
 CN 4-Oxazoleacetic acid, 2-(5-chloro-2-thienyl)-5-ethoxy-, 3-pyridinylmethyl ester (CA INDEX NAME)



L23 ANSWER 37 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1978:50706 CAPLUS Full-text  
 DOCUMENT NUMBER: 88:50706  
 ORIGINAL REFERENCE NO.: 88:8001a,8004a  
 TITLE: Studies on heterocyclic cation systems. XI.  
 Syntheses of 2-disubstituted-amino-4-arylthiazol-5-ylalkanoic acids  
 AUTHOR(S): Hirai, Kentaro; Sugimoto, Hirohiko  
 CORPORATE SOURCE: Shionogi Res. Lab., Shionogi and Co., Ltd., Osaka, Japan  
 SOURCE: Chemical & Pharmaceutical Bulletin (1977), 25(9), 2292-9  
 CODEN: CPBTAL; ISSN: 0009-2363  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 88:50706  
 GI



AB 2-Disubstituted-amino-4-arylthiazol-5-ylalkanoic acids I (R = piperidino, morpholino, MeNH, BzNH, p-ClC6H4CONH, R1 = H, Me; R2 = Ph, 2-thienyl, p-ClC6H4, p-BrC6H4) were prepared. Thus, dehydration of S-( $\alpha$ -benzoyl- $\beta$ -ethoxycarbonyl)ethyl 1-piperidinethiocarbonate in the presence of aqueous HClO4-Ac2O yielded 4-ethoxycarbonylmethyl-5-phenyl-2-piperidino-1,3-oxathiolium perchlorate, which underwent nucleophilic reaction with NH3 and the 5-ethoxycarbonylmethyl-4-phenyl-2-piperidinethiazole hydrolyzed to give I (R = piperidino, R1 = H, R2 = Ph). I were also synthesized by the classical

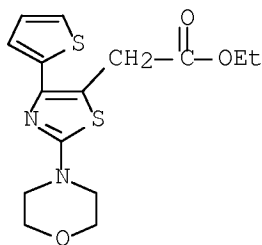
Hantzsch method. I were evaluated as antiinflammatory agents on carrageenin induced abscess in rats.

IT 65358-73-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and hydrolysis of)

RN 65358-73-8 CAPLUS

CN 5-Thiazoleacetic acid, 2-(4-morpholinyl)-4-(2-thienyl)-, ethyl ester (CA INDEX NAME)

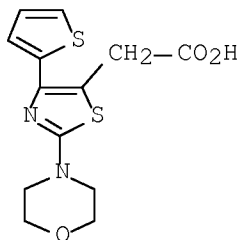


IT 61874-82-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 61874-82-6 CAPLUS

CN 5-Thiazoleacetic acid, 2-(4-morpholinyl)-4-(2-thienyl)- (CA INDEX NAME)



L23 ANSWER 38 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1977:133781 CAPLUS Full-text

DOCUMENT NUMBER: 86:133781

ORIGINAL REFERENCE NO.: 86:20985a,20988a

TITLE: Agents improving lipid-metabolism in blood

INVENTOR(S): Yamanaka, Tsutomu; Kobayakawa, Toshihiro; Konishi, Mitsuhiko; Ikeda, Kuniki

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.

KIND

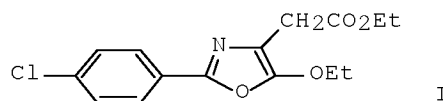
DATE

APPLICATION NO.

DATE

|                        |   |          |               |                |
|------------------------|---|----------|---------------|----------------|
| JP 51110039            | A | 19760929 | JP 1976-19801 | 19760224 <--   |
| AU 7578694             | A | 19760902 | AU 1975-78694 | 19750228 <--   |
| PRIORITY APPLN. INFO.: |   |          | AU 1975-78694 | A 19750228 <-- |
|                        |   |          | JP 1974-29548 | A 19740313 <-- |
|                        |   |          | JP 1974-29549 | A 19740313 <-- |
|                        |   |          | JP 1974-29550 | A 19740313 <-- |

GI



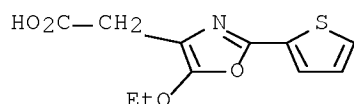
AB Alkoxyoxazolealkanoates were effective in controlling lipid metabolism of blood. The compds. may be used to treat thrombosis, arteriosclerosis, and hypertension. Thus, mice were fed a conventional mixed feed containing cholesterol 1, cholic acid 0.2, olive oil 5%, and Et 2-(p-chlorophenyl)-5-ethoxy-4-oxazoleacetate (I) [59399-41-6] 100 mg/kg/day for 5 days. Serum cholesterol of the treated mice was 45% less than that of controls.

IT 59399-82-5 59399-83-6

RL: BIOL (Biological study)  
(anticholesteremic and hypolipemic)

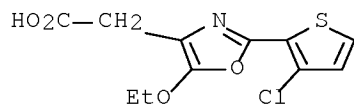
RN 59399-82-5 CAPLUS

CN 4-Oxazoleacetic acid, 5-ethoxy-2-(2-thienyl)- (CA INDEX NAME)



RN 59399-83-6 CAPLUS

CN 4-Oxazoleacetic acid, 2-(3-chloro-2-thienyl)-5-ethoxy- (CA INDEX NAME)



L23 ANSWER 39 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1977:89798 CAPLUS Full-text

DOCUMENT NUMBER: 86:89798

ORIGINAL REFERENCE NO.: 86:14181a,14184a

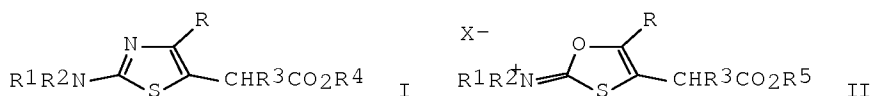
TITLE: 2-Dialkylamino-4-aryl-5-thiazoleacetic acids

INVENTOR(S): Hirai, Kentaro; Sugimoto, Hirohiko

PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.                   | KIND | DATE     | APPLICATION NO. | DATE           |
|------------------------------|------|----------|-----------------|----------------|
| JP 51088964                  | A    | 19760804 | JP 1975-13159   | 19750130 <--   |
| PRIORITY APPLN. INFO.:<br>GI |      |          | JP 1975-13159   | A 19750130 <-- |



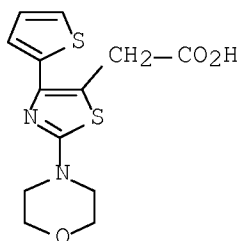
AB Thiazoleacetic acids I (R = optionally substituted phenyl, aromatic heterocycle; R1, R2 = alkyl or R1R2N = cyclic amino; R3 = H, alkyl; R4 = H, ester-forming group) were prepared by acid cyclization of R1R2NC(O)SCH(COR)CHR3CO2R5 III (R5 = ester-forming group) to II (X- = acid group) followed by treatment with NH3 and optional hydrolysis. I had antiinflammatory and analgesic activities in rats. Thus, III (R = p-ClC6H4, R1R2N = piperidino, R3 = H, R5 = Et), prepared from Na piperidine-1-carbothioate and Et 3-p-chlorobenzoyl-3-bromopropionate, was stirred with 70% HClO4 in Ac2O with ice cooling for 1 h to give 82% corresponding II (X = ClO4). The perchlorate was stirred with 28% NH4OH in CHCl3 at room temperature for 1 h to give 67% corresponding I (R4 = Et), which was hydrolyzed to I.HCl (R4 = H) in 39.9% yield by heating with concentrated HCl. Among 9 more I prepared were (R, R1R2N, R3, and R4 given): p-ClC6H4, morpholino, H, H (HCl salt); Ph, piperidino, Me, H; p-ClC6H4, morpholino, Me, H; p-ClC6H4, Et2N, H, Et.

IT 61874-82-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 61874-82-6 CAPLUS

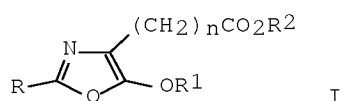
CN 5-Thiazoleacetic acid, 2-(4-morpholinyl)-4-(2-thienyl)- (CA INDEX NAME)



DOCUMENT NUMBER: 85:21331  
ORIGINAL REFERENCE NO.: 85:3489a,3492a  
TITLE: 4-Oxazolalkanecarboxylic acid compounds  
INVENTOR(S): Yamanaka, Tsutomu; Kobayakawa, Toshihiro; Konishi, Mitsuhiko; Ikeda, Kuniki  
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan  
SOURCE: Ger. Offen., 19 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE            |
|------------------------|------|----------|-----------------|-----------------|
| DE 2509634             | A1   | 19750918 | DE 1975-2509634 | 19750305 <--    |
| JP 50123669            | A    | 19750929 | JP 1974-29548   | 19740313 <--    |
| JP 50123678            | A    | 19750929 | JP 1974-29549   | 19740313 <--    |
| JP 50123670            | A    | 19750929 | JP 1974-29550   | 19740313 <--    |
| GB 1435293             | A    | 19760512 | GB 1975-8136    | 19750226 <--    |
| FR 2263772             | A1   | 19751010 | FR 1975-6635    | 19750304 <--    |
| BE 826375              | A1   | 19750630 | BE 1975-154077  | 19750306 <--    |
| CH 597207              | A5   | 19780331 | CH 1975-2962    | 19750306 <--    |
| SE 7502789             | A    | 19750915 | SE 1975-2789    | 19750312 <--    |
| US 4012412             | A    | 19770315 | US 1975-557692  | 19750312 <--    |
| SU 561511              | A3   | 19770605 | SU 1975-2115240 | 19750312 <--    |
| NL 7502988             | A    | 19750916 | NL 1975-2988    | 19750313 <--    |
| AT 345817              | B    | 19781010 | AT 1975-1920    | 19750313 <--    |
| US 4053478             | A    | 19771011 | US 1976-748450  | 19761208 <--    |
| AT 346844              | B    | 19781127 | AT 1977-7752    | 19771031 <--    |
| PRIORITY APPLN. INFO.: |      |          | JP 1974-29548   | A 19740313 <--  |
|                        |      |          | JP 1974-29549   | A 19740313 <--  |
|                        |      |          | JP 1974-29550   | A 19740313 <--  |
|                        |      |          | US 1975-557692  | A3 19750312 <-- |
|                        |      |          | AT 1975-1920    | A 19750313 <--  |

GI



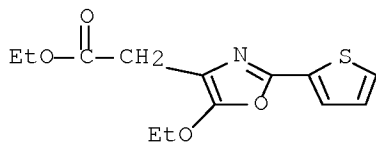
AB Approx. 60 oxazolealkanoates I (R = 2-furyl, 2-thienyl, 2-naphthyl, p-tolyl, 3-pyridyl, etc.; R1 = Et, Bu; R2 = Et, 2-, 3-pyridyl, H, CH2Ph n = 1,2) were prepared. Thus, 30 g N-(p-chlorobenzoyl)-L-asparagine di-Et ester was cyclized to give 17.8 g I (R = p-ClC6H4, R1 = R2 = Et, n = 1), which was hydrolyzed to give I (R = p-ClC6H4, R1 = Et, R2 = H, n = 1) (II). II was esterified to give I (R = p-ClC6H4, R1 = Et, R2 = Me, n = 1). Extensive data was given for the activity of I as anticholesteremics, antilipemics, and anticoagulants.

IT 59399-60-9P 59399-68-7P 59399-82-5P  
59399-83-6P 59444-86-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

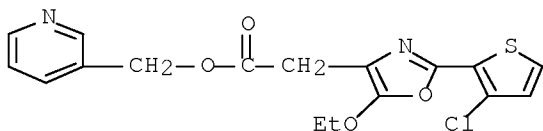
RN 59399-60-9 CAPLUS

CN 4-Oxazoleacetic acid, 5-ethoxy-2-(2-thienyl)-, ethyl ester (CA INDEX NAME)



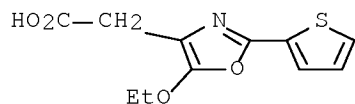
RN 59399-68-7 CAPLUS

CN 4-Oxazoleacetic acid, 2-(3-chloro-2-thienyl)-5-ethoxy-, 3-pyridinylmethyl ester (CA INDEX NAME)



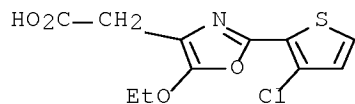
RN 59399-82-5 CAPLUS

CN 4-Oxazoleacetic acid, 5-ethoxy-2-(2-thienyl)- (CA INDEX NAME)



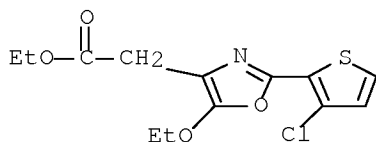
RN 59399-83-6 CAPLUS

CN 4-Oxazoleacetic acid, 2-(3-chloro-2-thienyl)-5-ethoxy- (CA INDEX NAME)



RN 59444-86-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-(3-chloro-2-thienyl)-5-ethoxy-, ethyl ester (CA INDEX NAME)



L23 ANSWER 41 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1975:38473 CAPLUS Full-text

DOCUMENT NUMBER: 82:38473

ORIGINAL REFERENCE NO.: 82:6066h,6067a

TITLE: Nonsteroidal antiinflammatory agents. 1.  
2,4-Diphenylthiazole-5-acetic acid and related compounds

AUTHOR(S): Brown, Kevan; Cater, David P.; Cavalla, John F.;  
Green, David; Newberry, Robert A.; Wilson, Alan B.

CORPORATE SOURCE: Wyeth Inst. Med. Res., Taplow/Maidenhead/Berkshire, UK

SOURCE: Journal of Medicinal Chemistry (1974),  
17(11), 1177-81

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

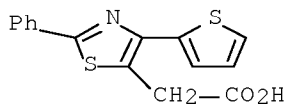
AB Two title compds., 4-(4-chlorophenyl)-2-phenylthiazole-5-acetic acid (I) [18046-21-4] and 4-(4-chlorophenyl)-2-(3-methylphenyl)thiazole-5-acetic acid (II) [53514-97-9], had antiinflammatory activity comparable to that of indomethacin [53-86-1] on carrageenin induced rat paw edema. I was 5 times as effective as phenylbutazone [50-33-9] against adjuvant-induced polyarthrititis in rats. The acidic side chain derivs. were less active than the parent compds. The compds. were prepared by the Hantzsch thiazole synthesis.

IT 23821-62-7P 23821-65-0P 23821-73-0P  
23821-83-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and antiinflammatory activity of)

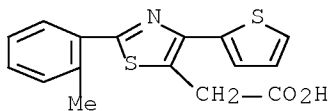
RN 23821-62-7 CAPLUS

CN 5-Thiazoleacetic acid, 2-phenyl-4-(2-thienyl)- (CA INDEX NAME)

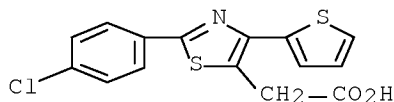


RN 23821-65-0 CAPLUS

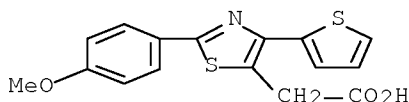
CN 5-Thiazoleacetic acid, 2-(2-methylphenyl)-4-(2-thienyl)- (CA INDEX NAME)



RN 23821-73-0 CAPLUS  
 CN 5-Thiazoleacetic acid, 2-(4-chlorophenyl)-4-(2-thienyl)- (CA INDEX NAME)



RN 23821-83-2 CAPLUS  
 CN 5-Thiazoleacetic acid, 2-(4-methoxyphenyl)-4-(2-thienyl)- (CA INDEX NAME)



L23 ANSWER 42 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1971:53775 CAPLUS Full-text

DOCUMENT NUMBER: 74:53775

ORIGINAL REFERENCE NO.: 74:8673a,8676a

TITLE: Antiinflammatory 4-hydroxy-2-thiazoline  
 -5-alkanoic acids

INVENTOR(S): Sulkowski, Theodore S.; Mascitti, Albert A.

PATENT ASSIGNEE(S): American Home Products Corp.

SOURCE: U.S., 3 pp.  
 CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE           |
|------------------------|------|----------|-----------------|----------------|
| US 3539585             | A    | 19701110 | US 1968-764967  | 19681003 <--   |
| PRIORITY APPLN. INFO.: |      |          | US 1968-764967  | A 19681003 <-- |

GI For diagram(s), see printed CA Issue.

AB The title thiazolines I (R = Cl, CF<sub>3</sub>, or Br) are prepared by treating a heated mixture of p-RC<sub>6</sub>H<sub>4</sub>COCHBrCH<sub>2</sub>CO<sub>2</sub>H and Na<sub>2</sub>CO<sub>3</sub> in Me<sub>2</sub>CHOH with PhCSNH<sub>2</sub> and are readily converted into the corresponding thiazole derivs. by heating in toluene in the presence of MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>H.

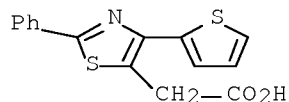
IT 23821-62-7F 23821-65-0F 23821-73-0F  
 23821-83-2F



RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

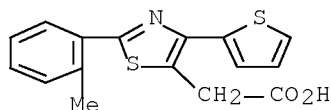
RN 23821-62-7 CAPLUS

CN 5-Thiazoleacetic acid, 2-phenyl-4-(2-thienyl)- (CA INDEX NAME)



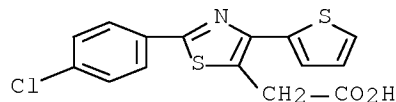
RN 23821-65-0 CAPLUS

CN 5-Thiazoleacetic acid, 2-(2-methylphenyl)-4-(2-thienyl)- (CA INDEX NAME)



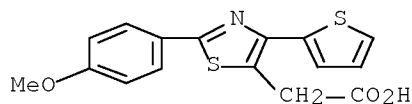
RN 23821-73-0 CAPLUS

CN 5-Thiazoleacetic acid, 2-(4-chlorophenyl)-4-(2-thienyl)- (CA INDEX NAME)



RN 23821-83-2 CAPLUS

CN 5-Thiazoleacetic acid, 2-(4-methoxyphenyl)-4-(2-thienyl)- (CA INDEX NAME)



L23 ANSWER 43 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1969:524422 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 71:124422

ORIGINAL REFERENCE NO.: 71:23127a,23130a

TITLE: Antiinflammatory heterocyclic carboxylic acids

INVENTOR(S): Brown, Kevan; Cavalla, John F.

PATENT ASSIGNEE(S): John Wyeth and Brother Ltd.

SOURCE: S. African, 60 pp.

CODEN: SFXXAB  
DOCUMENT TYPE: Patent  
LANGUAGE: Russian  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE         |
|------------------------|------|----------|-----------------|--------------|
| ZA 6706327             |      | 19690423 | ZA              | <--          |
| PRIORITY APPLN. INFO.: |      |          | GB              | 19661118 <-- |
|                        |      |          | GB              | 19670614 <-- |

GI For diagram(s), see printed CA Issue.

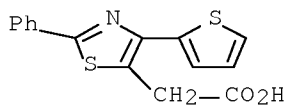
AB Antiinflammatory compds. (I-III) are prepared Thus, a mixture of 40 g. BzCHBrCH<sub>2</sub>CO<sub>2</sub>H and 21.3 g. thiobenzamide in 500 ml. EtOH was refluxed 8 hrs., concentrated, treated with 10 g. Na<sub>2</sub>CO<sub>3</sub> in 300 ml. water and extracted with ether to give 35.2 g. I (R<sub>1</sub> = R<sub>2</sub> = Ph, X = CH<sub>2</sub>, R = Et) (IV), m. 95-6°. Hydrolysis of 15 g. IV in 150 ml. EtOH with 10 g. KOH in 20 ml. water 1 hr. gave 12.2 g. I (R<sub>1</sub> = R<sub>2</sub> = Ph, X = CH<sub>2</sub>, R = H), m. 152-3°. A solution of 1.9 g. I (R<sub>1</sub> = R<sub>2</sub> = Ph, X = CH<sub>2</sub>, R = Me) in 25 ml. MeOH was treated with NH<sub>4</sub>OH and heated in a sealed tube 5 hrs. at 90° to give 0.6 g. 2,4-diphenyl-5-thiazolylacetamide, m. 209-10°. A mixture of 21.2 g. benzoin and 10 g. succinic anhydride was heated 6 hrs. to 120°, dissolved in ether and extracted with dilute aqueous Na<sub>2</sub>CO<sub>3</sub>. The extract was washed with ether, acidified and extracted with ether to give 27 g. benzoin hemisuccinate (V), m. 88.5-9.5°. A mixture of 15 g. V and 30 g. NH<sub>4</sub>OAc in 100 ml. AcOH was refluxed for 1.5 hrs. and poured into water to precipitate II (R<sub>1</sub> = R<sub>2</sub> = Ph, X = CH<sub>2</sub>CH<sub>2</sub>, R = H), m. 160.5-1.5°; AcOCH<sub>2</sub> ester, m. 86-6.5° (prepared in Me<sub>2</sub>NCHO in the presence of Et<sub>3</sub>N). PhCH<sub>2</sub>COCH<sub>2</sub>CO<sub>2</sub>Et (5.15 g.) was brominated with 4 g. Br in ether to give, after extraction with ether, 7.14 g. PhCHBrCOCH<sub>2</sub>CO<sub>2</sub>Et (VI). Reaction of 7.14 g. VI with 3.4 g. thiobenzamide gave 33.5% 2,5-diphenyl-4-thiazolylacetic acid, m. 171°, via its ester. A mixture of 68.8 g. α-bromodeoxybenzoin and 68.3 g. benzoyloxythioacetamide was converted to 58.5 g. 2-benzoyloxymethyl-4,5-diphenylthiazole (VII), m. 157-60°. Hydrolysis of VII with 10 g. KOH in EtOH for 30 min. gave 41.6 g. 2-hydroxymethyl-4,5-diphenylthiazole (VIII), m. 113-17°. Treatment of 12.9 g. VII with 20 ml. POCl<sub>3</sub> gave 13.7 g. 2-chloromethyl-4,5-diphenylthiazole (IX), m. 76-8°. A warm solution of 12.9 g. IX in 100 ml. absolute EtOH was added to a refluxing solution of NaCH(CO<sub>2</sub>Et)<sub>2</sub> [from 1.55 g. Na and 10.7 g. CH<sub>2</sub>(CO<sub>2</sub>Et)<sub>2</sub>] and refluxed 2 hrs. to give 18 g. di-Et 4,5-diphenyl-2-thiazolylmalonate which was hydrolyzed with 20 g. KOH in 20 ml. water to give 12.8 g. foamy material. The product in 25 ml. Me<sub>2</sub>NCHO was refluxed 1 hr. to give III (R<sub>1</sub> = R<sub>2</sub> = Ph, R = H, X = CH<sub>2</sub>CH<sub>2</sub>), m. 52-8°. Benzamide (3.02 g.) was added to a suspension of 1.2 g. NaH (50% in oil) in 200 ml. benzene, refluxed 0.5 hrs., treated with 7.14 g. VI in 30 ml. benzene 0.5 hrs., refluxed 1.5 hrs. and diluted with water. Work up gave 2,4-diphenyl-5-oxazolylacetic acid. Other derivs. are also similarly prepared A therapeutic capsule was prepared from 125 mg. I (R = H, X = CH<sub>2</sub>, R<sub>1</sub> = Ph, R<sub>2</sub> = p-ClC<sub>6</sub>H<sub>4</sub>), 120 mg. lactose. and 5 mg. Mg stearate.

IT 23821-62-7P 23821-65-0P 23821-73-0P  
23821-83-2P

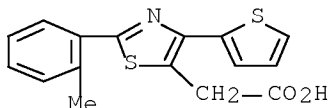
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 23821-62-7 CAPLUS

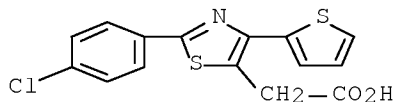
CN 5-Thiazoleacetic acid, 2-phenyl-4-(2-thienyl)- (CA INDEX NAME)



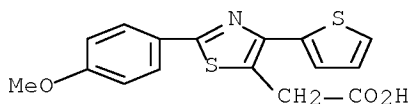
RN 23821-65-0 CAPLUS  
 CN 5-Thiazoleacetic acid, 2-(2-methylphenyl)-4-(2-thienyl)- (CA INDEX NAME)



RN 23821-73-0 CAPLUS  
 CN 5-Thiazoleacetic acid, 2-(4-chlorophenyl)-4-(2-thienyl)- (CA INDEX NAME)



RN 23821-83-2 CAPLUS  
 CN 5-Thiazoleacetic acid, 2-(4-methoxyphenyl)-4-(2-thienyl)- (CA INDEX NAME)



L23 ANSWER 44 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1969:461375 CAPLUS Full-text  
 DOCUMENT NUMBER: 71:61375  
 ORIGINAL REFERENCE NO.: 71:11311a,11314a  
 TITLE: 2,4-Diarylthiazole-5-alkanoic acids  
 INVENTOR(S): Brown, Kevan  
 PATENT ASSIGNEE(S): John Wyeth and Brother Ltd.  
 SOURCE: Brit., 13 pp.  
 CODEN: BRXXAA  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE         |
|------------|------|----------|-----------------|--------------|
| GB 1145884 |      | 19690319 | GB 1966-51823   | 19661118 <-- |
| DE 1670005 |      |          | DE              |              |
| DE 1770177 |      |          | DE              |              |
| FR 1584222 |      |          | FR              |              |
| US 3476766 |      | 19691104 | US              | 19671102 <-- |
| US 3546342 |      | 19701208 | US              | 19690521 <-- |

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) and their derivs., antiinflammatory and antibacterial, are prepared Thus, a mixture of 40 g. BzCHBrCH<sub>2</sub>CO<sub>2</sub>H (II) and 21.3 g. PhCSNH<sub>2</sub> (III) in 500 ml. EtOH is refluxed 8 hrs. to give 70% I (R<sub>1</sub> = R<sub>2</sub> = Ph, R<sub>3</sub> = CH<sub>2</sub>CO<sub>2</sub>Et) (Ia), m. 95-6° (EtOH). Similarly prepared are Ia analogs where R<sub>2</sub> is p-MeOC<sub>6</sub>H<sub>4</sub> (62%, m. 67.5-8.5°) and p-ClC<sub>6</sub>H<sub>4</sub> (56%, m. 69-70°). A solution of 10 g. KOH in 20 ml. H<sub>2</sub>O is added to 15 g. Ia in 150 ml. warm EtOH and the mixture kept 1 hr. to give 89% I (R<sub>1</sub> = R<sub>2</sub> = Ph, R<sub>3</sub> = CH<sub>2</sub>CO<sub>2</sub>H) (Ib), m. 152-3° (benzene). Similarly prepared are Ib analogs where R<sub>2</sub> is p-MeOC<sub>6</sub>H<sub>4</sub> (85%, m. 178.5-9.5°) and p-ClC<sub>6</sub>H<sub>4</sub> (63%, m. 161-2°; Ic). A mixture of 13.6 g. BzCHBrCHMeCO<sub>2</sub>H and 6.9 g. III in 75 ml. iso-PrOH is heated 30 min. at 60°, 2.5 g. Na<sub>2</sub>CO<sub>3</sub> added, and the mixture heated 10 min., and kept overnight to give 55% I (R<sub>1</sub> = R<sub>2</sub> = Ph, R<sub>3</sub> = CHMeCO<sub>2</sub>H) (Id), m. 142-4° (HOAc-H<sub>2</sub>O). Similarly prepared are Ib analogs where R<sub>2</sub> is 2-thienyl (48%, m. 134.5-5°) and p-tolyl [31%, m. 168-9° (benzene)]. A mixture of 4.2 g. 4-MeOC<sub>6</sub>H<sub>4</sub>CSNH<sub>2</sub> and 6.4 g. II in 50 ml. EtOH is refluxed 1.5 hrs. and kept overnight to give 43% I (R<sub>1</sub> = 4-MeOC<sub>6</sub>H<sub>4</sub>, R<sub>2</sub> = Ph, R<sub>3</sub> = CH<sub>2</sub>CO<sub>2</sub>Et), m. 60.5-62° (industrial methylated spirit). A mixture of 5.7 g. 2-MeC<sub>6</sub>H<sub>4</sub>CSNH<sub>2</sub> (IV), 10 g. 3-bromo-3-(2-thenoyl)propionic acid and 1.8 g. anhydrous Na<sub>2</sub>CO<sub>3</sub> in 55 ml. iso-PrOH is stirred 30 min. at 60°, stirred for 1 hr. at 40°, cooled to room temperature, and kept overnight to give 46.2% I (R<sub>1</sub> = o-tolyl, R<sub>2</sub> = 2-thienyl, R<sub>3</sub> = CH<sub>2</sub>CO<sub>2</sub>H), m. 136-8° (benzene). Similarly prepared are the following I (R<sub>3</sub> = CH<sub>2</sub>CO<sub>2</sub>H) [R<sub>1</sub>, R<sub>2</sub>, % yield, m.p., and solvent (unless HOAc-H<sub>2</sub>O) given]: 4-ClC<sub>6</sub>H<sub>4</sub>, 4-MeOC<sub>6</sub>H<sub>4</sub>, 57.1, 199-201°; 4-ClC<sub>6</sub>H<sub>4</sub>, Ph, 44.2, 153-5°, benzene; 4-ClC<sub>6</sub>H<sub>4</sub>, 2-thienyl, 31.2, 137-9°, benzene; 4-MeOC<sub>6</sub>H<sub>4</sub>, 4-MeOC<sub>6</sub>H<sub>4</sub>, 56.3, 176-8°; 2-tolyl, 4-MeOC<sub>6</sub>H<sub>4</sub>, 44.6, 140-1°, benzene; 2-MeOC<sub>6</sub>H<sub>4</sub>, Ph, 78.3, 179-80.5°; 4,2-Cl(MeO)C<sub>6</sub>H<sub>3</sub>, Ph, 64.6, 204-5°; 2,6-ClMeC<sub>6</sub>H<sub>3</sub>, Ph, 63, 217-19°; 4,2-MeO-MeC<sub>6</sub>H<sub>3</sub>, Ph, 27.5, 136-8°; 4,2-ClMeC<sub>6</sub>H<sub>3</sub>, Ph, 58, 175-7°; 2-tolyl, 2-ClOH<sub>7</sub>, -, 171-2°; 2,4-(MeO)2C<sub>6</sub>H<sub>3</sub>, Ph, 44.4, 157-9°; 4-Me2NC<sub>6</sub>H<sub>4</sub>, Ph, 12.4, 144-6°, benzene; 2,3-Me2C<sub>6</sub>H<sub>3</sub>, Ph, 64.2, 143-5°; 2,4-Cl2C<sub>6</sub>H<sub>3</sub>, Ph, -, 158-60°; 4-ClC<sub>6</sub>H<sub>4</sub>, 4-ClC<sub>6</sub>H<sub>4</sub>, 30.6, 194-6°. A mixture of 7.5 g. IV, 12.85 g. II, and 75 ml. iso-PrOH is stirred 30 min. at 60°, cooled to 40°, 2.5 g. anhydrous Na<sub>2</sub>CO<sub>3</sub> added, a temperature of 40° held for 1 hr., and the mixture kept overnight to give 19.4% I (R<sub>1</sub> = 2-tolyl, R<sub>2</sub> = Ph, R<sub>3</sub> = CH<sub>2</sub>CO<sub>2</sub>H), m. 165-7° [benzene-petroleum ether (b. 60-80°)]. Similarly prepared are the following I (R<sub>3</sub> = CH<sub>2</sub>CO<sub>2</sub>H) [R<sub>1</sub>, R<sub>2</sub>, % yield, m.p., and solvent (unless benzene) given]: 4-MeO-C<sub>6</sub>H<sub>4</sub>, Ph, 40.6%, 149.5-52°; 2-ClC<sub>6</sub>H<sub>4</sub>, Ph, 27.6, 168-71°; 4-tolyl, Ph, 51.2, 170-1°; 1-ClOH<sub>7</sub>, Ph, 29.7, 145-8°, benzenepetroleum ether; 3-F3CC<sub>6</sub>H<sub>4</sub>, Ph, 23.4, 143-5°, benzene-petroleum ether; 4-MeOC<sub>6</sub>H<sub>4</sub>, 2-thienyl, 13.3, 149-51°; 2-ClOH<sub>7</sub>, Ph, 50.6, 171-2°; 4-MeOC<sub>6</sub>H<sub>4</sub>, 2-ClOH<sub>7</sub>, 44.4, 160-2°, HOAc-H<sub>2</sub>O. A mixture of 26.5 g. BzCHBr(CH<sub>2</sub>)2CO<sub>2</sub>H (V), 16.7 g. 4-ClC<sub>6</sub>H<sub>4</sub>CSNH<sub>2</sub>, and 80 ml. EtOH is refluxed 3.5 hrs. to give 39 g. I (R<sub>1</sub> = 4-ClC<sub>6</sub>H<sub>4</sub>, R<sub>2</sub> = Ph, R<sub>3</sub> = C<sub>2</sub>H<sub>4</sub>CO<sub>2</sub>H) (Ie) Et ester, and thence 37% Ie, m. 177-8° (benzene). Similarly prepared are I (R<sub>3</sub>, R<sub>1</sub>, R<sub>2</sub>, % yield, and m.p. given): CH<sub>2</sub>CO<sub>2</sub>H, Ph, 1-ClOH<sub>7</sub>, 12, 166-7°; CH<sub>2</sub>CO<sub>2</sub>H, Ph, 2-ClOH<sub>7</sub>, 31, 168-9°; C<sub>2</sub>H<sub>4</sub>CO<sub>2</sub>H, 4-MeC<sub>6</sub>H<sub>4</sub>, Ph, 21, 174-5°; CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>H, 2-tolyl, Ph, 18, 107-9°; CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>H, Ph, Ph, 50, 150° (EtOH). By refluxing 5 hrs. a mixture of 29.5 g. II, 15.7 g. III, and 300 ml. MeOH is obtained 70% I (R<sub>1</sub> = R<sub>2</sub> = Ph, R<sub>3</sub> = CH<sub>2</sub>CO<sub>2</sub>Me) (If), m. 122-3°. A mixture of 1.9 g. If, 25 ml. MeOH, and 25 ml. NH<sub>3</sub> solution (d. 0.88) is heated 5 hrs. at 90° in a sealed tube to give 33% I (R<sub>1</sub> = R<sub>2</sub> = Ph, R<sub>3</sub> = CH<sub>2</sub>CONH<sub>2</sub>), m. 209-10° (benzene). To a solution of 2 g. Ic in 50 ml. dry tetrahydrofuran at 0° are added dropwise 0.68 g. Et<sub>3</sub>N and 0.73 g. ClCO<sub>2</sub>Et, while keeping the mixture at 0-5° (to give the mixed anhydride),

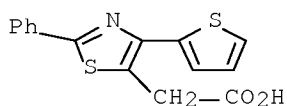
0.35 g. aqueous NH<sub>3</sub> (d. 0.88) is added dropwise after 0.5 hr., and the mixture stirred 14 hrs. at room temperature to give 15% Ic amide, m. 223-4°. Thiobenzamides RR1C<sub>6</sub>H<sub>3</sub>CSNH<sub>2</sub> (VI) required for the preceding preps. are prepared, e.g., by passing H<sub>2</sub>S through a solution of 26.5 g. 4,2-Cl(MeO)C<sub>6</sub>H<sub>3</sub>CN in 22 ml. dry pyridine and 21 ml. Et<sub>3</sub>N until conversion is complete (.apprx.15 hrs.) to give 75.7% 4,2-Cl-(MeO)C<sub>6</sub>H<sub>3</sub>CSNH<sub>2</sub>, m. 149-50°. Other VI prepared similarly are (R,R1, % yield, and m.p. given): 2-Cl, 6-Me, 83, 126-9°; 4-MeO, 2-Me, 71, 124-6°; 2,4-(MeO)<sub>2</sub>, 68, -; 4-Me<sub>2</sub>N, H, 87.6, 218°; 2,3-Me<sub>2</sub>, 92, 137-8°; and 2,4-Cl<sub>2</sub>, -, -. Examples of a capsule and tablet containing Ic are given.

IT 23821-62-7P 23821-65-0P 23821-73-0P  
23821-83-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

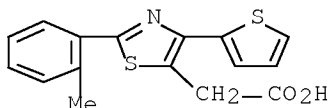
RN 23821-62-7 CAPLUS

CN 5-Thiazoleacetic acid, 2-phenyl-4-(2-thienyl)- (CA INDEX NAME)



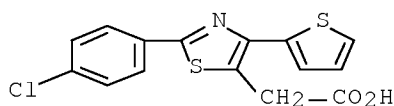
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CN 5-Thiazoleacetic acid, 2-(2-methylphenyl)-4-(2-thienyl)- (CA INDEX NAME)



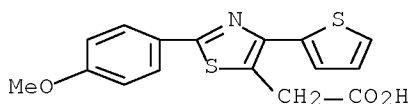
RN 23821-73-0 CAPLUS

CN 5-Thiazoleacetic acid, 2-(4-chlorophenyl)-4-(2-thienyl)- (CA INDEX NAME)



RN 23821-83-2 CAPLUS

CN 5-Thiazoleacetic acid, 2-(4-methoxyphenyl)-4-(2-thienyl)- (CA INDEX NAME)



ACCESSION NUMBER: 1970:43655 CAPLUS Full-text

DOCUMENT NUMBER: 72:43655

ORIGINAL REFERENCE NO.: 72:8023a,8026a

TITLE: 5-Thiazole-and 2-thiazoline  
-5-alkanoic acids

INVENTOR(S): Newberry, Robert A.

PATENT ASSIGNEE(S): John Wyeth and Brother Ltd.

SOURCE: Ger. Offen., 29 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE            |
|------------------------|------|----------|-----------------|-----------------|
| DE 1917432             | A    | 19691106 | DE 1969-1917432 | 19690403 <--    |
| DE 1917432             | C2   | 19831006 |                 |                 |
| GB 1262292             | A    | 19720202 | GB 1968-16909   | 19680409 <--    |
| AT 306007              | B    | 19730326 | AT 1969-3150    | 19690331 <--    |
| FI 54921               | B    | 19781229 | FI 1969-985     | 19690403 <--    |
| FI 54921               | C    | 19790410 |                 |                 |
| BE 731200              | A    | 19691008 | BE 1969-731200  | 19690408 <--    |
| FR 2007419             | A5   | 19700113 | FR 1969-10756   | 19690408 <--    |
| US 3607879             | A    | 19710921 | US 1969-814445  | 19690408 <--    |
| PL 71273               | B1   | 19740430 | PL 1969-132832  | 19690408 <--    |
| SE 390635              | B    | 19770103 | SE 1969-4950    | 19690408 <--    |
| DK 138991              | B    | 19781127 | DK 1969-1929    | 19690408 <--    |
| DK 138991              | C    | 19790514 |                 |                 |
| NL 6905474             | A    | 19691013 | NL 1969-5474    | 19690409 <--    |
| NL 165160              | B    | 19801015 |                 |                 |
| NL 165160              | C    | 19810316 |                 |                 |
| CH 513906              | A    | 19711015 | CH 1969-513906  | 19690409 <--    |
| IN 140065              | A1   | 19760904 | IN 1975-CA89    | 19750115 <--    |
| FI 7501343             | A    | 19750507 | FI 1975-1343    | 19750507 <--    |
| FI 7601454             | A    | 19760524 | FI 1976-1454    | 19760524 <--    |
| FI 57593               | B    | 19800530 |                 |                 |
| FI 57593               | C    | 19800910 |                 |                 |
| PRIORITY APPLN. INFO.: |      |          | GB 1968-16909   | A 19680409 <--  |
|                        |      |          | IN 1969-120606  | A1 19690328 <-- |
|                        |      |          | FI 1969-985     | A 19690403 <--  |

GI For diagram(s), see printed CA Issue.

AB The title products I and II, effective against inflammations, are prepared Thus, 3.43 g thiobenzamide, 8.4 g 3-(p-bromobenzoyl)-3-bromopropionic acid, and 1.33 g Na<sub>2</sub>CO<sub>3</sub> in 50 ml iso-PrOH was stirred 0.5 hr at 60-70° to yield 3.14 g 4-(p-bromophenyl)-4-hydroxy-2-phenyl-2-thiazoline-5-acetic acid, m. 135-7°. Similarly was prepared I (R = Ph, R<sub>1</sub> = p-chlorophenyl, R<sub>2</sub> = CH<sub>2</sub>CO<sub>2</sub>H), m. 148-50°, which was dehydrated in toluene with catalytic amts. p-toluenesulfonic acid to 4-(p-chlorophenyl)-2-phenyl-5-thiazoleacetic acid, m. 161-2°. By a similar procedure were prepared I [R = Ph, R<sub>1</sub> = p-(trifluoromethyl)phenyl, R<sub>2</sub> = CH<sub>2</sub>CO<sub>2</sub>H], m. 160-1°, addnl. appropriate I and the following II (R<sub>2</sub> = CH<sub>2</sub>CO<sub>2</sub>H) (R, R<sub>1</sub>, and m.p. given): Ph, p-trifluoromethylphenyl, 168-9°; o-tolyl, 2-thienyl, 136-8°; p-chlorophenyl, p-methoxyphenyl, 199-201°; p-chlorophenyl, Ph, 153-5°; p-chlorophenyl, 2-thienyl, 137-9°; p-methoxyphenyl, p-methoxyphenyl, 176-8°; o-tolyl, p-methoxyphenyl, 140-1°; o-tolyl, Ph, 165-

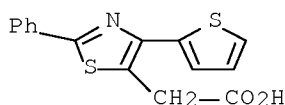
7°; m-tolyl, Ph, 123-5°; p-methoxyphenyl, Ph, 149.5-52°; o-chlorophenyl, Ph, 168-71°; p-tolyl, Ph, 170-1°; 1-naphthyl, Ph, 145-8°; p-trifluoromethylphenyl, Ph, 143-5°; p-methoxyphenyl, 2-thienyl, 149-51°; 2-naphthyl, Ph, 171-2°; p-methoxyphenyl, 2-naphthyl, 160-2°; Ph, 1-naphthyl, 166-7°; Ph, 2-naphthyl, 168-9°; Ph, Ph, 152-3°; Ph, p-methoxyphenyl, 178.5-9.5°; Ph, 2-thienyl, 134.5-5.0°; Ph, p-tolyl, 168-9°; o-methoxyphenyl, Ph, 179-80°. Also prepared were the following II (R1 = Ph, R2 = CH2CH2CO2H) (R and m.p. given): p-ClC6H4, 177-8°; p-MeOC6H4, 174-5°; o-tolyl, 107-9°; Ph, 150°; and II (R = R1 = Ph, R2 = CHMeCO2H), m. 142-4°.

IT 23821-62-7P 23821-65-0P 23821-73-0P  
23821-83-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

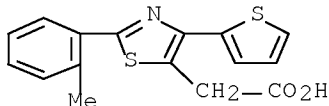
RN 23821-62-7 CAPLUS

CN 5-Thiazoleacetic acid, 2-phenyl-4-(2-thienyl)- (CA INDEX NAME)



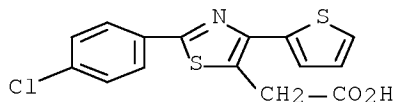
RN 23821-65-0 CAPLUS

CN 5-Thiazoleacetic acid, 2-(2-methylphenyl)-4-(2-thienyl)- (CA INDEX NAME)



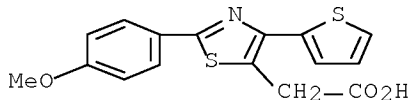
RN 23821-73-0 CAPLUS

CN 5-Thiazoleacetic acid, 2-(4-chlorophenyl)-4-(2-thienyl)- (CA INDEX NAME)



RN 23821-83-2 CAPLUS

CN 5-Thiazoleacetic acid, 2-(4-methoxyphenyl)-4-(2-thienyl)- (CA INDEX NAME)



ACCESSION NUMBER: 1947:32760 CAPLUS

DOCUMENT NUMBER: 41:32760

ORIGINAL REFERENCE NO.: 41:6582i,6583a-d

TITLE: Azoles

INVENTOR(S): Knott, Edward B.

PATENT ASSIGNEE(S): Eastman Kodak Co.

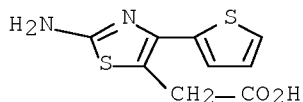
DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

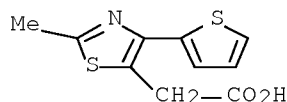
|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|------|
|    | US 2423709  |      | 19470708 | US              | <--  |
| GI | For diagram(s), see printed CA Issue.   |      |          |                 |      |
| AB | <p>Azoles of the formula (where R represents an aryl or 2-thienyl group, R' and R'' represent H, alkyl, or aryl, and R''' represents H, alkyl, mercapto, alkylmercapto, aralkylmercapto, or amino groups when X is S, and alkyl or amino groups when X is Se) are produced by the reaction of <math>\text{HXC}(:\text{NH})\text{R}'''</math> with <math>\text{RCOCHBrCHR}'\text{CO}_2\text{R}''</math>. Examples of compds. prepared, followed by their m.ps. are: 2-methyl-5-thiazoleacetic acids: 4-Ph 200-2°; 4-(4-ethylphenyl) 144°; 4-(4-isopropylphenyl), 173-4°; 4-(2,4-dimethylphenyl), 199-200°; 4-(4-methoxyphenyl), 189-90°; 4-(4-ethoxyphenyl), 188-90°; 4-(4-chlorophenyl) 200-4°; 4-(2-thienyl), 158-9°; 4-(1-naphthyl), 212-13°; 4-(2-naphthyl), 226-9°. 4-Phenyl-5-thiazoleacetic acids: 2-methylmercapto, 145°; 2-ethylmercapto, 116°. 2-Methylmercapto-5-thiazoleacetic acids: 4-(4-methylphenyl), 176°; 4-(1-naphthyl), 125°; 4-(2-naphthyl), 154°. 2-Amino-5-thiazoleacetic acids: 4-(2-thienyl), 202-3°; 4-(1-naphthyl), solid; 4-(2-naphthyl), 255-6°; 4-phenyl (Me ester), 230°. 5-Thiazolepropionic acids: 4-phenyl-2-methyl, 172-3°; 2-amino-4-phenyl. 2-Amino-4-phenylselenazole m. 253°. New <math>\gamma</math>-bromo-<math>\gamma</math>-acylpropionic acid intermediates prepared are: 4-methylbenzoyl, 122-4°; 4-ethylbenzoyl, oil; 4-isopropylbenzoyl, 73-5°; 2,4-dimethylbenzoyl, 98.5°; 3,4-dimethylbenzoyl, 99°; 4-ethoxybenzoyl, 130°; 4-chlorobenzoyl, 115-16°; 1-naphthoyl, 172-3°; 2-naphthoyl, 133-5°; 2-thenoyl, 127-8°; benzoyl (Me ester), b17 180°. <math>\gamma</math>-2-Thenoylpropionic acid m. 116.5-19.5°.</p> |      |          |                 |      |
| IT | <p>300814-88-4P, 5-Thiazoleacetic acid,<br/> 2-amino-4-(2-thienyl)- 314032-13-8P, 5-Thiazoleacetic<br/> acid, 2-methyl-4-(2-thienyl)-<br/> RL: PREP (Preparation)<br/> (preparation of)</p>   |      |          |                 |      |
| RN | 300814-88-4 CAPLUS  |      |          |                 |      |
| CN | 5-Thiazoleacetic acid, 2-amino-4-(2-thienyl)- (CA INDEX NAME)   |      |          |                 |      |



RN 314032-13-8 CAPLUS

CN 5-Thiazoleacetic acid, 2-methyl-4-(2-thienyl)- (CA INDEX NAME)





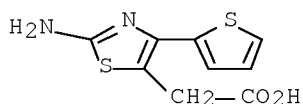
L23 ANSWER 47 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1949:46496 CAPLUS Full-text  
 DOCUMENT NUMBER: 43:46496  
 ORIGINAL REFERENCE NO.: 43:8401d-h,8402a  
 TITLE: 4-Aryl-5-thiazoleacetic acids and esters  
 INVENTOR(S): Knott, Edward B.  
 PATENT ASSIGNEE(S): Kodak Ltd.  
 SOURCE: Addn. to C.A. 43, 5048d  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE         |
|------------|------|----------|-----------------|--------------|
| GB 593024  |      | 19471007 | GB 1944-9516    | 19440518 <-- |

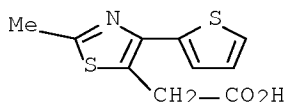
AB Addnl. compds. prepared were 4-phenyl-5-thiazoleacetic acid, m. 154-6°; the following derivs. of 2-methyl-5-thiazoleacetic acid: 4-phenyl (I), m. 202-3°, 4-p-tolyl, m. 200-2°, 4-(p-ethylphenyl), m. 155°, 4-(p-isopropylphenyl), m. 173-4°, 4-(2,4-xylyl), m. 199-200°, 4-(p-methoxyphenyl) (two forms, one m. 189-90°, the other m. 177-9°), 4-(p-ethoxyphenyl) (two forms, one m. 188-90°, the other m. 169-90°), 4-(p-chlorophenyl), m. 200-4°, 4-(2-thienyl), m. 158.9°, and 4-(2-naphthyl), m. 226-9°;  $\alpha$ -(4-phenyl-2-methyl-5-thiazolyl)propionic acid, m. 172-3°; Me ester of I, m. 132-3°; the following derivs. of 2-amino-5-thiazoleacetic acid: 4-phenyl (II), m. 230-1°, 4-p-tolyl, m. 224° (decomposition), 4-(2-thienyl), m. 202-3°, 4-(1-naphthyl), no m.p. given, and 4-(2-naphthyl), m. 255-6°;  $\alpha$ -(2-amino-4-phenyl-5-thiazolyl)propionic acid, m. 240°; Me ester of II, m. 233°; 2-methylmercapto-4-phenyl-5-thiazoleacetic acid, m. 145°, monohydrate, m. 116°; 2-methylmercapto-4-p-tolyl-5-thiazoleacetic acid, m. 176°; 2-methylmercapto-4-(1-naphthyl)-5-thiazoleacetic acid, m. 125°; 2-methylmercapto-4-(2-naphthyl)-5-thiazoleacetic acid, m. 154°; and 2-amino-4-phenyl-5-selenazoleacetic acid, m. 253° with decomposition beginning at 196°. The following  $\beta$ -bromo- $\beta$ -aroylpropionic acids used as starting materials for the above compds. were also prepared:  $\beta$ -(p-methylbenzoyl), m. 122-4°,  $\beta$ -(p-ethylbenzoyl) pale yellow oil,  $\beta$ -(p-isopropylbenzoyl), m. 73-5°,  $\beta$ -(2,4-dimethylbenzoyl), m. 98.5°,  $\beta$ -(3,4-dimethylbenzoyl), m. 99°,  $\beta$ -(p-ethoxybenzoyl), m. 130°,  $\beta$ -(p-chlorobenzoyl), m. 115-16°,  $\beta$ -1-naphthoyl, m. 172-3°,  $\beta$ -2-naphthoyl, m. 133-5°, and  $\beta$ -2-thenoyl, m. 127-8°. The preparation is given of  $\beta$ -1 (and 2)-naphthoylpropionic acid,  $\beta$ -2-thenoylpropionic acid, m. 116.5-19.5°, p-benzoylisobutyric acid, and  $\beta$ -bromo- $\beta$ -benzoylisobutyric acid.

IT 300814-88-4P, 5-Thiazoleacetic acid,  
 2-amino-4-(2-thienyl)- 314032-13-8P, 5-Thiazoleacetic acid, 2-methyl-4-(2-thienyl)-  
 RL: PREP (Preparation)  
 (preparation of)

RN 300814-88-4 CAPLUS  
 CN 5-Thiazoleacetic acid, 2-amino-4-(2-thienyl)- (CA INDEX NAME)



RN 314032-13-8 CAPLUS  
 CN 5-Thiazoleacetic acid, 2-methyl-4-(2-thienyl)- (CA INDEX NAME)



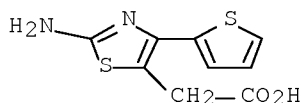
L23 ANSWER 48 OF 48 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1945:29915 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 39:29915  
 ORIGINAL REFERENCE NO.: 39:4869h-i, 4870a-i, 4871a-e  
 TITLE: Polycyclic thiazoles  
 AUTHOR(S): Knott, Edward B.  
 SOURCE: Journal of the Chemical Society (1945)  
 455-60  
 CODEN: JCSOA9; ISSN: 0368-1769  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 OTHER SOURCE(S): CASREACT 39:29915

AB A new method consists in first forming the thiazole ring by a normal Hantzsch condensation, followed by an intramol. cyclization to a condensed system, giving good yields of a variety of polycyclic thiazoles containing a HO group in the carbocyclic ring which is fused to the thiazole ring.  $\beta$ -Aroyl- $\beta$ -bromopropionic acids were prepared from 250 g. of the  $\text{ArCOCH}_2\text{CH}_2\text{CO}_2\text{H}$  in 2 l. hot  $\text{CHCl}_3$  by adding 5 cc. Br, heating until the Br was absorbed, and then adding the remainder of the Br (1 mol in all), which is readily absorbed without heating; 4-methylbenzoyl, m. 122-4°; 4-ethylbenzoyl, pale yellow oil; 4-isopropylbenzoyl, m. 73-5°; 2,4-dimethylbenzoyl, m. 98.5°; 4-chlorobenzoyl, m. 115-16°; 4-ethoxybenzoyl, m. 130°; 1-naphthoyl, m. 172-3°; 2-isomer, m. 133-5°; 2-thenoyl, m. 127-8°.  $\beta$ -Bromo- $\beta$ -benzoylisobutyric acid, m. 163°. The acid (1 mol), 1 mol of  $\text{CS}(\text{NH}_2)_2$ , and 500 cc. iso-PrOH were boiled 15 min., 0.5 mol anhydrous  $\text{Na}_2\text{CO}_3$  added, and the heating continued until evolution of  $\text{CO}_2$  ceased; the base was precipitated with  $\text{H}_2\text{O}$  and crystallized from EtOH or aqueous EtOH; the yield was 90-8%. The following 2-amino-5-thiazoleacetic acids were prepared in this manner: 4-Ph, m. 230-1° (Me ester, pale yellow, m. 167-8°); 4-(4-methylphenyl), m. 224°; 4-(1-naphthyl), yellow, m. 258-9°; 4-(2-naphthyl), m. 255-6°; 4-(2-thienyl), m. 202-3°.  $\alpha$ -(2-Amino-4-phenyl-5-thiazolyl)propionic acid, m. 240°, 83% yield. The Br acid (1 mol), 1 mol  $\text{MeCSNH}_2$ , and 500 cc. iso-PrOH were heated to 50° (temperature kept below 65° by cooling) and after 1-2 h. (temperature of 40°) 0.5 mol of anhydrous  $\text{Na}_2\text{CO}_3$  added and the mixture allowed to stand 1-2 days, giving the following 2-methyl-5-thiazoleacetic acids: 4-Ph, m. 202-3°, 93.5%; 4-(4-methylphenyl), m. 200-2°, 90%; 4-(4-ethylphenyl), cream, m. 155°, 60%; 4-(4-isopropylphenyl),

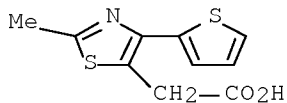
cream, m. 173-4°, 74%; 4-(2,4-dimethylphenyl), m. 189-90°, 86%; 4-(4-chlorophenyl), m. 200-4°, 91%; 4-(1-naphthyl), m. 212-13°, 43%; 4-(2-naphthyl), m. 226-9°, 68%; 4-(2-thienyl), prepared without heating, m. 158-9°; 4-(4-methoxyphenyl), m. 189-90° (45% as the 1st crop), shows weak white fluorescence in UV light, and m. 171-9° (43% as the 2nd crop), shows blue-green fluorescence, reverts to the higher-melting form on recrystn.; 4-(4-ethoxyphenyl), m. 188-90° (12%), m. 169-90° (73% as 2nd crop), shows bright green fluorescence, reverting to the 1st form on recrystn.  $\alpha$ -(4-Phenyl-2-methyl-5-thiazolyl)propionic acid, m. 172-3°, 10% yield. Condensation of 1 mol of the Br acid and 1 mol of an alkyl dithiocarbamate by shaking at room temperature in 1 l. iso-PrOH until solution results and allowing to stand 48 h. gives 5-thiazoleacetic acids as follows: 2-methylmercapto-4-Ph, m. 145°, 39%; 2-ethylmercapto-4-Ph, m. 116°, 23%; 2-methylmercapto-4-(4-methylphenyl), m. 176°, 38%; 2-methylmercapto-4-(2-naphthyl), m. 154°, 42%; the 1-naphthyl isomer, m. 125°, was prepared by heating the reactants to 60°, adding 0.5 mol of anhydrous Na<sub>2</sub>CO<sub>3</sub>, and allowing the mixture to stand 48 h. The thiazoleacetic acids (10 g.), 2.5 g. anhydrous AcONa, and 40 cc. Ac<sub>2</sub>O were refluxed; the 4-Ph derivs. required 3 h., the 4-ClO<sub>2</sub>H<sub>7</sub> analogs 5-30 min. depending on the substituent, the 4-thienyl analog 30 min.; the reaction mixture was diluted with 10 cc. AcOH and poured into 250 cc. H<sub>2</sub>O; the yields varied 30 to 90%; the acetates were hydrolyzed with excess cold aqueous 2 N NaOH in hot or cold EtOH. The ethers were prepared from the phenols and alkyl sulfates in alkali at 60°. Naphtha-1',2',4,5-thiazoles: 2-acetamido-4'-acetoxy, pale yellow, m. 286°; 2-acetamido-4'-acetoxy-6'-Me, cream, m. 286°; 4'-hydroxy-2-Me, yellow, m. 252° (sublimes) (acetate, cream, m. 140-1°); 4'-methoxy-2-Me, yellow, m. 100°; 4'-ethoxy-2-Me, yellow, m. 147-8°; 4'-benzoyloxy-2-Me, m. 169°; 4'-hydroxy-2,6'-dimethyl, pale yellow, m. 250° (decomposition) (acetate, m. 162°); Me ether, greenish, m. 103-4°; Et ether, pale yellow, (m. 121-2°); 4'-benzoyloxy-2,6'-dimethyl, m. 162-3°; 4-hydroxy-2-methyl-6'-Et, m. 248° (decomposition) (acetate, m. 122.5°); Me ether, m. 65°; Et ether, yellow, (m. 87-8°); 4'-hydroxy-2-methyl-6'-iso-Pr, yellow, m. 231° (acetate, m. 101-1.5°; Me ether, pale yellow, m. 63-4°; Et ether, yellow, m. 91°); 4'-hydroxy-2,6',8'-trimethyl, yellow, m. 198° (acetate, m. 181°; Me ether, m. 91-2°; Et ether, pale yellow, m. 131°); 4'-hydroxy-6'-methoxy-2-Me, m. 257° (decomposition) (acetate, m. 161-2°; Me ether, m. 74°; Et ether, pale yellow, m. 115-16°); 4'-hydroxy-6'-ethoxy-2-Me, cream, m. 243° (decomposition) (acetate, yellow, m. 160-2°; Me ether, pale yellow, m. 120-1°; Et ether, yellow, m. 145-6°); 6'-chloro-4'-hydroxy-2-Me, m. 280° (decomposition) (acetate, m. 209-10°; Me ether, pale yellow, m. 134.5°; Et ether, yellow, m. 183.5°); 4'-hydroxy-2-methylmercapto, pale yellow, m. 255° (acetate, pale yellow, m. 143°; Me ether, m. 110-11°); 4'-hydroxy-2-ethylmercapto, m. 206° (acetate, m. 101-3°); 4'-hydroxy-2-methylmercapto-6'-Me, yellow, m. 213° (sublimes) (acetate, yellow, m. 155-6°; Me ether, m. 109-10°); 4'-hydroxy-2,3'-dimethyl, m. 300° (acetate, m. 171-2°; Me ether, pale yellow, m. 91-2°; Et ether, pale yellow, m. 100-1°); 2-acetamido-6'-acetoxy-3'-Me, m. 300°. Phenanthra-4',3',4,5-thiazoles: 2-acetamido-1'-acetoxy, m. 279° 1'-hydroxy-2-Me, pale yellow, m. 260° (sublimes) (acetate, m. 167-9°; Me ether, pale yellow, m. 136-7°; Et ether, pale yellow, m. 144-5°); 1'-hydroxy-2-methylmercapto, yellow, m. 162-4° (acetate, pale yellow, m. 128-9°). Phenanthra-1',2',4,5-thiazoles: 2-acetamido-4'-acetoxy, m. 290°; 4'-hydroxy-2-Me, yellow, m. 278-80° (sublimes) (acetate, m. 159.5°; Me ether, yellow, m. 173°; Et ether, yellow, m. 177.5°); 4'-hydroxy-2-methylmercapto, yellow, m. 240° (sublimes) (acetate, m. 152°). Thianaphtheno[7',6',4,5]thiazoles: 2-acetamido-4'-acetoxy, cream, m. 285-9°; 4'-hydroxy-2-Me, m. 268° (sublimes) (acetate, pink, m. 130-30.5°; Me ether, m. 127.5-8°). A byproduct from the cyclization of 4-phenyl-2-methyl-5-thiazoleacetic acid is about 20% of 4'-acetoxy-3'-acetyl-2-methylnaphtha-1',2',4,5-thiazole, m. 205°; hydrolysis with 2 N NaOH in hot EtOH gives 4'-hydroxy-3'-acetyl-2-methylnaphtha-1',2',4,5-thiazole, yellow, m. 126-7°; 2,4-dinitrophenylhydrazones, scarlet, m. 300°; hydrazone, orange, m. 173°; Me ether, pale yellow, m. 113-14°; this was

prepared also from 4'-hydroxy-2-methyl- $\beta$ -naphthathiazone, AcCl or Ac2O, and AlCl3 in PhNO2. 4'-Hydroxy-3'-acetyl-2,6'-dimethylnaphtha-1',2',4,5-thiazole, yellow, m. 165-6°; acetate, cream, m. 216° (2,4-dinitrophenylhydrazone, orange, m. 306°). 4'-Hydroxy-3'-chloroacetyl-2,6'-dimethylnaphtha-1',2',4,5-thiazole could not be crystallized and was analyzed as the acetate, m. 170-1°; with hot 2 N Na2CO3 it yields 3'-keto-2-methylbenzocoumarano-5',4',4,5-thiazole, pale yellow, m. 233°; with 2-methylmercaptoquinoline-MeI in EtOH containing 2 drops of Et3N (boiling 15 min.) this yields 2-(1-methyl-1,2-dihydroquinolyldene)-2'-(3'-keto-2-methylbenzocoumarano-5',4',4,5-thiazole), red, m. 300°; the dye sensitizes a AgCl photog. emulsion at 4850 and 5200 A.

IT 300814-88-4P, 5-Thiazoleacetic acid,  
 2-amino-4-(2-thienyl)- 314032-13-8P, 5-Thiazoleacetic  
 acid, 2-methyl-4-(2-thienyl)-  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 300814-88-4 CAPLUS  
 CN 5-Thiazoleacetic acid, 2-amino-4-(2-thienyl)- (CA INDEX NAME)



RN 314032-13-8 CAPLUS  
 CN 5-Thiazoleacetic acid, 2-methyl-4-(2-thienyl)- (CA INDEX NAME)



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| COST IN U.S. DOLLARS                       | SINCE FILE | TOTAL   |
|  | ENTRY      | SESSION |
| FULL ESTIMATED COST                        | 297.20     | 516.02  |
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